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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 2/22/06

Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10527649

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

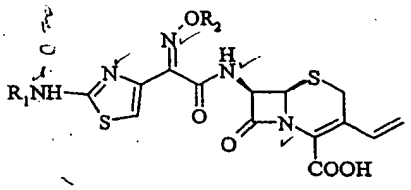
_____

Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



R_2 = C (not in ring)

R_1 = H | C(=O) | C–O

must be multi component. A second component must contain N. If that is not possible, require that the entire thing have at least 6 N atoms.

1434
1428-38

632 79
656.03

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STAFF USE ONLY

Searcher: Mary

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: 3/3

Searcher Prep & Review Time: 14

Online Time: 24

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

2 Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

1288 STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

___ In-house sequence systems

___ Commercial ___ Oligomer ___ Score/Length

___ Interference ___ SPDI ___ Encode/Transl

_____ Other (specify)

Beck
10/529649


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=> dis his

     (FILE 'HOME' ENTERED AT 14:28:20 ON 03 MAR 2006)

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L2             STR 91832-40-5
L3             STR L2
L4             STR L3
L5             7 S L2 OR L3 OR L4
L6           166 S L2 OR L3 OR L4 FUL
L7             SCR 2127
L8            55 SEARCH  L7  SUB=L6 FUL

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L2                STR
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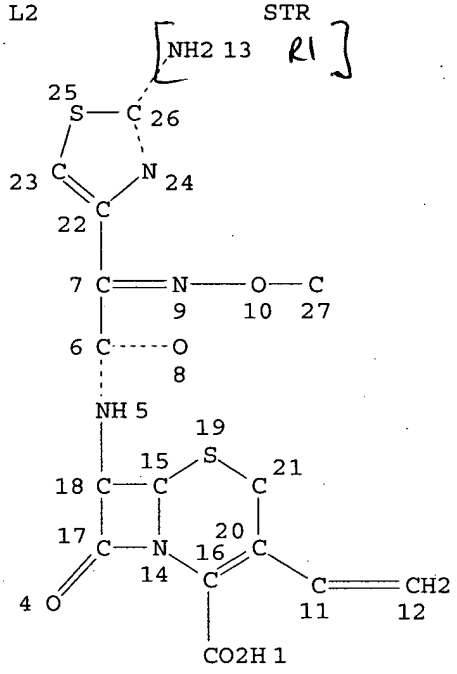


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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
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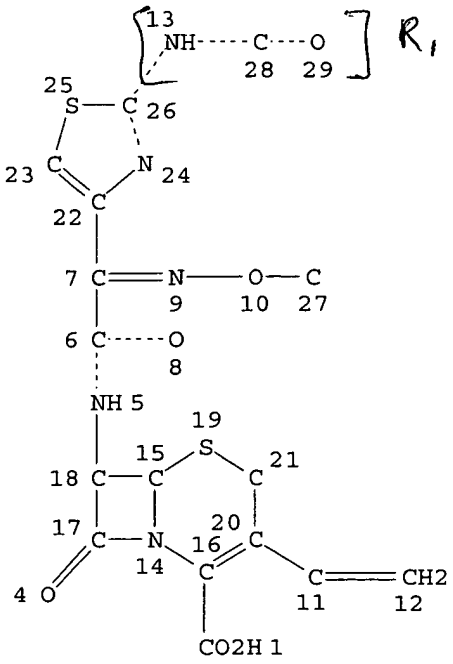

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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE
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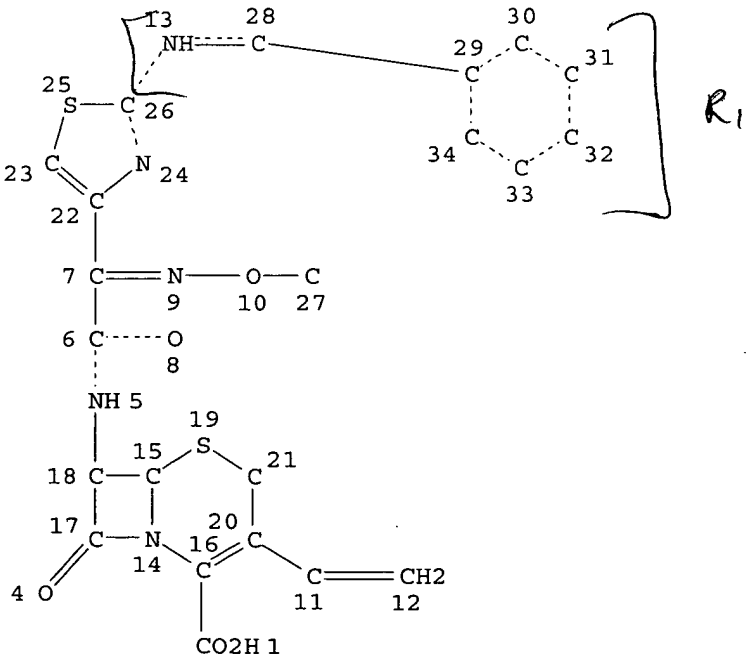

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE
L6 166 SEA FILE=REGISTRY SSS FUL L2 OR L3 OR L4
L7 SCR 2127
L8 55 SEA FILE=REGISTRY SUB=L6 SSS FUL L7

FULL SUBSET SCREEN SEARCH COMPLETED 55 ANSWERS
SEARCH TIME: 00.00.01

=> fil caplus;s l8

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	388.74	388.95

FILE 'CAPLUS' ENTERED AT 14:32:44 ON 03 MAR 2006

FILE COVERS 1907 - 3 Mar 2006 VOL 144 ISS 11
FILE LAST UPDATED: 2 Mar 2006 (20060302/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

L9 52 L8

=> d 1-52 ibib abs hitstr

L9 ANSWER 1 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:136151 CAPLUS
DOCUMENT NUMBER: 144:170821
TITLE: Preparation of cefixime disodium salt as antibiotic
INVENTOR(S): Yu, Anguo; Lin, Guohua; Tang, Chaoyun; Mo, Zhaoming; Li, Sha
PATENT ASSIGNEE(S): Peop. Rep. China

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 6 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1594322	A	20050316	CN 2004-10040017	20040618

PRIORITY APPLN. INFO.: CN 2004-10040017 20040618

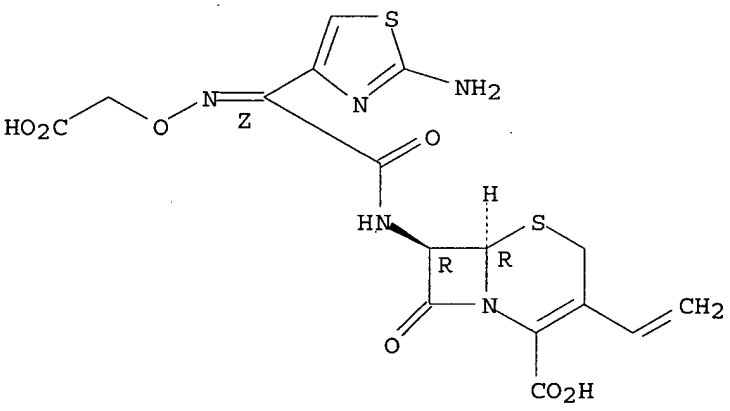
AB Cefixime disodium salt, useful as antibiotic, was prepared by treatment of cefixime with NaHCO3. Thus, a mixture of cefixime (507.5 g) and 10% NaHCO3 aqueous solution (1680 g) was stirred for 2 h at rt. Activated carbon (10 g) was added and stirring was continued for addnl. 20 min before filtration. The filtrate was treated with ethanol, and the resultant precipitate was collected and dried at 50°C to give crude product, which was recrystd. with ethanol and dried to afford the pure sodium salt in 85.9% yield. This product showed similar antibacterial activity to cefixime and low toxicity.

IT **79350-82-6P**
RL: ADV (Adverse effect, including toxicity); IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cefixime disodium salt as antibiotics, via neutralization of cefixime with NaHCO3)

RN 79350-82-6 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●2 Na

L9 ANSWER 2 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:79174 CAPLUS

DOCUMENT NUMBER: 144:170818
TITLE: Preparation of tertiary amine salts of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir
INVENTOR(S): Kremminger, Peter; Silberberger, Herbert
PATENT ASSIGNEE(S): Sandoz AG, Switz.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008160	A1	20060126	WO 2005-EP7958	20050721

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2004-16379 A 20040722
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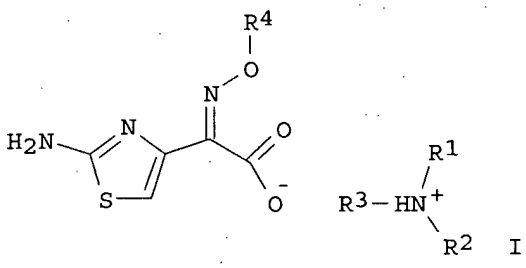


I

AB Crystalline tertiary amine salts of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid compds. of formula (I) (R1, R2, R3 = independently unsubstituted or substituted alkyl, cycloalkyl or aryl; R4 = acyl) are prepared These salts may be obtained in anhydrous form and are useful in a reaction step with an activating agent in order to produce cefdinir. Thus, 25.0 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid monohydrate (water content: 8.0%) was suspended in 20 mL acetone at ambient temperature and 5.2 mL tributylamine was added. The mixture was cooled to -10° and stirred at this temperature for 60 and filtered to give, after washing with a small portion of cold acetone and dried in vacuum to give, 32.7 g tributylammonium syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetate (water content: 0.1%) (II). II was converted into syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid 2-benzothiazolyl thioester by treatment with bis(benzothiazol-2-yl) disulfide and then condensed with 7-amino-3-vinyl-cephem-4-carboxylic acid to give 7-[2-(2-aminothiazol-4-

yl)-2-[[(methylcarbonyl)oxy]imino]acetamido]-3-vinylcephem-4-carboxylic acid phosphate which was converted into cefdinir by treatment with a mixture of concentrated H2SO4 in MeOH.

IT **663170-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tertiary amine salts of 2-(2-aminothiazole-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

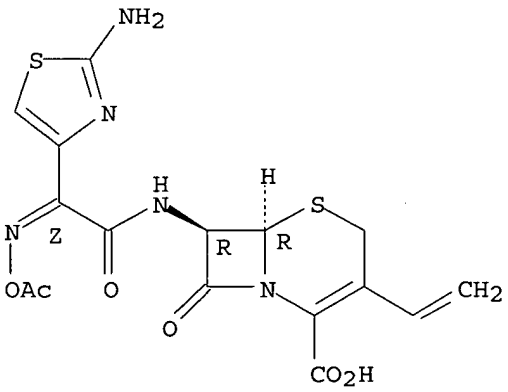
RN 663170-79-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



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CRN 7664-38-2
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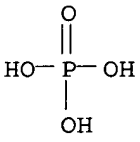

IT **874438-71-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tertiary amine salts of 2-(2-aminothiazole-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

RN 874438-71-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

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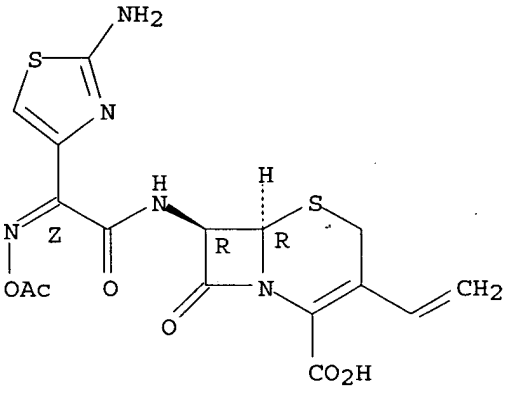
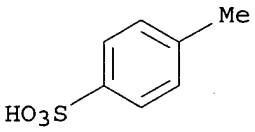
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:76118 CAPLUS
DOCUMENT NUMBER: 144:170817
TITLE: Preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir
INVENTOR(S): Kremminger, Peter; Silberberger, Herbert
PATENT ASSIGNEE(S): Sandoz AG, Switz.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008161	A1	20060126	WO 2005-EP7963	20050721

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LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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PRIORITY APPLN. INFO.: GB 2004-16380 A 20040722

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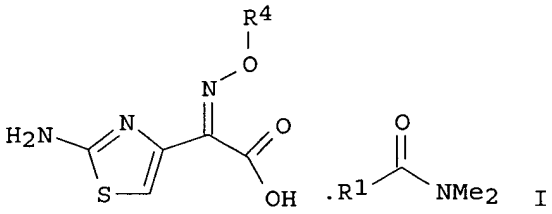


I

AB Crystalline N,N-dimethylalkamide solvates of 2-(2-aminothiazole -4-yl)-2(acyloxyimino)acetic acid compds. of formula (I) [R1 = H, (un)substituted alkyl; R4 = acyl] are prepared These compds. may be prepared in an anhydrous form and are useful in a reaction step with an activating agent in order to produce cefdinir. Thus, 15.0 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid dihydrate (H2O content 13.5%) was dispensed into 54.0 mL N,N-dimethylacetamide at 50° and stirred for 90 min. The crystalline suspension was cooled to 0°, treated with 150 mL CH2Cl2 and the white crystals were filtered, washed three times, each with 30 mL CH2Cl2, and dried over night in vacuum at 30° to give 15,9 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid N,N-dimethylacetamide solvate (II) (water content 0.4 %). II was converted into syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid benzothiazol-2-yl thioester by treatment with bis(benzothiazol-2-yl) disulfide followed by amidation with 7-amino-3-vinylcephem-4-carboxylic acid and acidification with phosphoric acid to give 7-[2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetamido]-3-vinylcephem-4-carboxylic acid phosphate (III). Cefdinir was obtained by treatment of III with a mixture of concentrated H2SO4 and MeOH.

IT **663170-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

RN 663170-79-4 CAPLUS

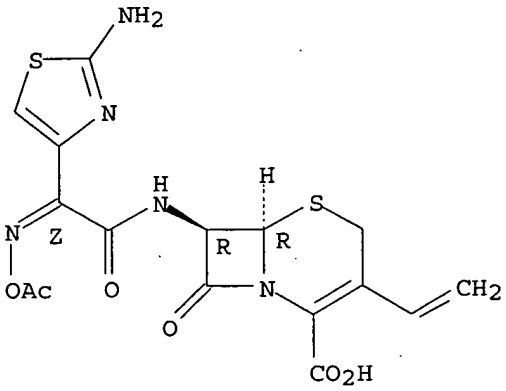
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-38-2
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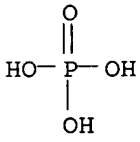
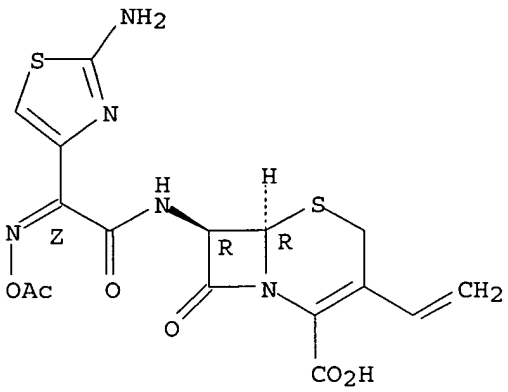


IT **874438-71-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)
RN 874438-71-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

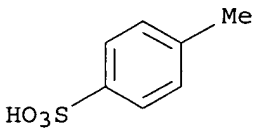
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:54564 CAPLUS
DOCUMENT NUMBER: 144:128794
TITLE: News salts in the preparation of cephalosporin antibiotics
INVENTOR(S): Senthilkumar, Udayampalayam Palanisamy; Lakshmipathi, Venu Sanjeevi; Andrew, Gnanaprakasam; Chandrasekaran, Ramasubbu; Nagender Rao, Dindigala; Om Reddy, Gaddam
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Limited, India
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006006040	A2	20060119	WO 2005-IB1888	20050704

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PRIORITY APPLN. INFO.: IN 2004-CH637 A 20040705

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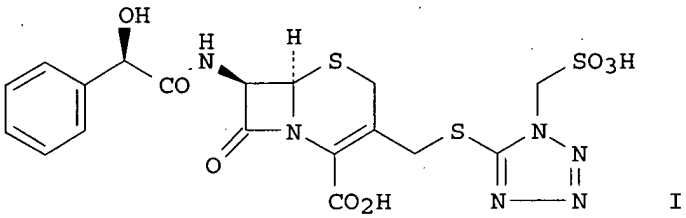

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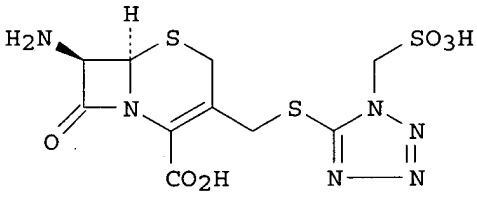


II

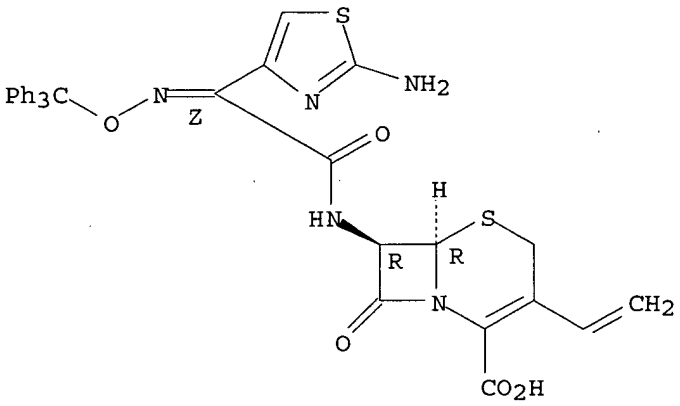
AB The present invention relates to an improved process for the preparation of cephalosporin antibiotics via the formation of intermediate diamine salts of the general form Cp.nM [Cp = cephalosporin antibiotic, such as Cefdinir, Cefoxitin, Cefonicid, etc.; M = ethylenediamine derivative, such as N,N'-diisobutyl-, N,N'-dicyclohexyl-, N,N'-diisopentyl-, N,N'-di(p-anisyl)-, N,N'-dicyclopentyl-, N,N'-di(p-tolyl)-1,2-ethanediamine; n = 0.5 - 2]. Thus, the N,N'-diisobutyl-1,2-ethanediamine salt of Cefonicid (I) was prepd via a reaction of 7β-aminocephem II with O-formyl-D-mandeloyl chloride, adjustment of the reaction mixture to pH 5±1, and finally, addition of the diacetate salt of Me2CHCH2NH(CH2)2NHCH2CHMe2.

IT **696592-17-3 717098-27-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of intermediate salts for the preparation of cephalosporin antibiotics, such as Cefdinir)

RN 696592-17-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monopotassium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



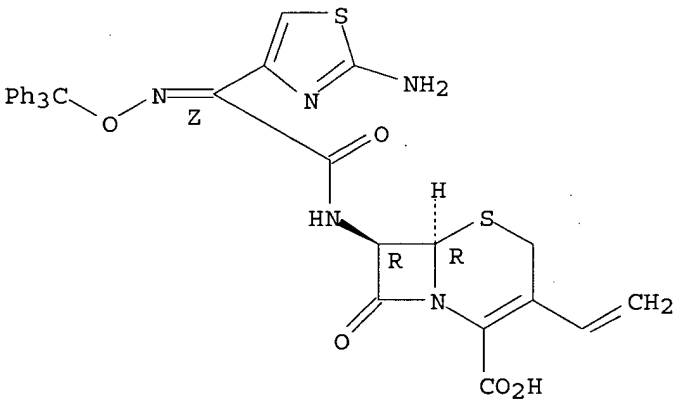
● K

RN 717098-27-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

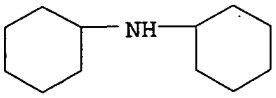
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 101-83-7
CMF C12 H23 N

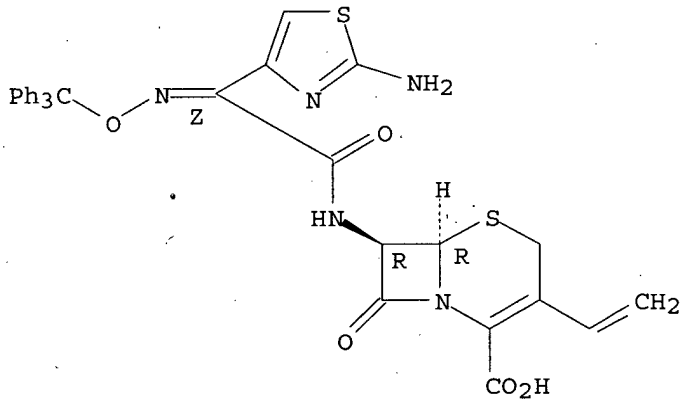


IT **873441-06-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of intermediate salts for the preparation of cephalosporin antibiotics, such as Cefdinir)
RN 873441-06-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N,N'-dicyclohexyl-1,2-ethanediamine (9CI) (CA INDEX NAME)

CM 1

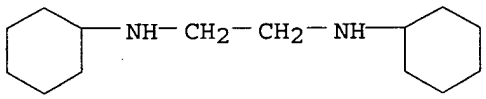
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 4013-98-3
CMF C14 H28 N2



L9 ANSWER 5 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1215707 CAPLUS
DOCUMENT NUMBER: 143:466198
TITLE: Novel pharmaceutical formulation of cefixime for

enhanced bioavailability

INVENTOR(S): Wagh, Sanjay; Aga, Hidaytulla; Avachat, Makarand; Sen, Himadri

PATENT ASSIGNEE(S): Lupin Ltd., India

SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005107703	A1	20051117	WO 2004-IN128	20040510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2004-IN128 20040510

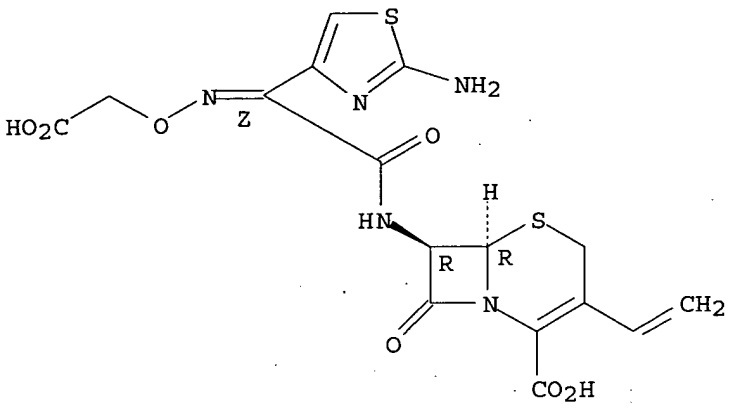
AB A chewable tablet comprises cefixime having a mean particle size 20-120 μm, wherein the composition demonstrates bioequivalence to a suspension of cefixime trihydrate. The process of preparing the chewable tablet comprises the steps of optionally micronizing cefixime such that the mean particle size of the cefixime particles is 20-120 μm, blending with other excipients, roll compaction, milling to form granules, blending to form a secondary blend and compression of the secondary blend to form tablets.

IT **125110-14-7**, Cefixime trihydrate
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chewable tablets containing cefixime with enhanced bioavailability)

RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●3 H_2O

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:823155 CAPLUS
DOCUMENT NUMBER: 143:235396
TITLE: Synergistic antibacterial formulation containing cefixime trihydrate, cloxacillin sodium and Lactobacillus sporogenes spores
INVENTOR(S): Khandelwal, Sanjeev
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005181051	A1	20050818	US 2004-13110	20041215
EP 1566176	A1	20050824	EP 2005-250879	20050216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
PRIORITY APPLN. INFO.:			IN 2004-MU178	A 20040216
			IN 2004-MU258	A 20040303

AB A synergistic antibacterial formulation for oral delivery of cefixime trihydrate, cloxacillin sodium in an extended release form and an immediate release form, and Lactobacillus sporogenes spores is provided. For example, sustained-release granules were prepared by wet granulation of cloxacillin sodium 50.0 kg and hydroxypropyl Me cellulose (HPMC; average viscosity 4000 cps) 6.0 kg , using a binder comprising HPMC (average viscosity 50 cps) 800g dissolved in a mixture of dichloromethane 8.0 kg and iso-Pr alc. 12.0 kg. The core was prepared by blending cloxacillin sodium sustained-release granules obtained with a mixture of cloxacillin sodium particle 7.6 kg, cefixime trihydrate particles 11.2 kg, L. sporogenes spores 750 g, sodium starch glycollate 1.0 kg, colloidal silicon dioxide

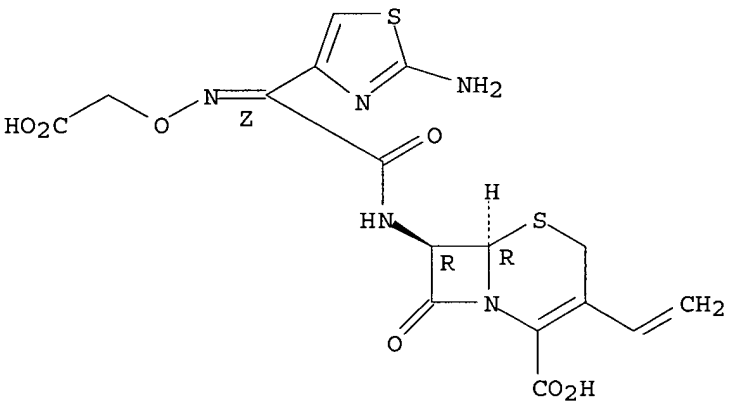
0.3 kg, sodium lauryl sulfate 1.0 kg and talc 1.0 kg was prepared Magnesium stearate 1.0 kg was added and further blendded, resulting in the lubricated core mass. This core mass was then compressed into cores of average weight of 806.2 mg <plus/minus>3%. The core obtained were pan coated with a film coating composition containing Et cellulose 0.8 kg, hydroxypropyl cellulose 0.8 kg, iso-Pr alc. 12 kg, methylene chloride 22 kg, di-Et phthalate 0.01 kg and titanium dioxide 0.15 kg in a stainless steel container and stirred for five minutes using overhead stirrer until a smooth slurry was obtained. The coated tablets were polished with talc. The film-coated tablet (average weight 820 mg <plus/minus>3%) contained (i) cloxacillin sodium equivalent to 250 mg cloxacillin sustained release, (ii) cloxacillin sodium equivalent to 250 mg cloxacillin immediate release, (III) cefixime trihydrate equivalent to 100 mg cefixime immediate release, and (IV) L. sporogenes 45 million spores.

IT **125110-14-7**, Cefixime Trihydrate
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synergistic antibacterial formulation containing cefixime trihydrate, cloxacillin sodium and Lactobacillus sporogenes spores)

RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●3 H2O

L9 ANSWER 7 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:315131 CAPLUS
DOCUMENT NUMBER: 142:336175
TITLE: An improved process for the preparation of cefixime trihydrate
INVENTOR(S): Sharma, Anil Kumar; Raj, Baldev; Sethi, Madhuresh Kumar; Das, Debashis
PATENT ASSIGNEE(S): J K Drugs & Pharmaceuticals Ltd., India
SOURCE: Port. Pat. Appl., 27 pp.
CODEN: PTXXB9

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

DOCUMENT TYPE: Patent
LANGUAGE: Portuguese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PT 102293	A	20000229	PT 1999-102293	19990426
PT 102293	B	20010531		
IN 185070	A	20001104	IN 1999-BO75	19990129

PRIORITY APPLN. INFO.: IN 1999-BO75 A 19990129
OTHER SOURCE(S): CASREACT 142:336175; MARPAT 142:336175
GI

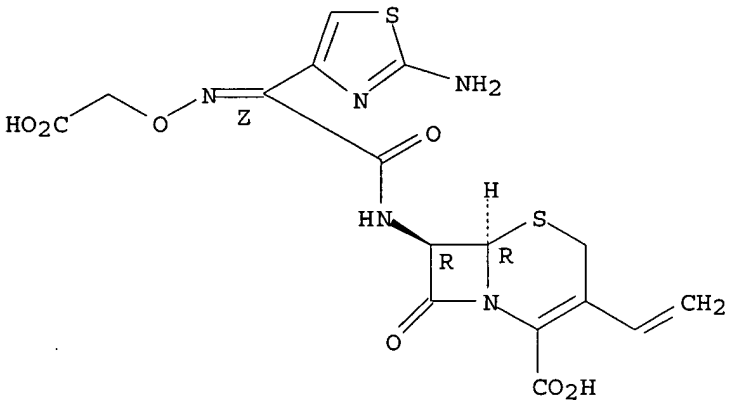
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved process for the preparation of cefixime trihydrate (I·3H2O) comprises: (a) hydrolysis of the 3-acetoxymethyl group of 7-(substituted amino)cephalosporanic acid [II; R = H, CO(CH2)3CH(NH2)CO2H] with an alkali carbonate; (b) protective acylation of the 7-amino group with an organic acid chloride; (c) esterification of the 4-carboxy group; (d) bromination of the 3-hydroxymethyl group with PBr3; (e) Wittig reaction with HCHO in the presence of PPh3 to give a 3-vinyl compound III; (f) cleavage of the phenylacetyl group from the 7-amino group with the PPh3/Cl2/pyridine/IBA complex; (g) acylation of the resulting 7-amino group with 4-chloro-2-[{(methoxycarbonyl)methoxy}imino]-3-oxobutyric acid; (h) cyclization of the acylated cephem IV (R1 = CHPh2, CH2C6H4OMe) with thiourea to give protected I; and (i) removal of the protective group.

IT **125110-14-7P**, Cefixime trihydrate
RL: SPN (Synthetic preparation); PREP (Preparation)
(improved process for preparation of cefixime trihydrate)

RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●3 H_2O

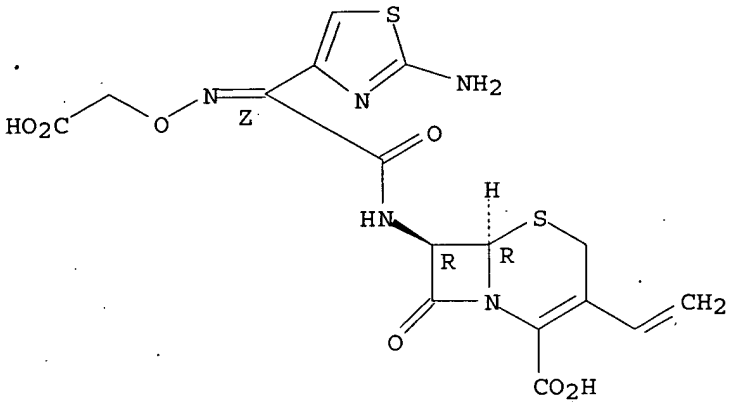
L9 ANSWER 8 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1038759 CAPLUS
DOCUMENT NUMBER: 142:232241
TITLE: Spectrophotometric determination of some cephalosporins in biological fluids using ferric-phenanthroline and tetrazolium blue
AUTHOR(S): Abdel-Razeq, Sawsan A.
CORPORATE SOURCE: Pharmaceutical Chemistry Department, Pharmacy College, Al-Azhar University, Cairo, Egypt
SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (2002), 40(1), 155-166
CODEN: BFPHA8; ISSN: 1110-0931
PUBLISHER: Cairo University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two sensitive spectrophotometric procedures are presented for the determination of three cephalosporins; cefixime trihydrate (I), cefoperazone sodium (II) and cefotaxime sodium (III). The first procedure is based on the reduction of ferric into ferrous in presence of o-phenanthroline by the mentioned drugs to form a highly stable orange-red ferroin chelate [Fe-(Phen)3]2+, measured at 513 nm. The second procedure is also based on the reduction of tetrazolium blue (TZB) in alkaline medium by the above cephalosporins leading to the formation of highly colored purple formazan measured at 526 nm. Beer's law is obeyed in the ranges of 0.4 - 2.4 and 4-20 μg ml-1 for I, 0.8 - 3.6 and 4 - 24 μg ml-1 for II or 0.4 - 2.4 and 4 - 16 μg ml-1 for III by Ferric- phen and TZB procedures, resp. The optimum assay conditions and their applicability to the determination of the cited drugs in pharmaceutical formulations are described. The recoveries of the drugs are 90.7-96.0% from urine and 71.7 - 78.5% from serum.

IT **125110-14-7**, Cefixime trihydrate
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(spectrophotometry methods using ferric-phenanthroline and tetrazolium blue are effective and sensitive in determining cephalosporin cefixime trihydrate in biol. fluid)
RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●3 H_2O

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

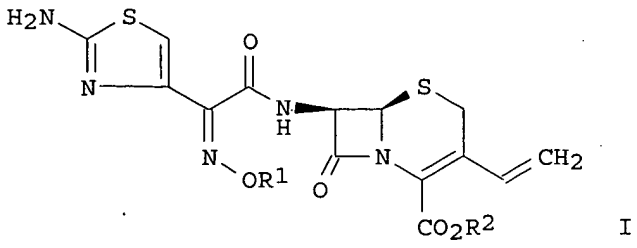
L9 ANSWER 9 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:546513 CAPLUS
DOCUMENT NUMBER: 141:88964
TITLE: Process for preparing crystalline cefdinir salts
INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
PATENT ASSIGNEE(S): Antibioticos S.p.A., Italy
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056835	A1	20040708	WO 2003-EP13524	20031201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1572699	A1	20050914	EP 2003-789109	20031201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: IT 2002-MI2724 A 20021220
WO 2003-EP13524 W 20031201

OTHER SOURCE(S): MARPAT 141:88964

GI



I

AB Cefdinir salts, such as I.nH3PO4 [R1, R2 = H; n = 1 - 3 (II)], the hydrates and solvates thereof, were prepared from cefdinir intermediates, I (R1 = benzhydryl, trityl, p-methoxybenzyl; R2 = benzhydryl, tert-Bu, p-methoxybenzyl), or crude cefdinir I (R1, R2 = H) by the treatment with phosphoric acid. Thus, I (R1 = CPh3, R2 = H) was dissolved in 85% phosphoric acid and acetonitrile, and reaction mixture was heated at 45°C for 2 h, to afford cefdinir phosphate. The use of II for the preparation and purification of cefdinir is also disclosed.

IT **717098-27-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and use of cefdinir phosphates for preparing and purification of
cefdinir)

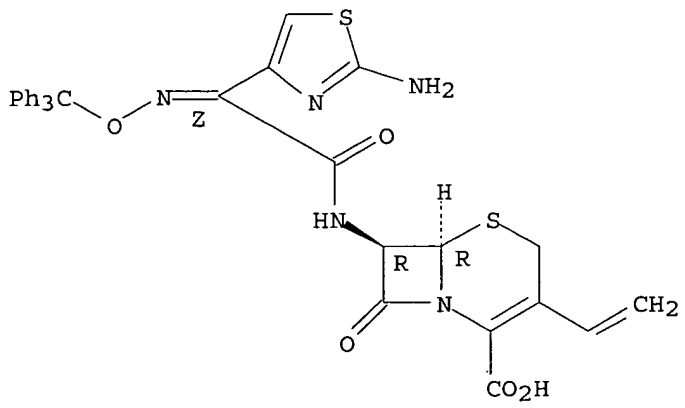
RN 717098-27-6 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

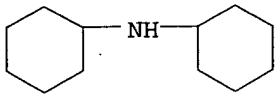
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 101-83-7
CMF C12 H23 N

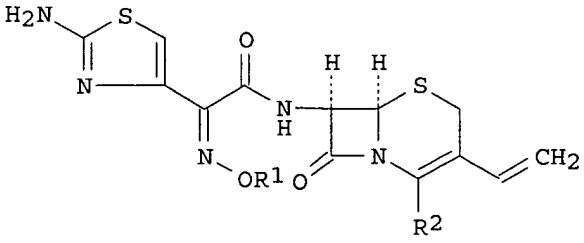


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

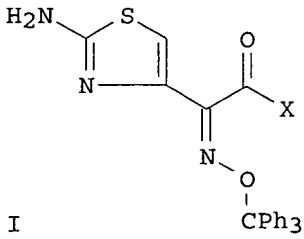
L9 ANSWER 10 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:453223 CAPLUS
DOCUMENT NUMBER: 141:6966
TITLE: Process for preparing cefdinir and its amorphous hydrate
INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausaheb Pandharinath; Ramasubbu, Chandrasekaran
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046154	A1	20040603	WO 2003-IB5032	20031110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: IN 2002-MA848 A 20021115
IN 2003-MA152 A 20030226
OTHER SOURCE(S): CASREACT 141:6966; MARPAT 141:6966
GI



I



III

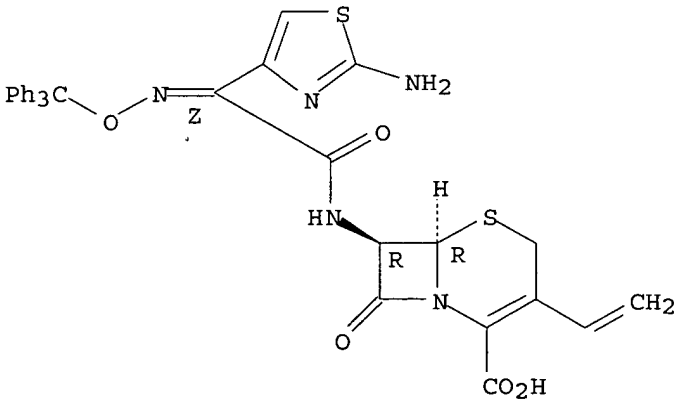
AB The present invention discloses a process for preparing cefdinir [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

IT **696592-17-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cefdinir and its amorphous hydrate)

RN 696592-17-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monopotassium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● K

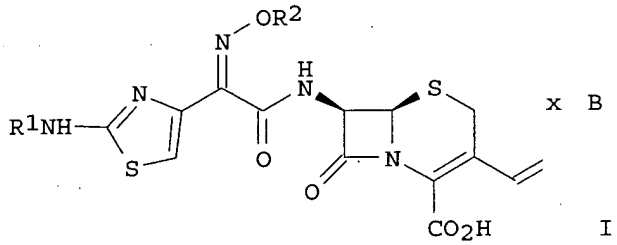
L9 ANSWER 11 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:355098 CAPLUS

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

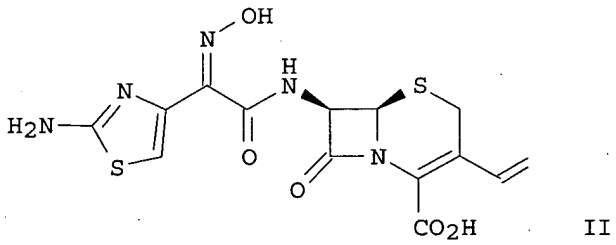
DOCUMENT NUMBER: 140:375021
TITLE: Intermediate cefdinir salts
INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
PATENT ASSIGNEE(S): Antibioticos S.P.A., Italy
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035800	A2	20040429	WO 2003-EP10718	20030926
WO 2004035800	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500791	AA	20040429	CA 2003-2500791	20030926
EP 1546155	A2	20050629	EP 2003-788921	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501305	T2	20060112	JP 2004-544046	20030926
PRIORITY APPLN. INFO.:			IT 2002-MI2076 A	20021001
			WO 2003-EP10718 W	20030926

OTHER SOURCE(S): MARPAT 140:375021
GI



I



II

AB Disclosed are salts of the general formula (I) wherein R1 is H or an amino-protecting group, R2 is and OH-protecting group, and B is NH3 or an organic base, and a process for the preparation thereof. These salts are useful intermediates for the preparation of cefdinir (II).

IT **682357-23-9P 683226-97-3P**
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(intermediate cefdinir salts)

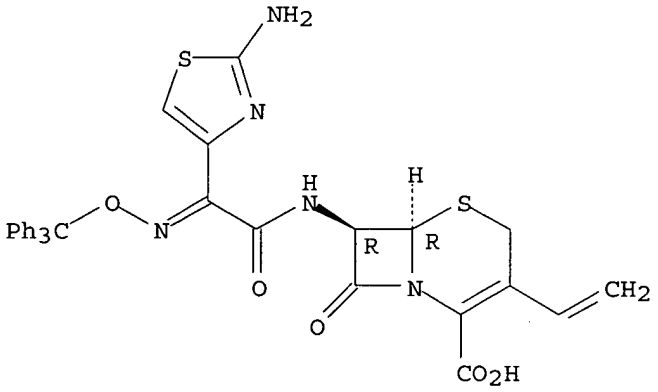
RN 682357-23-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

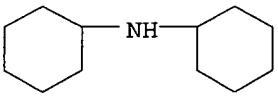
CRN 682357-22-8
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 101-83-7
CMF C12 H23 N



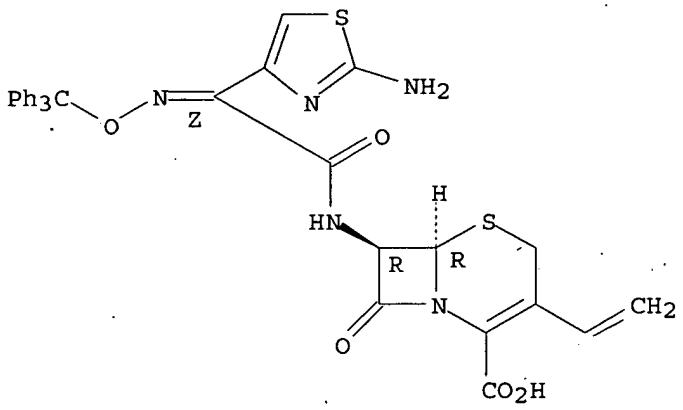
RN 683226-97-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with (αR)-α-methylbenzenemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 128454-32-0
CMF C33 H27 N5 O5 S2

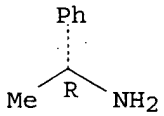
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 3886-69-9
CMF C8 H11 N

Absolute stereochemistry. Rotation (+).



L9 ANSWER 12 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:353145 CAPLUS
DOCUMENT NUMBER: 140:357115
TITLE: Process for the preparation of Cefixime
INVENTOR(S): Deshpande, Pandurang Balwant; Das, Gautam Kumar; Deshpande, Pramod Narayan; Chandrasekaran, Ramasubbu; Ramar, Padmanabhan; Jeyakumar, John Muthiah Raja
PATENT ASSIGNEE(S): Orchid Chemicals and Pharmaceuticals Limited, India
SOURCE: U.S. Pat. Appl. Publ., 3 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004082560	A1	20040429	US 2002-310177	20021205
US 6800755	B2	20041005		
WO 2004037832	A1	20040506	WO 2002-IB5313	20021210

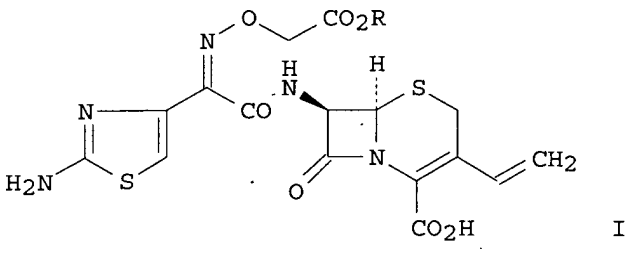
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002348784 A1 20040513 AU 2002-348784 20021210
PRIORITY APPLN. INFO.: IN 2002-MA785 A 20021024
WO 2002-IB5313 W 20021210

OTHER SOURCE(S): CASREACT 140:357115; MARPAT 140:357115
GI



I

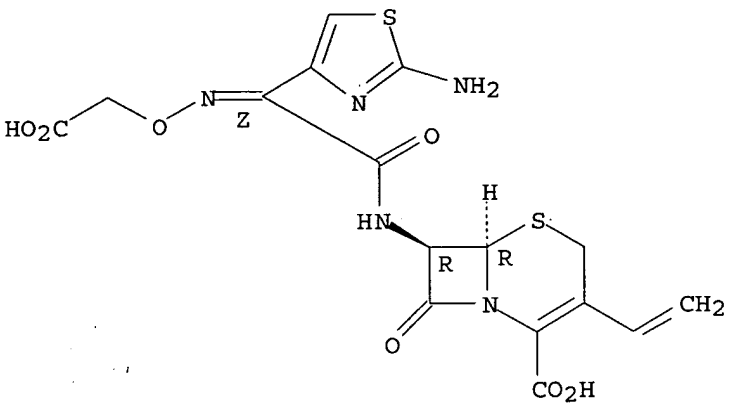
AB This invention provides an improved process for the preparation of Cefixime I (R = H) with an improved quality having/possessing better color and solubility Thus, ester I (R = Me) was treated with sodium bicarbonate in water and Et acetate followed by a 15% NaOH solution and subsequent adjustment of the pH of the soln to 4.8-5.0 with 19% aqueous HCl solution and further pH adjustment of the aqueous layer to 2.45-2.55 with 8-10% HCl to give the desired Cefixime in pure form.

IT **125110-14-7P**, Cefixime trihydrate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of Cefixime)

RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



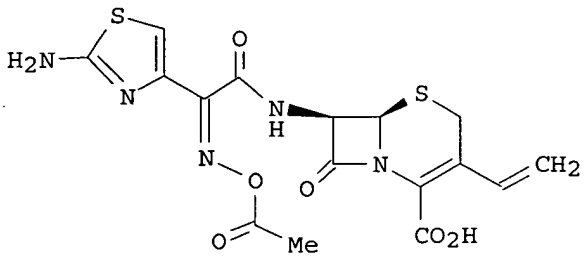
●3 H_2O

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:162698 CAPLUS
DOCUMENT NUMBER: 140:217437
TITLE: Process for the preparation of cefdinir intermediate
INVENTOR(S): Kremminger, Peter; Wolf, Siegfried; Ludescher, Johannes
PATENT ASSIGNEE(S): Sandoz G.m.b.H., Austria
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016623	A1	20040226	WO 2003-EP8944	20030812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2003255424	A1	20040303	AU 2003-255424	20030812
EP 1554289	A1	20050720	EP 2003-787771	20030812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006500356	T2	20060105	JP 2004-528469	20030812
US 2006025586	A1	20060202	US 2005-524397	20050211
PRIORITY APPLN. INFO.:			AT 2002-1223 A	20020813
			AT 2002-1588 A	20021018
			WO 2003-EP8944 W	20030812

OTHER SOURCE(S): MARPAT 140:217437
GI



I

AB A process is claimed for the synthesis of 7-[2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)acetamido]-3-vinyl-cephem-4-carboxylic acid (I), in the form of a crystalline salt, such as I.HX [X = Cl-, HSO4-,RYO3-, H2NSO3-, 1/2(SO4)2-; R = alkyl, aryl; Y = S, P], and their use in the preparation of pure cefdinir. Thus, a reactive derivative of syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid, e.g., syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid mercapto-benzothiazolyl ester is reacted with 7-amino-3-vinyl-3-cephem-4-carboxylic acid in silylated form to obtain I, in which the carboxylic acid is optionally silylated. In another aspect, the present invention relates to salt of I, optionally in crystalline form, wherein the salt is selected from the group consisting of phosphate, hydrogen phosphate, mesylate, tosylate, sulfate, hydrogen sulfate and sulfamate.

IT **663170-77-2P 663170-78-3P 663170-79-4P**
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and X-ray diffraction measurements of intermediates in the production of cefdinir)

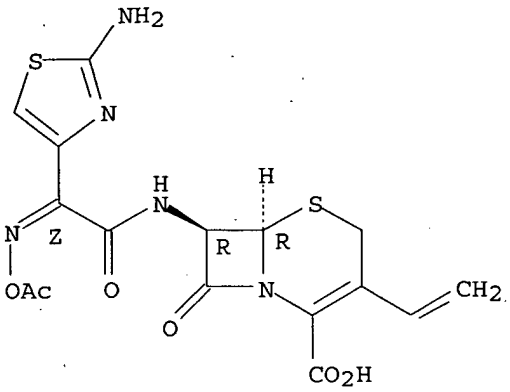
RN 663170-77-2 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

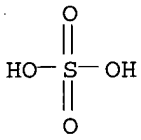
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-93-9
CMF H2 O4 S

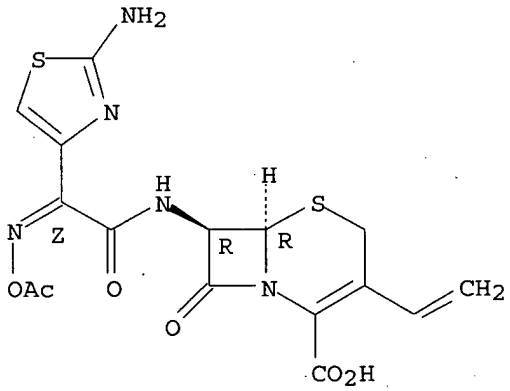


RN 663170-78-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

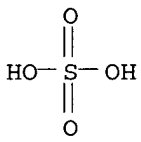
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-93-9
CMF H2 O4 S

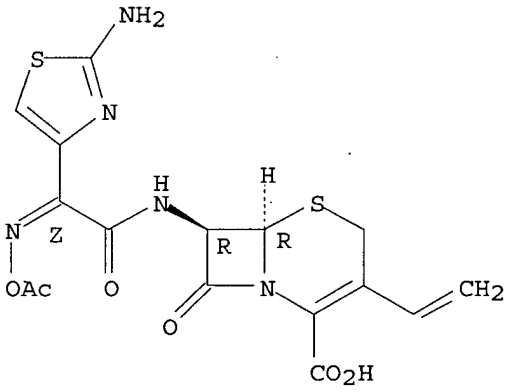


RN 663170-79-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

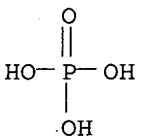
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-38-2
CMF H3 O4 P



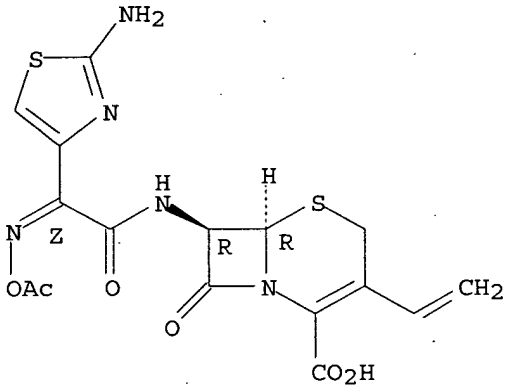
IT **443874-49-5P 663170-80-7P 663170-81-8P**
663170-82-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

(Preparation)
(process and intermediates in the production of cefdinir)

RN 443874-49-5 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



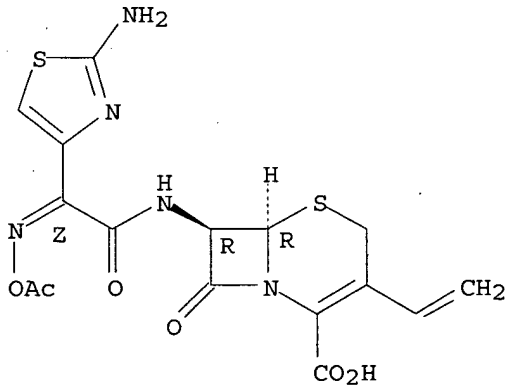
● HCl

RN 663170-80-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphonate (1:1) (9CI) (CA INDEX NAME)

CM 1

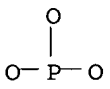
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 13598-36-2
CMF H3 O3 P

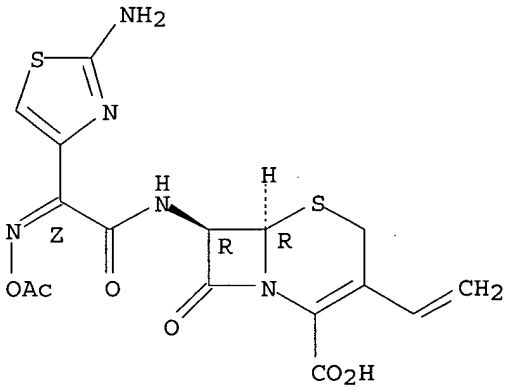


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 663170-81-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, monosulfamate (9CI) (CA INDEX NAME)

CM 1

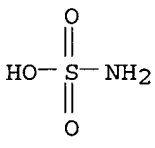
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 5329-14-6
CMF H3 N O3 S

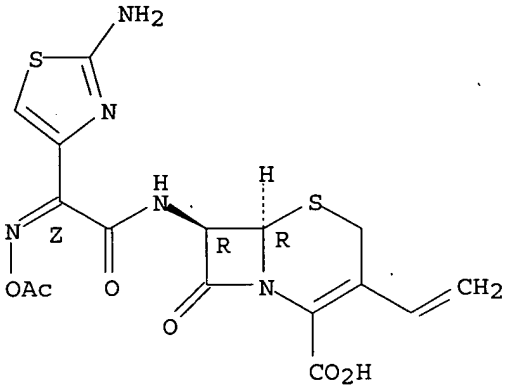


RN 663170-82-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

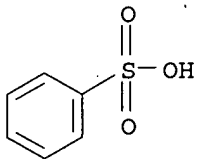
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 98-11-3
CMF C6 H6 O3 S



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:18736 CAPLUS
DOCUMENT NUMBER: 140:65237
TITLE: Extended-release drug delivery systems of cefixime trihydrate
INVENTOR(S): Khandelwal, Sanjeev; Omray, Pratibha
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004005361	A1	20040108	US 2003-456690		20030606
PRIORITY APPLN. INFO.:			IN 2002-MU506	A	20020706

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

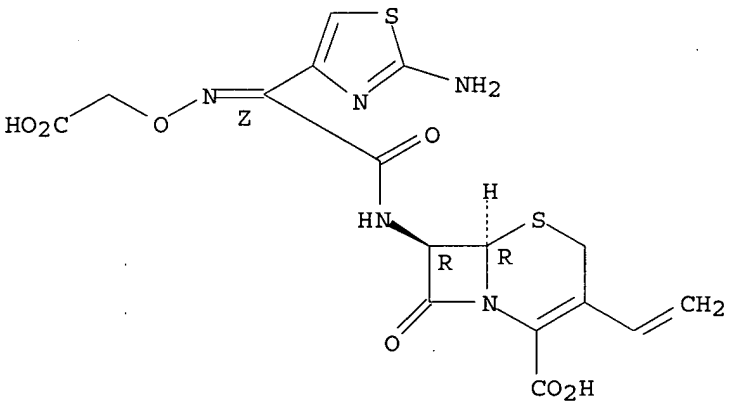
AB An extended-release oral drug delivery system comprises as active ingredient cefixime trihydrate (I) in combination with a hydrophilic matrix system, and optionally containing addnl. pharmaceutically acceptable constituents, wherein at least 20 % up to but not more than 40 % of I is released from the matrix within 1 h from oral administration and the remainder of the pharmaceutical agent is released at a sustained rate. Granules were prepared from a mixture containing I 30.36, lactose 4.27, starch 2.99, genistein 0.05, and PVP 0.7 kg, then mixed with HPMC 6.25, Et cellulose 0.5, talc 0.35, and Mg stearate 0.35 kg. The lubricated granules were compressed to give tablets and sprayed with a homogeneous solution containing methylene chloride, isopropanol, HPMC, Et cellulose, titania, plasticizers, and ethanol, to give coated tablets (containing 200 mg I/tablet).

IT **125110-14-7**, Cefixime trihydrate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(extended-release tablets containing cefixime trihydrate in hydrophilic matrix)

RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●3 H2O

L9 ANSWER 15 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:376868 CAPLUS

DOCUMENT NUMBER: 138:385207

TITLE: A process for the preparation of cefixime via alkylsulfonate or arylsulfonate salts

INVENTOR(S): Cabri, Walter; Alpegiani, Marco; Pozzi, Giovanni; Martin Gomez, Patricio; Oliva, Francesco

PATENT ASSIGNEE(S): Antibioticos S.P.A., Italy

SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003040148	A1	20030515	WO 2002-EP11405		20021011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1442044	A1	20040804	EP 2002-782888		20021011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
JP 2005508387	T2	20050331	JP 2003-542194		20021011
US 2005032771	A1	20050210	US 2004-494700		20040927
PRIORITY APPLN. INFO.:			IT 2001-MI2364	A	20011109
			WO 2002-EP11405	W	20021011

OTHER SOURCE(S): CASREACT 138:385207; MARPAT 138:385207
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cefixime (I) is prepared in high yield and selectivity by: (A) the amidation of a 7-amino-3-vinyl-3-cephem-4-carboxylic acid derivative [II; R1 = H, silyl; R2 = H, silyl, tert-Bu, 4-methoxybenzyl, 3,4-dimethoxybenzyl, benzhydryl, bis(p-methoxyphenyl)methyl] with a 2-(aminothiazol-4-yl)-2-(carboxymethoxyimino)acetic acid derivative [III; R3 = H, trityl, tert-butoxycarbonyl, 4-methoxybenzyloxycarbonyl; R4 = tert-Bu, p-methoxybenzyl, 3,4-dimethoxybenzyl, benzhydryl,bis(4-methoxyphenyl)methyl, trityl; Z = carboxy-activating group] to give a 7-[2-(aminothiazol-4-yl)-2-(carboxymethoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid derivative (IV); (B) directly reacting IV with a sulfonic acid RSO3H [R = C1-6 (un)branched chain, Ph, naphthyl] to give the cefixime salt (I·RSO3H·nH2O; n = 0-5); and (C) converting I·RSO3H·nH2O into I.

IT **524925-12-0P**, Cefixime methanesulfonate monohydrate
524925-13-1P, Cefixime methanesulfonate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in a process for the preparation of cefixime via alkylsulfonate or arylsulfonate salts)

RN 524925-12-0 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, mononmethanesulfonate, monohydrate (9CI) (CA INDEX NAME)

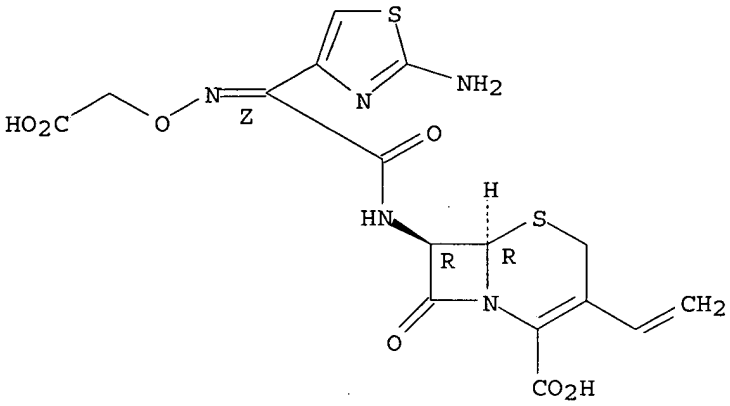
CM 1

CRN 79350-37-1

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

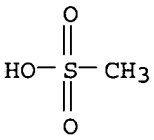
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 75-75-2
CMF C H4 O3 S

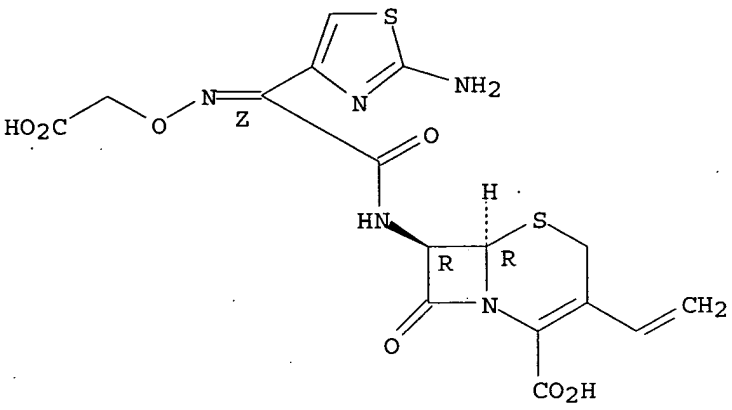


RN 524925-13-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

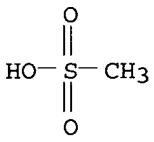
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



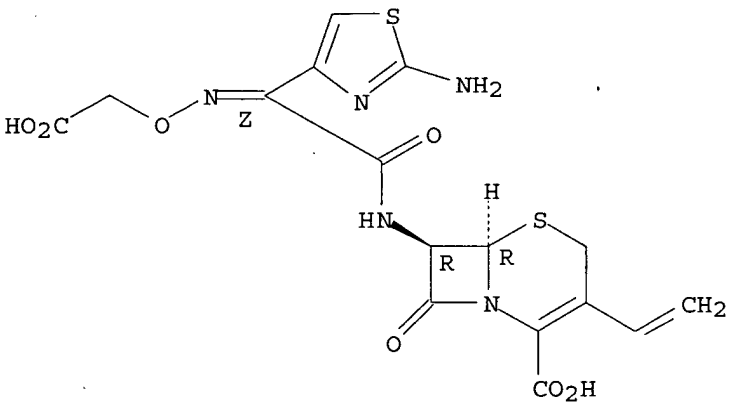
CM 2

CRN 75-75-2
CMF C H4 O3 S



IT **125110-14-7P**, Cefixime trihydrate
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of cefixime via alkylsulfonate or arylsulfonate salts)
RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

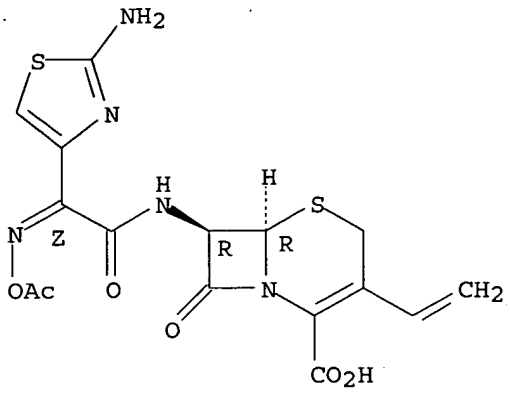


●3 H_2O

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:880903 CAPLUS
DOCUMENT NUMBER: 137:125013
TITLE: Synthesis of cefdinir
AUTHOR(S): Lin, Gui-chun; Liu, Li; Ma, Ling-tai; Min, Ji-mei; Zhang, Li-he
CORPORATE SOURCE: Natl. Res. Lab. Natural Biomimetic Drugs, Peking Univ., Beijing, 100083, Peop. Rep. China
SOURCE: Hecheng Huaxue (2001), 9(5), 383-385
CODEN: HEHUE2; ISSN: 1005-1511
PUBLISHER: Hecheng Huaxue Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 137:125013
AB Cefdinir was synthesized via the condensation of 2-(2-aminothiazol-4-yl)-2-(Z)-(acetyinmino)acetyl chloride with 7-amino-3-vinyl-3-cephem-4-carboxylic acid. Under the optimization reaction conditions 60% total yield was achieved.
IT **443874-49-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of cefdinir)
RN 443874-49-5 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

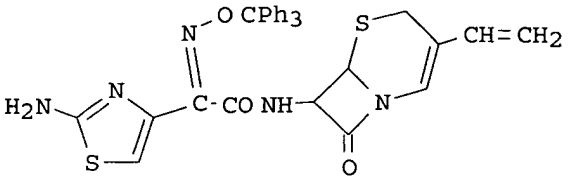


● HCl

L9 ANSWER 17 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:767504 CAPLUS
DOCUMENT NUMBER: 135:303724
TITLE: Preparation of 3-vinylcephem compound from protected compounds
INVENTOR(S): Kameyama, Yutaka; Fukae, Kazuhiro
PATENT ASSIGNEE(S): Ohtsuka Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294590	A2	20011023	JP 2000-111448	20000413
WO 2001079211	A1	20011025	WO 2001-JP3182	20010413
W: CN, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1273587	A1	20030108	EP 2001-919924	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1134445	B	20040114	CN 2001-800920	20010413
HK 1048112	A1	20041126	HK 2003-100146	20030107

PRIORITY APPLN. INFO.: JP 2000-111448 A 20000413
WO 2001-JP3182 W 20010413
OTHER SOURCE(S): CASREACT 135:303724; MARPAT 135:303724
GI



I

AB Cefdinir is prepared by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO4 and HCO2H at 30° for 1 h in CH2Cl2 to give 95% cefdinir.

IT **367267-68-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-vinylcephem compound from protected compds.)

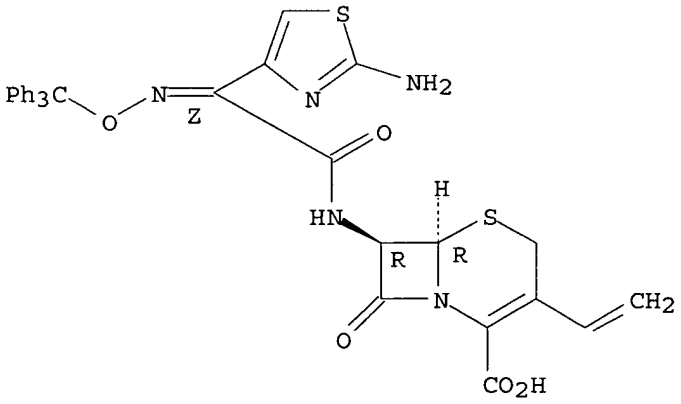
RN 367267-68-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with 2-methyl-N-[(4-methylphenyl)sulfonyl]propanamide (1:1) (9CI) (CA INDEX NAME)

CM 1

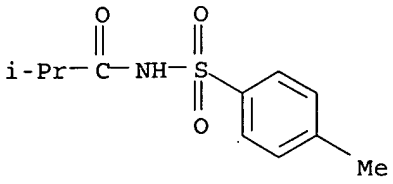
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 58821-27-5
CMF C11 H15 N O3 S



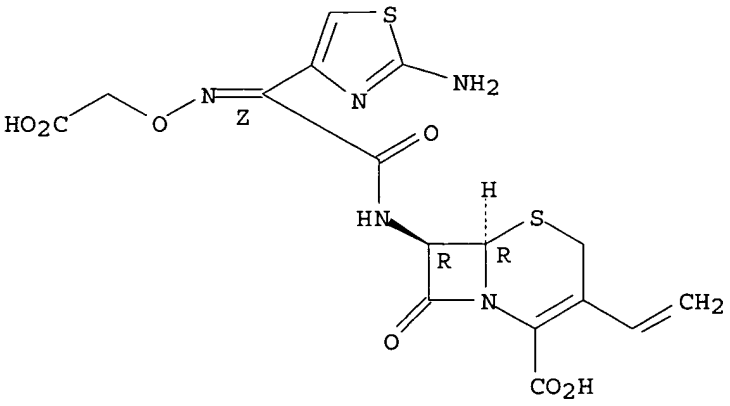
L9 ANSWER 18 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:748751 CAPLUS
DOCUMENT NUMBER: 136:25202
TITLE: Spectrophotometric determination of cefixime trihydrate
AUTHOR(S): Shankar, D. G.; Sushma, K.; Lakshmi, R. V.; Rao, Y. Srinivasa; Reddy, M. N.; Murthy, T. K.
CORPORATE SOURCE: Department of Pharmaceutical Sciences, Andhra University, Visakhapatnam, 530 003, India
SOURCE: Asian Journal of Chemistry (2001), 13(4), 1649-1651
CODEN: AJCHEW; ISSN: 0970-7077
PUBLISHER: Asian Journal of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two simple, sensitive and selective methods were developed for the determination of cefixime in pure and pharmaceutical prepns. Method A is based on the formation of green colored chromogen by oxidative coupling reaction with 3-methyl-2-benzothiazolinone hydrazone (MBTH) and ferric chloride having absorption maximum at 620 nm, whereas method B is based on the reduction and complex formation with ferric chloride and 1,10-phenanthroline which exhibit maximum absorption at 510 nm. These methods obey Beer's law in the concentration range of 1 to 15 μg/mL and 0.2 to 6 μg/mL resp. The methods are statistically evaluated for accuracy and precision.

IT **125110-14-7**, Cefixime trihydrate
RL: ANT (Analyte); ANST (Analytical study)
(cefixime trihydrate determination in pure and pharmaceutical prepns. by spectrophotometry using Me benzothiazolinone hydrazone or ferric chloride and phenanthroline)

RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



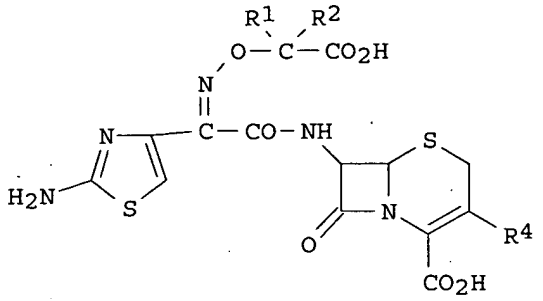
● 3 H_2O

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

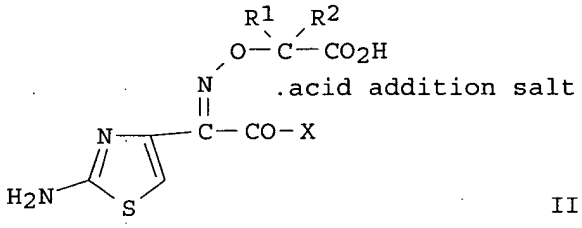
L9 ANSWER 19 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:713357 CAPLUS
DOCUMENT NUMBER: 135:272795
TITLE: Process for preparing cephalosporin derivatives via a new thiazole compound
INVENTOR(S): Yoon, Dae Chul; Yoo, Seung Won; Shin, Dong Gyun; Lee, Myoung Ki; Park, Mi Soon; Lee, Yoon Seok; Song, Yoon Seok; Lee, Ju Cheol; Oh, Sang Mi
PATENT ASSIGNEE(S): Hanmi Fine Chemicals Co. Ltd., S. Korea
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070749	A1	20010927	WO 2001-KR347	20010307
W: CN, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
KR 2001092130	A	20011024	KR 2000-14076	20000320
EP 1268488	A1	20030102	EP 2001-912531	20010307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2003528105	T2	20030924	JP 2001-568950	20010307

PRIORITY APPLN. INFO.: KR 2000-14076 A 20000320
WO 2001-KR347 W 20010307
OTHER SOURCE(S): CASREACT 135:272795; MARPAT 135:272795
GI

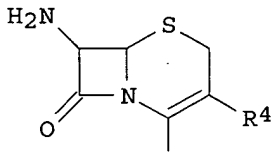


I



.acid addition salt

II



III

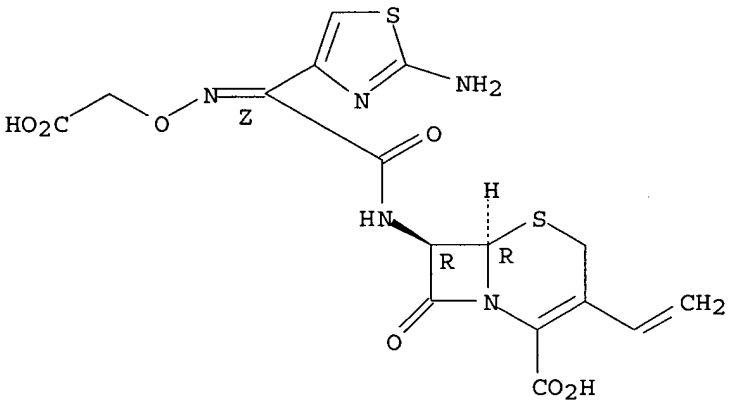
AB A process for the preparation of cephalosporin antibiotics I (R1 and R2 = same or different and are H, alkyl group of 1-4 carbon atoms, cycloalkyl group of 3-5 carbon atoms; R4 = acetoxymethyl, pyridiniummethyl, vinyl; X = Cl, Br; acid in the acid addition salt = HCl, HBr, H2SO4, HClO4, formic, acetic, trifluoroacetic, propionic, methanesulfonic or benzenesulfonic acid) where an acid addition salt of a crystalline aminothiazole compound II was acylated via the reaction of a 7-aminocephalosporanic acid derivative III was accomplished. Thus cefixime trihydrate was produced in 87% yield via the reaction of 7-amino-3-vinyl-3-cephem-4-carboxylic acid in ClCH2Cl and N,O-bis(trimethylsilyl)acetamide followed by addition of (Z)-2-(2-carboxymethoxyimino)-2-(2-thiazole-4-yl)acetylchloride HCl in Na hydrogen carbonate and iso-Pr ether and 6 N HCl. In this process using the aminothiazole II acylated by the 7-aminocephalosporanic acid derivative III in the indicated solvent, few or no byproducts were produced and the desired compound I could be directly obtained in high yield without the need for a deprotection step following acylation.

IT **125110-14-7P**, Cefixime trihydrate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of β-lactams via acylation with a new thiazole compound)

RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●3 H_2O

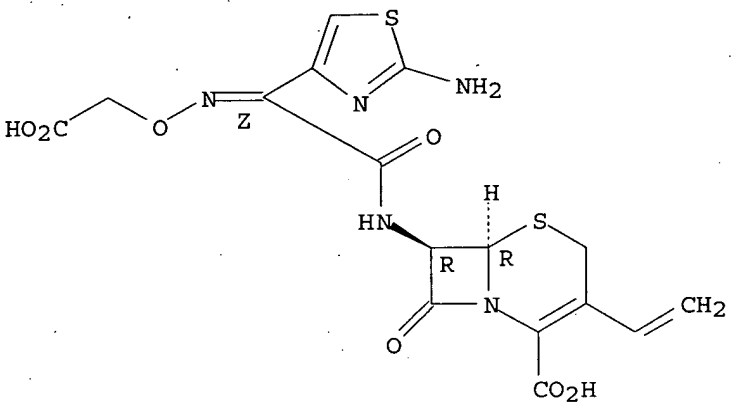
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:688527 CAPLUS
DOCUMENT NUMBER: 136:58929
TITLE: Reversed phase high performance liquid chromatographic determination of cefixime in bulk drugs
AUTHOR(S): Gonzalez-Hernandez, Rolando; Nuevas-Paz, Lauro; Soto-Mulet, Laritza; Lopez-Lopez, Miguel; Hoogmartens, Joseph
CORPORATE SOURCE: Dpto. de Analisis, Centro de Quimica Farmaceutica, Ciudad de La Habana, Cuba
SOURCE: Journal of Liquid Chromatography & Related Technologies (2001), 24(15), 2315-2324
CODEN: JLCTFC; ISSN: 1082-6076
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new technique for the quant. determination of cefixime trihydrate in bulk drugs
by HPLC was developed using simple reagents. Chosen conditions of anal. were as follows: LiChrospher 100 RP - 18 (250 + 4 mm I.D.) column, mobile phase consisting of phosphate buffer pH 7.0 and MeCN (93:7, volume/volume), flow rate of 0,8 mL/min, loop of 20 μL and UV detection at 287 nm. The prospective validation of this technique showed that it is linear at 0.1-0.6 mg/mL (r = 0.9997), sensitive (0.3 %), precise (within-a-day repeatability, relative standard deviation = 1.0 %, day-to-day repeatability relative standard deviation = 1.3 %), accurate and selective (cefixime can be determined in presence of its related compds.). The limits of detection and quantitation are 37 ng (0.3 %) and 128 ng (1.1 %), resp., relative to a 0.6 mg/mL solution
IT **125110-14-7**, Cefixime trihydrate
RL: ANT (Analyte); ANST (Analytical study)
(reversed phase high performance liquid chromatog. determination of cefixime in
bulk drugs)
RN 125110-14-7 CAPLUS

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● 3 H_2O

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:564833 CAPLUS
DOCUMENT NUMBER: 135:152367
TITLE: Nitrate salts of antimicrobial agents
INVENTOR(S): Del Soldato, Piero; Benedini, Francesca; Antognazza, Patrizia
PATENT ASSIGNEE(S): Nicox S.A., Fr.
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054691	A1	20010802	WO 2001-EP430	20010116
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 1317735	B1	20030715	IT 2000-MI92	20000126
CA 2397754	AA	20010802	CA 2001-2397754	20010116
AU 2001037308	A5	20010807	AU 2001-37308	20010116
BR 2001007824	A	20021105	BR 2001-7824	20010116
EP 1253924	A1	20021106	EP 2001-909631	20010116

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003520814	T2	20030708	JP 2001-554675		20010116
US 2003105066	A1	20030605	US 2002-181424		20020724
US 6794372	B2	20040921			
PRIORITY APPLN. INFO.:			IT 2000-MI92	A	20000126
			WO 2001-EP430	W	20010116

OTHER SOURCE(S): MARPAT 135:152367

AB Nitrate salts of antiviral, antifungal, and antibacterial agents such as acyclovir, tetracycline, etc. were prepared Growth inhibition of, e.g., an S. Aureus strain by title compds. was demonstrated.

IT **352465-67-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nitrate salts of antimicrobial agents)

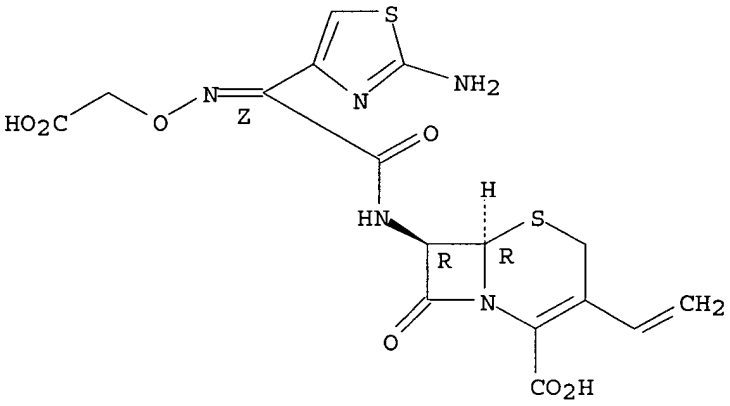
RN 352465-67-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, nitrate (9CI) (CA INDEX NAME)

CM 1

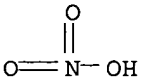
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7697-37-2
CMF H N O3

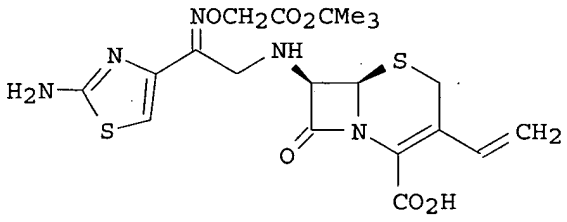


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 22 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:158096 CAPLUS
DOCUMENT NUMBER: 132:166060
TITLE: Preparation of crystalline salts of 7-[2-(2-aminothiazol-4-yl)-2-(tert-butoxycarbonylmethoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid
INVENTOR(S): Decristoforo, Martin; Ludescher, Johannes; Miller, Ludwig; Sturm, Hubert; Veit, Werner; Wolf, Siegfried
PATENT ASSIGNEE(S): Biochemie GmbH, Austria
SOURCE: Austrian, 10 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 405402	B	19990825	AT 1997-2058	19971204
AT 9702058	A	19981215		
PRIORITY APPLN. INFO.:			AT 1997-2058	19971204

OTHER SOURCE(S): MARPAT 132:166060
GI



I

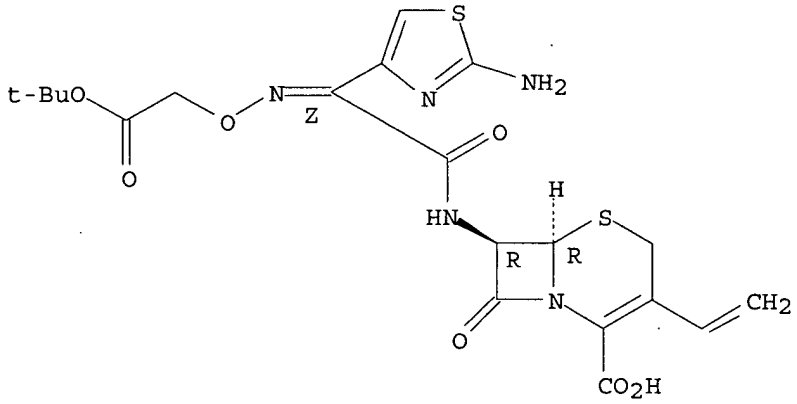
AB Crystalline salts I · NR1R2R3 [R1 = R2 = R3 = Et; R1 = R2 = cyclohexyl, R3 = H; R1 = R2 = H, R3 = tert-octyl (CMe2CH2CMe3)] of 7-[2-(2-aminothiazol-4-yl)-2-(tert-butoxycarbonylmethoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid (I) are prepared Thus, I·NCMe2CH2CMe3 was prepared via N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid in EtOAc with 2-(aminothiazol-4-yl)-2-(tert-butoxycarbonylmethoxyimino)acetic acid S-mercaptobenzothiazolyl ester dimethylacetamide sulfate followed by mixing with Me3CCH2CMe2NH2 in AcOEt.
IT **210702-13-9P 210702-14-0P 210702-15-1P**
258871-56-6P 258871-57-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystalline salts of a 3-vinyl-3-cephem-4-carboxylic acid derivative)
RN 210702-13-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with 2,4,4-trimethyl-2-pentanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 79368-92-6

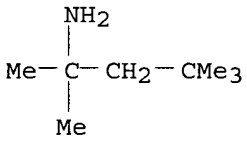
CMF C20 H23 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 107-45-9
CMF C8 H19 N

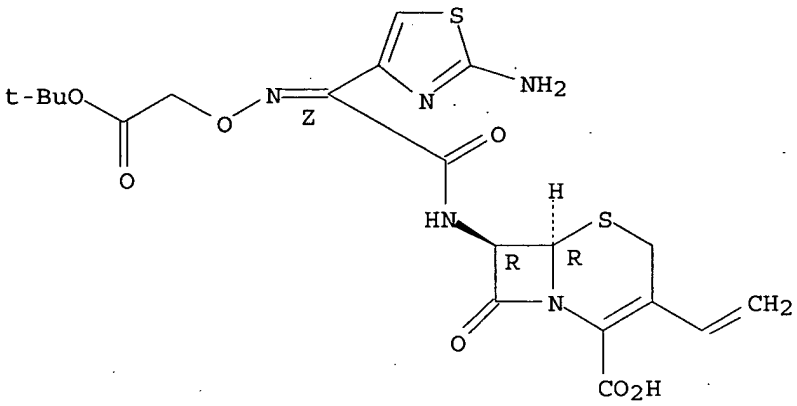


RN 210702-14-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

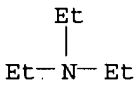
CRN 79368-92-6
CMF C20 H23 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 121-44-8
CMF C6 H15 N

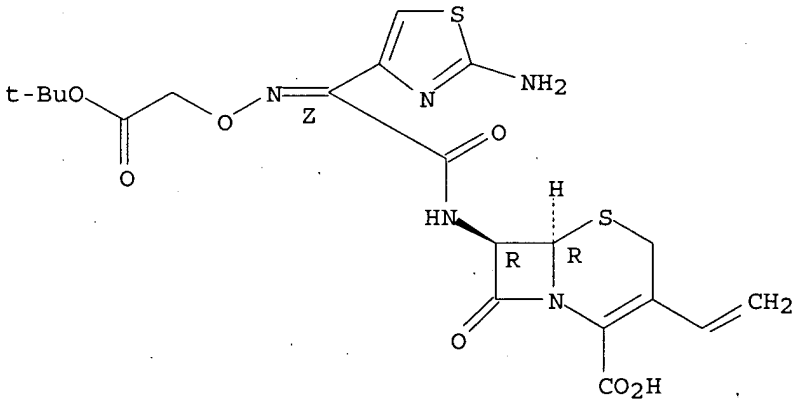


RN 210702-15-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

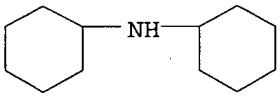
CRN 79368-92-6
CMF C20 H23 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 101-83-7
CMF C12 H23 N

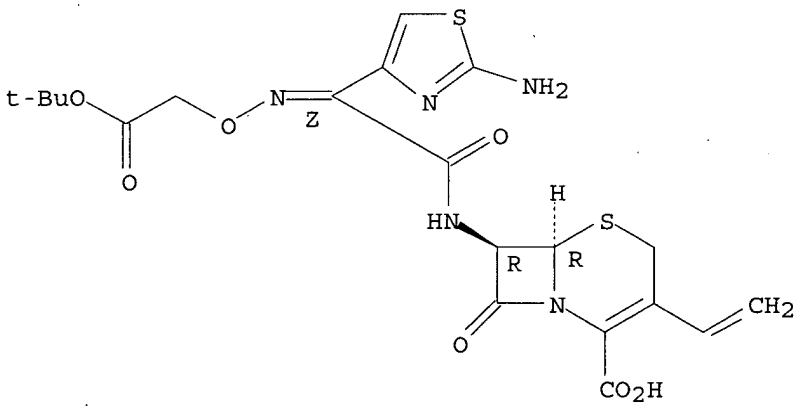


RN 258871-56-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2E)-2-(2-amino-4-thiazolyl)-2-[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]ethyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

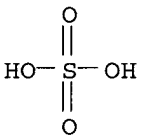
CRN 79368-92-6
CMF C20 H23 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-93-9
CMF H2 O4 S

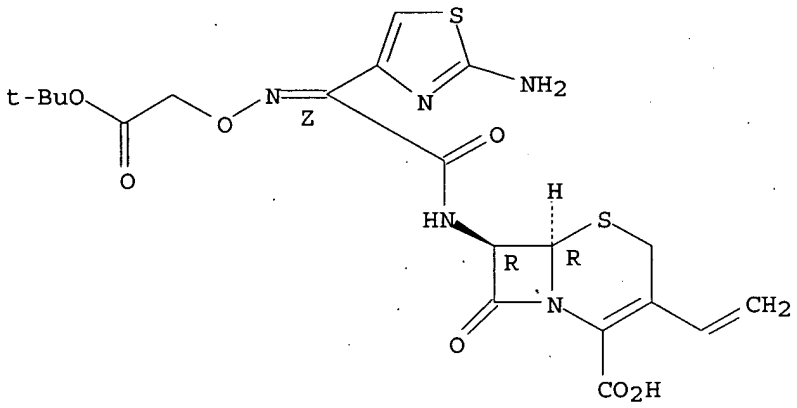


RN 258871-57-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2E)(2-amino-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

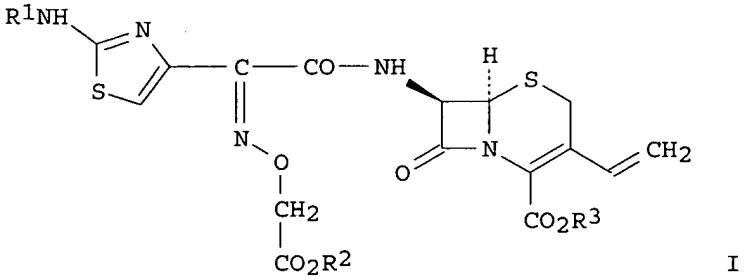


●3 H_2O

L9 ANSWER 23 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:672823 CAPLUS
DOCUMENT NUMBER: 131:286331
TITLE: Process for producing a cephem compound by deprotection using phenols or phenols and protonic acids
INVENTOR(S): Kameyama, Yutaka
PATENT ASSIGNEE(S): Otsuka Kagaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952913	A1	19991021	WO 1999-JP1942	19990413
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9934432	A1	19991101	AU 1999-34432	19990413
PRIORITY APPLN. INFO.:			JP 1998-121888 A	19980414
			WO 1999-JP1942 W	19990413

OTHER SOURCE(S): CASREACT 131:286331; MARPAT 131:286331
GI



I

AB Cephem compound I is prepared by deprotecting II [R1 = H, CHO, trityl group containing electron donating groups on the Ph rings; R2 = tert-Bu, naphthylmethyl, anthrylmethyl, benzyl group containing electron donating groups on the Ph ring, benzhydryl group containing electron donating groups on the Ph rings; R3 = naphthylmethyl, anthrylmethyl, benzyl group containing electron donating groups on the Ph ring] with phenols alone, or with a combination of phenols and protonic acids. Thus, II [R1 = H, R2 = t-Bu, R3 = CH2-C6H4-OMe-p] was stirred with p-toluenesulfonic acid and m-cresol at room temperature for 3 h to give 98.6% I.

IT **202843-53-6P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for producing cephem compound by deprotection using phenols or phenols and protonic acids)

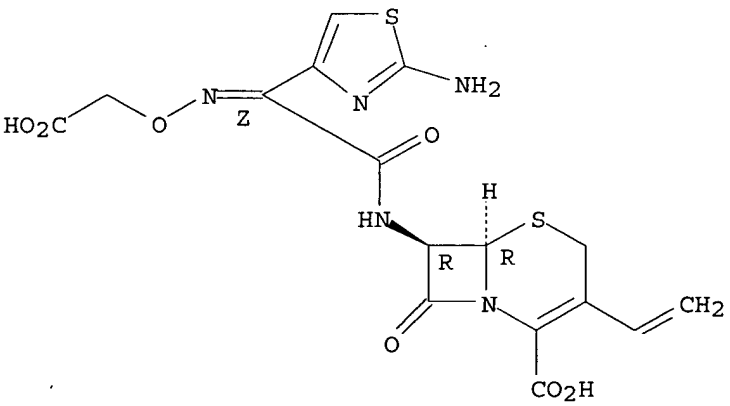
RN 202843-53-6 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

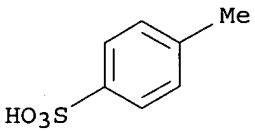
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



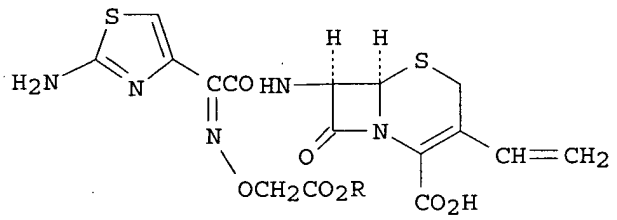
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 24 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:659390 CAPLUS
DOCUMENT NUMBER: 131:286328
TITLE: Process for purification of cefixime, a cephalosporin derivative
INVENTOR(S): Decristoforo, Martin; Ludescher, Johannes; Sturm, Hubert
PATENT ASSIGNEE(S): Biochemie G.m.b.H., Austria
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

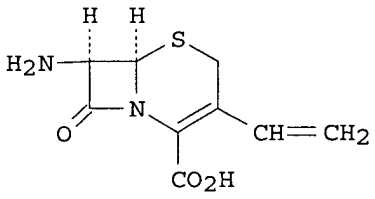
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951607	A2	19991014	WO 1999-EP2222	19990331
WO 9951607	A3	20000127		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AT 9800575	A	20000115	AT 1998-575	19980402
AT 406773	B	20000825		
CA 2326441	AA	19991014	CA 1999-2326441	19990331
AU 9936035	A1	19991025	AU 1999-36035	19990331
BR 9909898	A	20001226	BR 1999-9898	19990331
EP 1068211	A2	20010117	EP 1999-917938	19990331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
TR 200002838	T2	20010221	TR 2000-200002838	19990331
JP 2002510694	T2	20020409	JP 2000-542328	19990331
CN 1134446	B	20040114	CN 1999-804620	19990331
ZA 2000004899	A	20011014	ZA 2000-4899	20000914
US 2003208065	A1	20031106	US 2002-261748	20020930
US 6825345	B2	20041130		

PRIORITY APPLN. INFO.:		
AT 1998-575	A	19980402
WO 1999-EP2222	W	19990331
US 2000-669645	B1	20000926

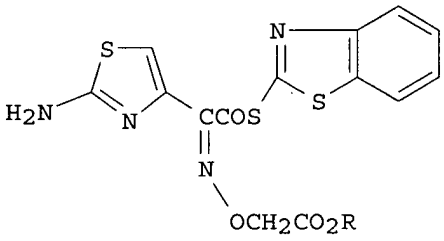
GI



I



II



III

AB A process for the production and purification of a cephalosporin derivative I (R = alkyl or aryl where the amine group attached to the thiazolyl ring is free or protected) comprising reacting II in free form, protected form or a salt with a compound III (R = defined above and the amine group attached to the thiazolyl ring is free or protected) was accomplished. Thus cefixime I (R = Me) as the H2NCMe2CH2CMe3 salt was prepared via the reaction of 2-(2-amino-4-thiazolyl)-(Z)-2-(methoxycarbonylmethoxyimino)acetic acid and 2,2'-benzothiazolyl disulfide and the product obtained was further reacted with 7-amino-3-vinylceph-3-em-4-carboxylic acid followed by tert-octylamine.

IT **246035-37-0P**
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and purification the β-lactam cefixime)

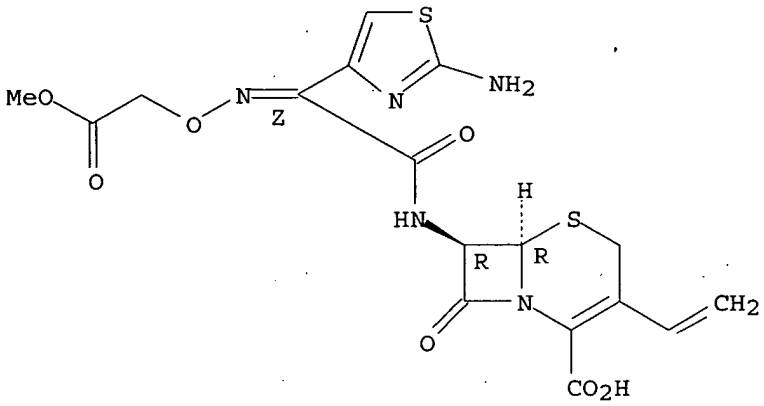
RN 246035-37-0 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(2-methoxy-2-oxoethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with 2,4,4-trimethyl-2-pentanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

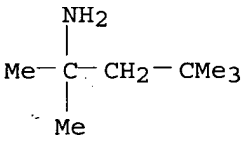
CRN 88621-01-6
CMF C17 H17 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



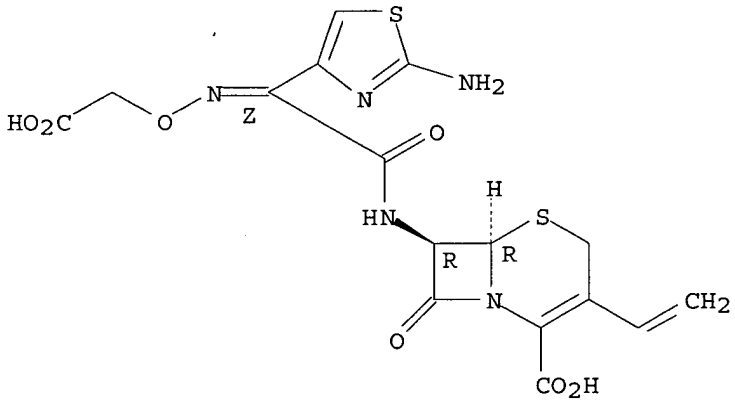
CM 2

CRN 107-45-9
CMF C8 H19 N



IT **125110-14-7P**
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(preparation and purification the β-lactam cefixime)
RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



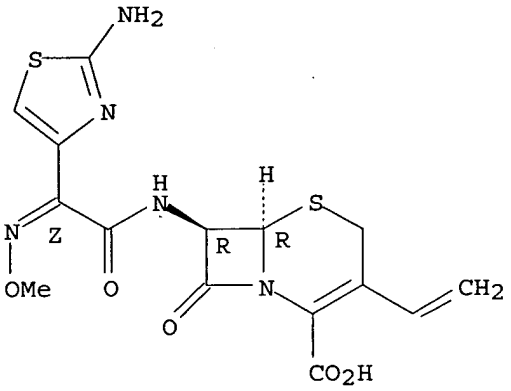
●3 H_2O

L9 ANSWER 25 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:710723 CAPLUS
DOCUMENT NUMBER: 130:66294
TITLE: An effective and convenient esterification of cephalosporin derivatives by using quaternary ammonium salts as catalysts
AUTHOR(S): Lee, Hong Woo; Kang, Tae Won; Kim, Eung-Nam; Shin, Jaewook; Cha, Kyung Hoi; Cho, Dong Ock; Choi, Nam Hee; Kim, Jung-Woo; Hong, Chung, II
CORPORATE SOURCE: Research Institute, Chong Kun Dang Corp., Seoul, 152-600, S. Korea
SOURCE: Synthetic Communications (1998), 28(23), 4345-4354
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:66294

AB A method for preparing cephalosporin derivs. by reacting cephalosporin alkaline metal salts with organic halide in the presence of quaternary ammonium salts catalyst is disclosed. Δ3 To Δ2 isomerization, a side reaction commonly reported in preparation of cephalosporin derivs., was successfully eliminated. The desired Δ3 was obtained as a sole product in the reaction.

IT **79350-44-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of cephalosporin derivs. via quaternary ammonium salt catalysis)
RN 79350-44-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● Na

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 26 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:509198 CAPLUS
DOCUMENT NUMBER: 129:136023
TITLE: Preparation of cefixime from aminovinylcephemcarboxylate and (aminothiazolyl)(carboxymethoxyimino)acetic acid derivatives
INVENTOR(S): Ludescher, Johannes; Miller, Ludwig; Sturm, Hubert; Veit, Werner; Decristoforo, Martin; Wolf, Siegfried
PATENT ASSIGNEE(S): Biochemie G.m.b.H., Austria
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831685	A1	19980723	WO 1998-EP190	19980114
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AT 9700061	A	19980615	AT 1997-61	19970116
AT 404726	B	19990225		
AT 9700062	A	19980615	AT 1997-62	19970116
AT 404727	B	19990225		
TW 538045	B	20030621	TW 1998-87100131	19980107
AU 9866141	A1	19980807	AU 1998-66141	19980114
EP 968214	A1	20000105	EP 1998-907945	19980114
EP 968214	B1	20040407		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2000514090	T2	20001024	JP 1998-533299	19980114
AT 263774	E	20040415	AT 1998-907945	19980114
ES 2219874	T3	20041201	ES 1998-907945	19980114
US 6313289	B1	20011106	US 1999-341542	19990804
HK 1024698	A1	20050128	HK 2000-104089	20000704
JP 2004155793	A2	20040603	JP 2004-10146	20040119

PRIORITY APPLN. INFO.:

AT 1997-61	A	19970116
AT 1997-62	A	19970116
EP 1998-907945	A	19980114
JP 1998-533299	A3	19980114
WO 1998-EP190	W	19980114

OTHER SOURCE(S): CASREACT 129:136023; MARPAT 129:136023
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The process of preparing cefixime [I; R = NH2, R1 = R2 = H] involves reaction of II [R7 = H, alkyl, cycloalkyl, alkylaryl, aryl, aralkyl, silyl; R5, R6 = H, leaving group] with a 2-(aminothiazol-4-yl)-2-(carboxymethoxyimino)acetic acid derivative [III; R9 = alkyl, cycloalkyl, alkylaryl, aryl, aralkyl; R10 = H; R11 = H, silyl, acyl], reacting the resulting I [R = NR10R11, R1 = R7, R2 = R9] (IV) with NR1R2R3 [R1, R2, R3 = H, alkyl, cycloalkyl, alkylaryl, aryl, aralkyl], treating the resulting crystalline IV.NR1R2R3 with H2SO4, and decomposing the resulting cefixime sulfate.

Thus, III [R9 = t-Bu, R10 = R11 = H].MeCONMe2 (preparation given) was reacted with II [R5 = R6 = R7 = H] in aqueous EtOAc containing Et3N and the product treated with H3PO4 and then tert-octylamine to give I [R = NH2, R1 = H, R2 = tBu].tert-octylamine, which was treated with H2SO4 in MeCN containing HCOOH to give cefixime addition salt with sulfuric acid, which in water was treated with NH3 to give cefixime of 99% purity.

IT **210702-13-9P 210702-14-0P 210702-15-1P**
210702-16-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cefixime from aminovinylcephemcarboxylate and (aminothiazolyl)(carboxymethoxyimino)acetic acid derivs.)

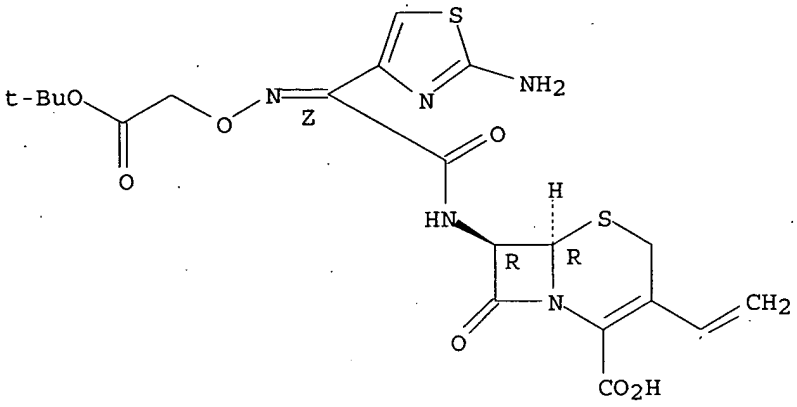
RN 210702-13-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with 2,4,4-trimethyl-2-pentanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

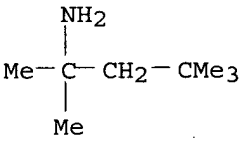
CRN 79368-92-6
CMF C20 H23 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 107-45-9
CMF C8 H19 N

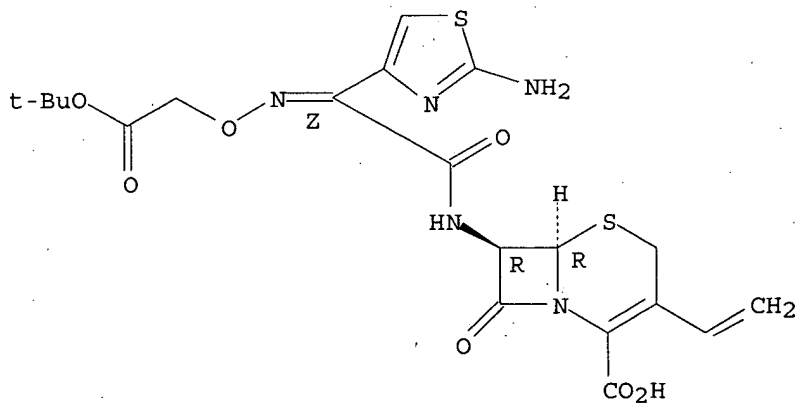


RN 210702-14-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

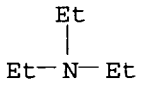
CRN 79368-92-6
CMF C20 H23 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 121-44-8
CMF C6 H15 N

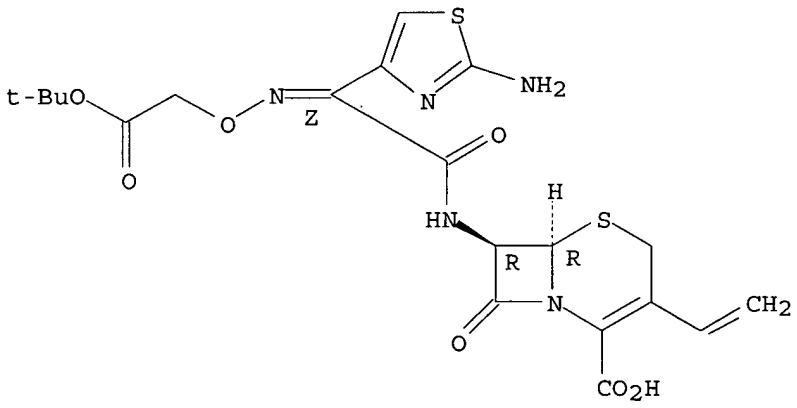


RN 210702-15-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

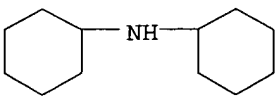
CRN 79368-92-6
CMF C20 H23 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 101-83-7
CMF C12 H23 N



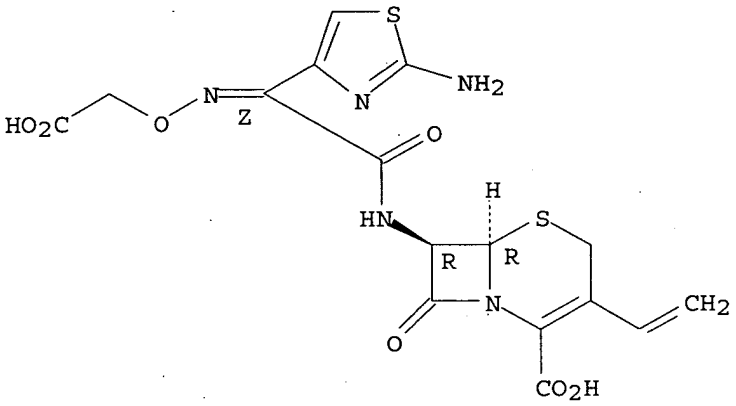
RN 210702-16-2 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

CM 1

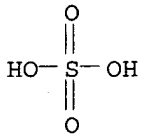
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-93-9
CMF H2 O4 S



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 27 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:126256 CAPLUS
DOCUMENT NUMBER: 128:167306
TITLE: Purification of cefixime via amine salts
INVENTOR(S): Miller, Ludwig; Sturm, Hubert
PATENT ASSIGNEE(S): Biochemie G.m.b.H., Austria; Miller, Ludwig; Sturm, Hubert
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806723	A1	19980219	WO 1997-EP4439	19970813

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG

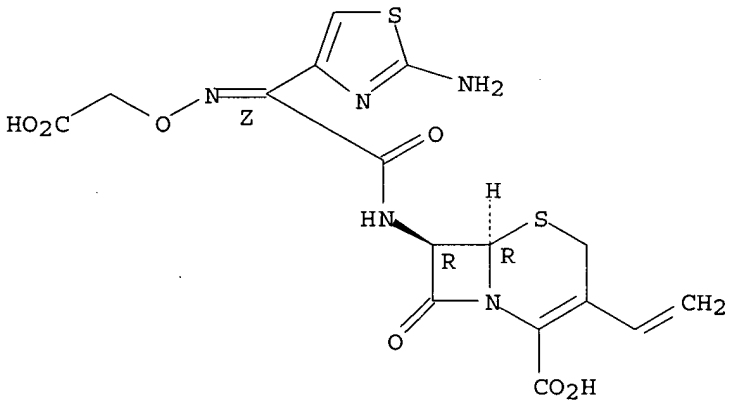
AT 9601468	A	19980215	AT 1996-1468		19960814
AT 404251	B	19981027			
AU 9746168	A1	19980306	AU 1997-46168		19970813
PRIORITY APPLN. INFO.:			AT 1996-1468	A	19960814
			WO 1997-EP4439	W	19970813

AB Cefixime in form of a salt with dicyclohexylamine, e.g. a bis-dicyclohexylammonium salt, was prepared in a process for purification of cefixime. Thus, impure cefixime-trihydrate was treated with dicyclohexylamine in acetone and water to give cefixime-bis-dicyclohexylamine salt, which was mixed with water and activated carbon and the pH adjusted to 2.5 by addition of sulfuric acid to give cefixime trihydrate.

IT **125110-14-7P 202843-54-7P**
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(purification of cefixime via amine salts)

RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



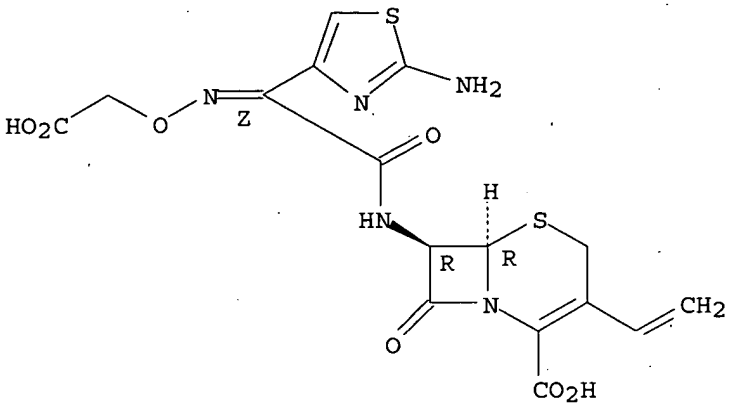
●3 H_2O

RN 202843-54-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, compd. with N-cyclohexylcyclohexanamine (1:2) (9CI) (CA INDEX NAME)

CM 1

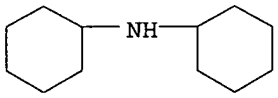
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 101-83-7
CMF C12 H23 N

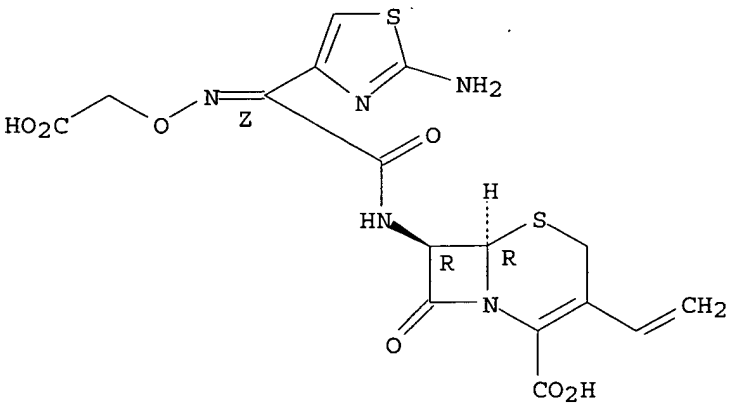


IT **202843-53-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(purification of cefixime via amine salts)
RN 202843-53-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

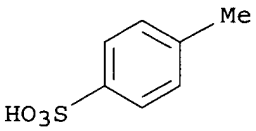
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 28 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:547291 CAPLUS
DOCUMENT NUMBER: 127:149040
TITLE: Process for preparation of cefdinir
INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724358	A1	19970710	WO 1996-KR250	19961226
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 174432	B1	19990218	KR 1995-58694	19951227
KR 174431	B1	19990218	KR 1995-58695	19951227
EP 874853	A1	19981104	EP 1996-943357	19961226
EP 874853	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

JP 2000502700	T2	20000307	JP 1997-524230		19961226
AT 218572	E	20020615	AT 1996-943357		19961226
PT 874853	T	20020930	PT 1996-943357		19961226
ES 2175167	T3	20021116	ES 1996-943357		19961226
US 6093814	A	20000725	US 1998-68719		19980518
PRIORITY APPLN. INFO.:			KR 1995-58694	A	19951227
			KR 1995-58695	A	19951227
			WO 1996-KR250	W	19961226

OTHER SOURCE(S): CASREACT 127:149040; MARPAT 127:149040

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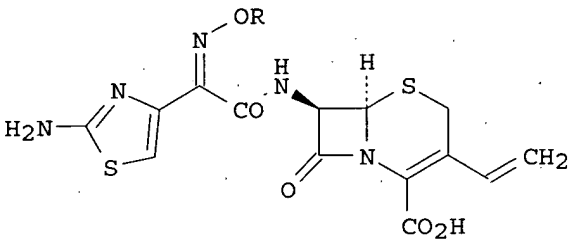

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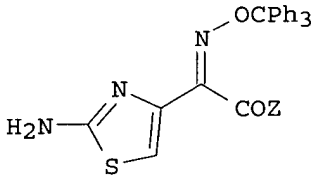


II

AB Cefdinir I (R = H), a cephalosporin antibiotic, was prepared in an excellent color and purity and with a good yield. Cefdinir was prepared by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II (Z = 2-benzothiazolylthio) and crystallization of the resulting ester with 4-MeC6H4SO3H and Me2NCOMe to form crystals of I (R = CPh3).4-MeC6H4SO3H.2Me2NCOMe, which were then converted to cefdinir with the use of formic acid. Formation of the cefdinir amide linkage was also accomplished starting from phosphoryl ester II [Z = OP(O)(OEt)2].

IT **193402-46-9P**

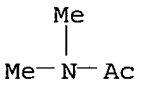
RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of cefdinir)

RN 193402-46-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(4-methylbenzenesulfonate), compd. with N,N-dimethylacetamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 127-19-5
CMF C4 H9 N O



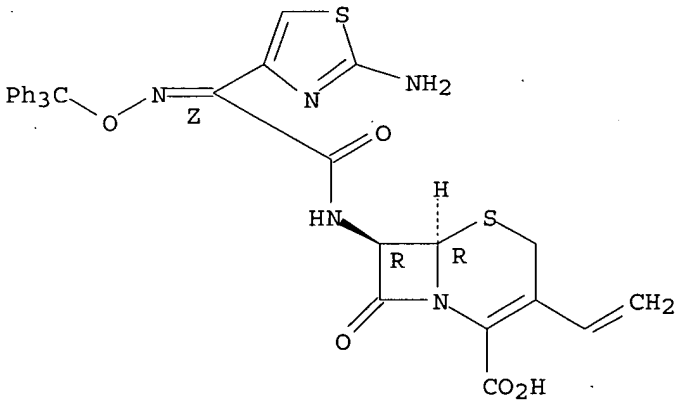
CM 2

CRN 193402-45-8
CMF C33 H27 N5 O5 S2 . C7 H8 O3 S

CM 3

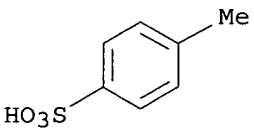
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



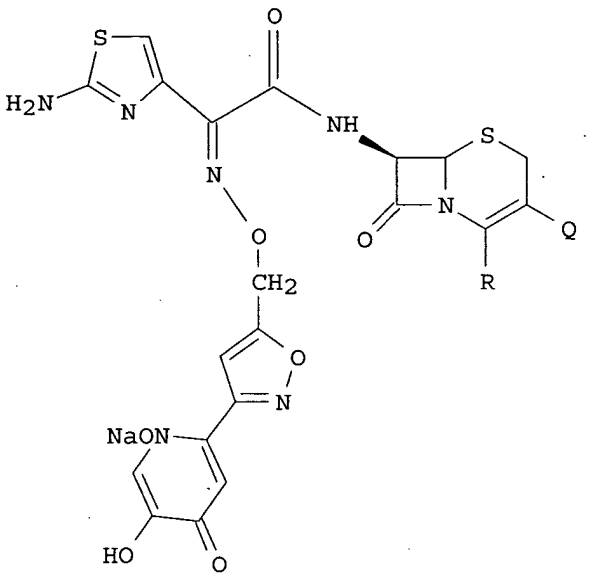
CM 4

CRN 104-15-4
CMF C7 H8 O3 S



L9 ANSWER 29 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:253486 CAPLUS
DOCUMENT NUMBER: 126:277312
TITLE: Studies on new catechol containing cephalosporins. III. Synthesis and structure-activity relationships of cephalosporins having a pyridone moiety at the C-7 position
AUTHOR(S): Choi, Kyung Il; Cha, Joo Hwan; Pae, Ae Nim; Cho, Yong Seo; Koh, Hun Yeong; Chang, Moon Ho; Kang, Han-Young;

Chung, Bong Young
CORPORATE SOURCE: Division of Applied Science, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SOURCE: Journal of Antibiotics (1997), 50(3), 279-282
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



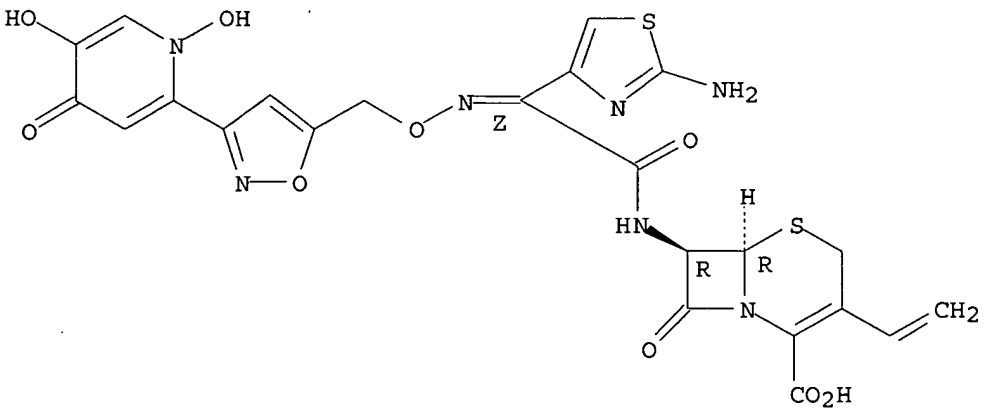
I

AB Cephalosporins I [Q = H, Cl, CH:CH2,CH2OAc, 1-methyl-5-tetrazolylthiomethyl, R = CO2Na; Q = 1-R1-pyridinium-4-ylthiomethyl, R1 = Et, CH2CH2OH, CH2CO2-, NHMe, R = CO2-] were prepared I all exhibit good antibacterial activity against both gram-pos. and gram-neg. bacteria, especially Pseudomonas aeruginosa.

IT **189017-35-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of bactericidal pyridylisoxazolylmethoxyiminoacetamidocephalosporins)

RN 189017-35-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[[3-(1,4-dihydro-1,5-dihydroxy-4-oxo-2-pyridinyl)-5-isoxazolyl]methoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



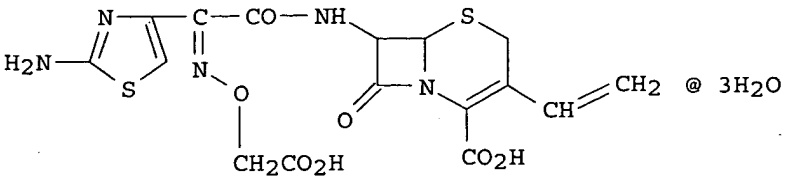
●2 Na

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 30 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:110431 CAPLUS
DOCUMENT NUMBER: 124:145747
TITLE: Process for the preparation of trihydrated cefixime
INVENTOR(S): Picornell Dardes, Carlos
PATENT ASSIGNEE(S): Marcham Trading Investment Ltd., Ire.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533753	A1	19951214	WO 1995-EP1759	19950510
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CH 688319	A	19970731	CH 1994-1751	19940603
AU 9526702	A1	19960104	AU 1995-26702	19950510
EP 763043	A1	19970319	EP 1995-921739	19950510
EP 763043	B1	19980923		
R: AT, BE, CH, DE, DK, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 171454	E	19981015	AT 1995-921739	19950510
ES 2120911	A1	19981101	ES 1996-50004	19960202
ES 2120911	B1	19990701		

PRIORITY APPLN. INFO.: CH 1994-1751 A 19940603
WO 1995-EP1759 W 19950510
OTHER SOURCE(S): CASREACT 124:145747
GI



I

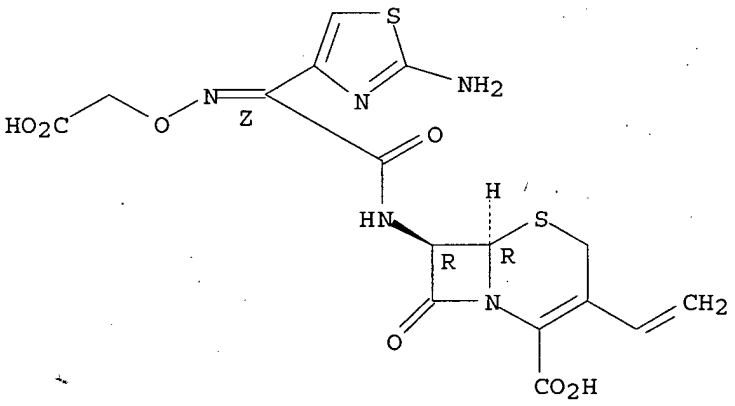
AB The invention relates to a process for the preparation of trihydrated cefixime (I) by reacting a functional derivative of N-protected (Z)-2-(2-aminothiazol-4-yl)-2-(tert-butoxycarbonylmethoxyimino)acetic acid with tert-Bu 7-amino-3-vinyl-3-cephem-4-carboxylate, or one of the salts thereof and, after removal of the protection group from the product thus obtained, by treating the product of the reaction with aluminum trichloride and anisole. This new process is carried out by using the new intermediate 7β-[(Z)-2-(2-aminothiazol-4-yl)-2-(tert-butoxycarbonylmethoxyimino)ac etamido]-3-vinyl-3-cephem-4-carboxylate of tert-Bu, optionally N-protected on the thiazolic amine.

IT **125110-14-7P**, Cefixime trihydrate
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of trihydrated cefixime)

RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

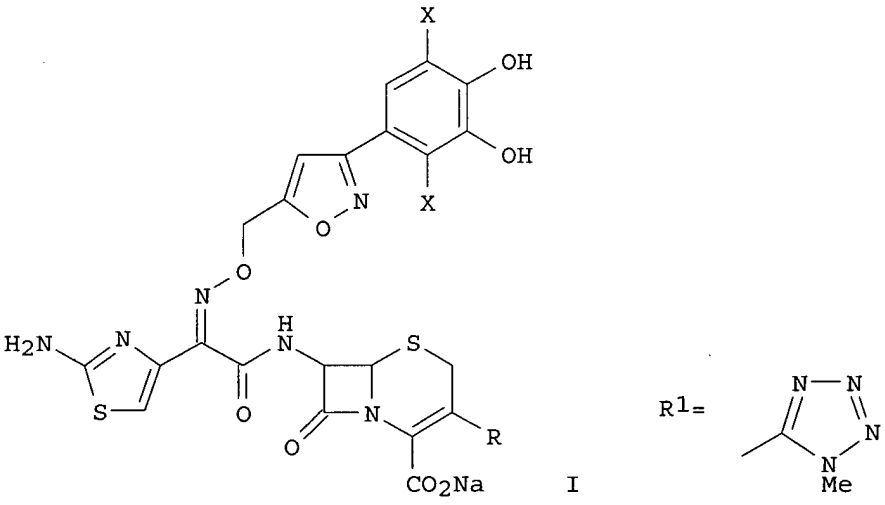


● 3 H_2O

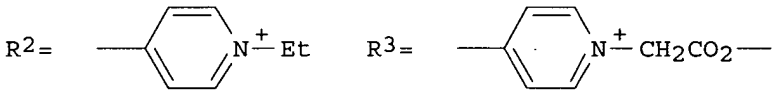
L9 ANSWER 31 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:968353 CAPLUS
DOCUMENT NUMBER: 124:116911
TITLE: Studies on new catechol containing cephalosporins. II. Synthesis and structure-activity relationships of cephalosporins having a catechol moiety at the C-7 position

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

AUTHOR(S): Choi, Kyung Il; Cha, Joo Hwan; Pae, Ae Nim; Cho, Y ong Seo; Kang, Han-Young; Koh, Hun Yeong; Chang, Moon Ho
CORPORATE SOURCE: Div. Applied Science, Korea Inst. Science Technology, Seoul, 130-650, S. Korea
SOURCE: Journal of Antibiotics (1995), 48(11), 1375-7
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:116911
GI



I



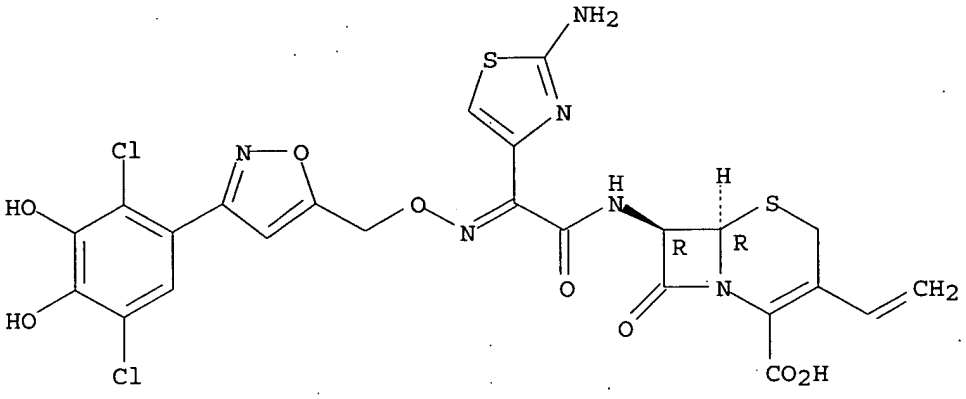
AB We wish to report the synthesis and structure-activity relationship of cephalosporins, e.g. I (X = H, Cl; R = H, CH2OAc, CH:CH2, CH2SR1, CH2SR2, CH2SR3), having a catechol moiety at the C-7 position.

IT **172699-04-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and structure-activity relationships of catechol contg cephalosporins)

RN 172699-04-6 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[[3-(2,5-dichloro-3,4-dihydroxyphenyl)-5-isoxazolyl]methoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



● Na

L9 ANSWER 32 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:700665 CAPLUS
DOCUMENT NUMBER: 121:300665
TITLE: Preparation of cephem derivatives as bactericides
INVENTOR(S): Moon, Ho Chang; Kang, Han Young; Ko, Hoon Young
PATENT ASSIGNEE(S): Korea Institute of Science and Technology, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 06179683	A2	19940628	JP 1993-175253		19930715
JP 2549494	B2	19961030			
KR 9508318	B1	19950727	KR 1992-12641		19920715
PRIORITY APPLN. INFO.:			KR 1992-12641	A	19920715

OTHER SOURCE(S): MARPAT 121:300665
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

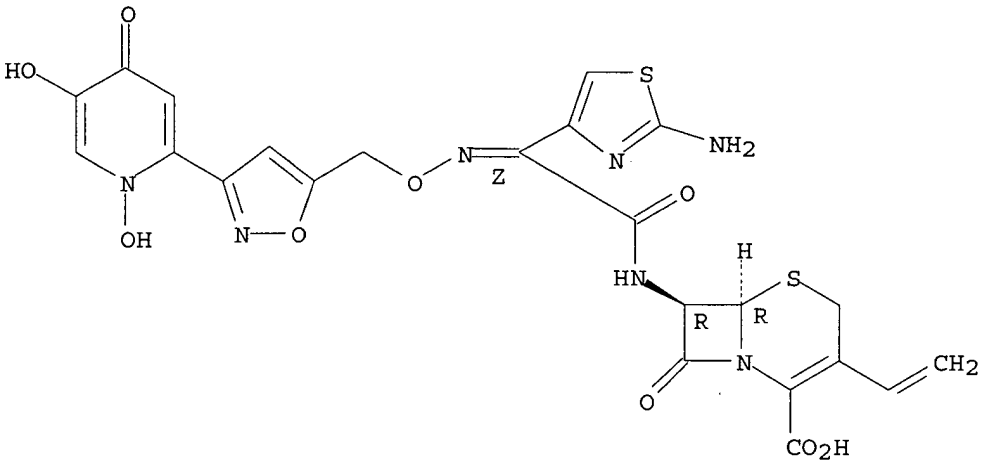
AB The title compds. I [R1 = H, protecting group; R2 = H, salt-forming atom, etc.; R3, R4 = H, protecting group; Q = H, halo, etc.] are prepared Cephem (Z)-II (preparation given) in vitro showed MICs of 0.098, <0.002, and 0.098 μg/mL against Streptococcus pyogenes A308, Escherichia coli DC 2, and Pseudomonas aeruginosa 9027, resp. The antibacterial activities of 7 compds. of this invention are given in this document.

IT **159048-25-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cephem bactericides)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

RN 159048-25-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[[3-(1,4-dihydro-1,5-dihydroxy-4-oxo-2-pyridinyl)-5-isoxazolyl]methoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

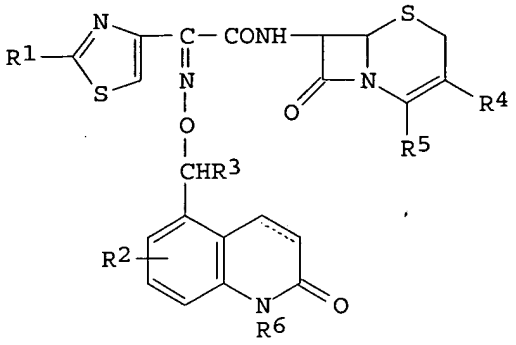


● Na

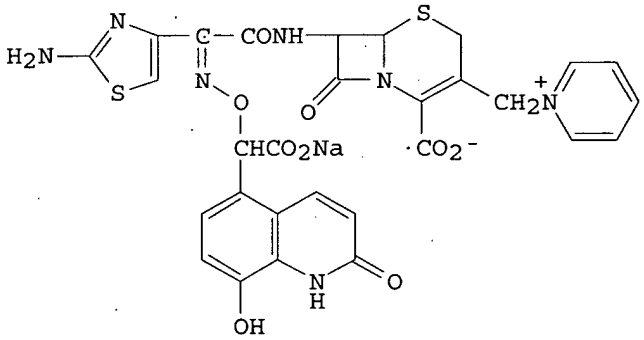
L9 ANSWER 33 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:409027 CAPLUS
DOCUMENT NUMBER: 121:9027
TITLE: Preparation of (pyridiniomethyl)cephemcarboxylates and analogs as antibacterial agents
INVENTOR(S): Takamura, Norio; Saito, Kunio; Matsushita, Tadahiro; Yamaguchi, Totaro
PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 47 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05202062	A2	19930810	JP 1992-53045	19920127
PRIORITY APPLN. INFO.:			JP 1992-53045	19920127

OTHER SOURCE(S): MARPAT 121:9027
GI



I



II

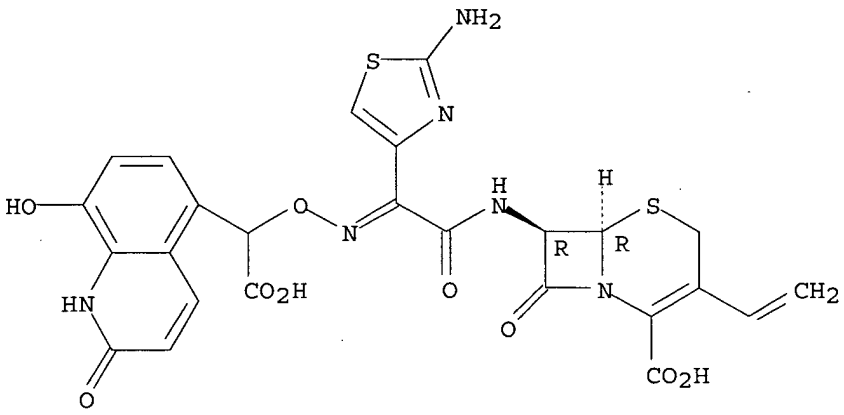
AB The title compds. I [R1 = (protected) amino; R2 = (protected) OH, alkoxy; R3 = (protected) carboxyl; R4 = H, alkyl, CH2R41, etc.; R41 = nucleophilic moiety; R5 = (protected) carboxyl, CO2-; R6 = H, alkyl; the dotted line represents either a double bond or a single bond] were prepared Reaction of 7β-[(Z)-2-(2-aminothiazol-4-yl)-2-[(8-hydroxy-2-oxo-1H-quinoline-5-yl)(carboxyl)methyloxyimino]acetamido]cephalosporanic acid di-Na salt with pyridine in the presence of NaI gave cephem (Z)-II isolated as α and β isomers. The title compds. in vitro exhibited MIC values of 0.1-0.78 μg/mL (against Staphylococcus aureus 209P JC-1) and MIC values of 0.78-1.56 μg/mL against Pseudomonas aeruginosa Number 12.

IT **146992-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibacterial agent)

RN 146992-49-6 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[carboxy(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)methoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

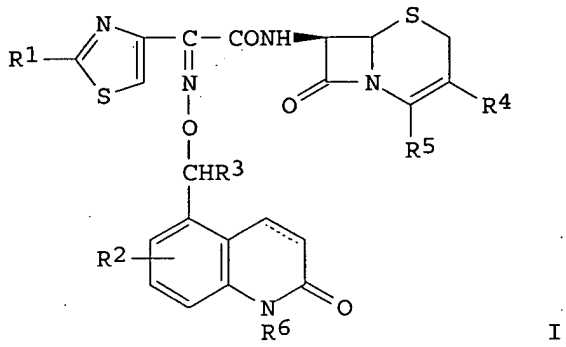


●2 Na

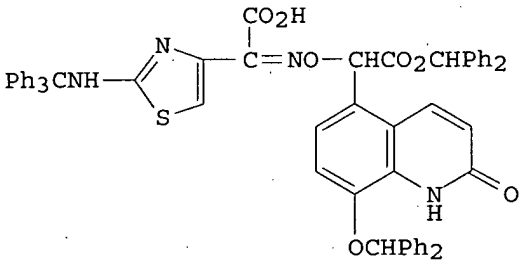
L9 ANSWER 34 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:212755 CAPLUS
DOCUMENT NUMBER: 118:212755
TITLE: Preparation of cephalosporin compounds
INVENTOR(S): Takamura, Norio; Saito, Kunio; Matsushita, Tadahiro; Yamaguchi, Totaro
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04261182	A2	19920917	JP 1991-287408	19910808
JP 06086461	B4	19941102		
CA 2057129	AA	19930606	CA 1991-2057129	19911205
EP 544958	A1	19930609	EP 1991-311373	19911206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CN 1073444	A	19930623	CN 1991-111604	19911218

PRIORITY APPLN. INFO.: JP 1990-212040 A1 19900809
OTHER SOURCE(S): MARPAT 118:212755
GI



I



II

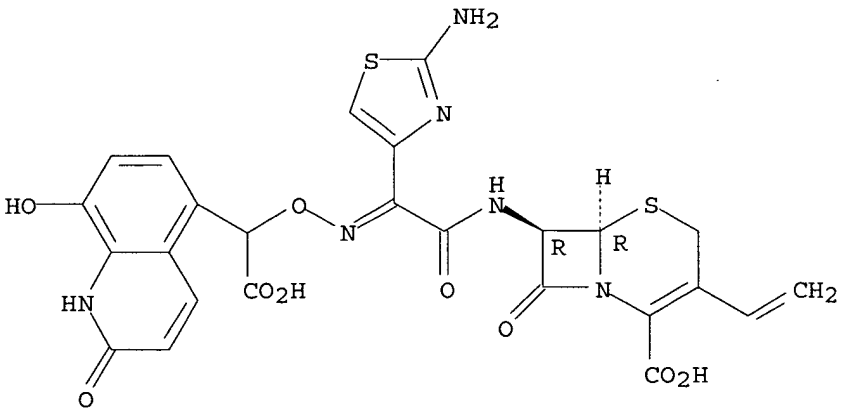
AB Cephalosporin compds. [I; R1 = NH2, etc.; R2 = OH, etc.; R2 = CO2H, etc.; R4 = H, alkyl, alkenyl, CH2R (wherein R = nucleophilic radical such as AcO, pyridino, quinolino, thiazolylthio, etc.); R5 = CO2H, etc.; R6 = H, etc.; dotted line = saturation or unsatn.], useful as broad-spectrum antibacterial agents, are prepared A solution of DMF and POCl3 in CH2Cl2 was stirred at room temperature under Ar, cooled to -55° to -50°, treated with 13 g acid II (preparation given) in CH2Cl2 at -60° to -50°, and the solution was then treated with a suspension of MeC(OSiMe3):NSiMe3 and 5.43 g (syn)-I [R1 = Ph3CNH, R2 = 8-Ph2CHO, R3 = Ph2CHO2C, R4 = AcOCH2, R5 = CO2H, R6 = H, unsatd.]. The preferred dose was 5-40 mg/kg-day.

IT **146992-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as bactericide)

RN 146992-49-6 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[carboxy(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)methoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



●2 Na

L9 ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:143253 CAPLUS
DOCUMENT NUMBER: 118:143253
TITLE: In vitro susceptibilities of Actinobacillus actinomycetemcomitans to a number of antimicrobial combinations
AUTHOR(S): Pavicic, M. J. A. M. P.; Winkelhoff, A. J.; De Graaff, J.
CORPORATE SOURCE: Dep. Oral Microbiol., Acad. Cent. Den., Amsterdam, 1081 BT, Neth.
SOURCE: Antimicrobial Agents and Chemotherapy (1992), 36(12), 2634-8
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The in vitro susceptibilities of A. actinomycetemcomitans to 14 antimicrobial combinations were studied by using the checkerboard titration technique. The results, expressed as the range of the fractional inhibitory concentration indexes, were as follows: for metronidazole or its hydroxymetabolite combined with cefixime, 0.2 to 0.6; for moxalactam, 0.2 to 0.6; for penicillin G, 0.3 to 0.6; for tobramycin, 0.8 to 2.0; for erythromycin, 0.8 to 1.7; for ciprofloxacin, 0.2 to 0.6; for tetracycline, 0.8 to 1.2. These observations indicated that the β-lactam antibiotics as well as ciprofloxacin act synergistically with both metronidazole and its hydroxymetabolite against A. actinomycetemocmitans. Synergistic interactions were independent of the individual MICs of the antibiotics tested. Erythromycin, tobramycin, and tetracycline combined with either metronidazole or its hydroxymetabolite showed additive to indifferent effects against the five strains of A. actinomycetemcomitans, with the fractional inhibitory concentration indexes ranging from 0.8 to 2.0. A. actinomycetemcomitans was highly susceptible to ciprofloxacin (MIC of ciprofloxacin for 90% of strains tested, 0.010 μg/mL) and cefixime (MIC of cefixime for 90% of strains tested, 0.8 μg/mL). The results indicate that in patients who are allergic to penicillin, cefixime and ciprofloxacin may be useful alternative antibiotics in combination with metronidzole for the treatment of A. actinomycetemcomitans-associated

periodontitis.

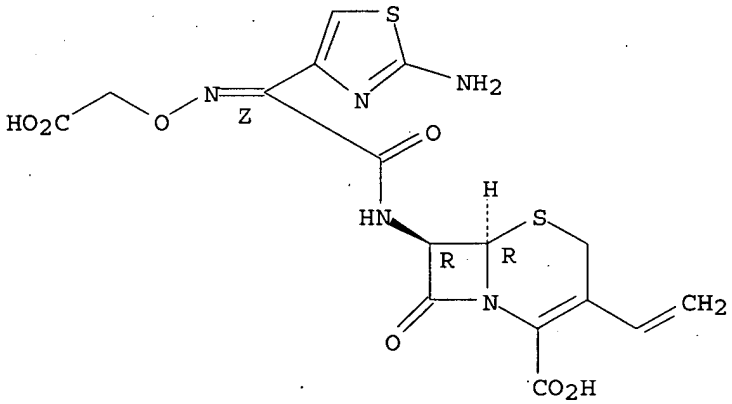
IT **146505-62-6 146505-69-3**
RL: BIOL (Biological study)
(Actinomyces actinomycetemcomitans sensitivity to)

RN 146505-62-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mixt. with 2-methyl-5-nitro-1H-imidazole-1-ethanol (9CI) (CA INDEX NAME)

CM 1

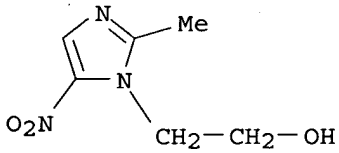
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 443-48-1
CMF C6 H9 N3 O3

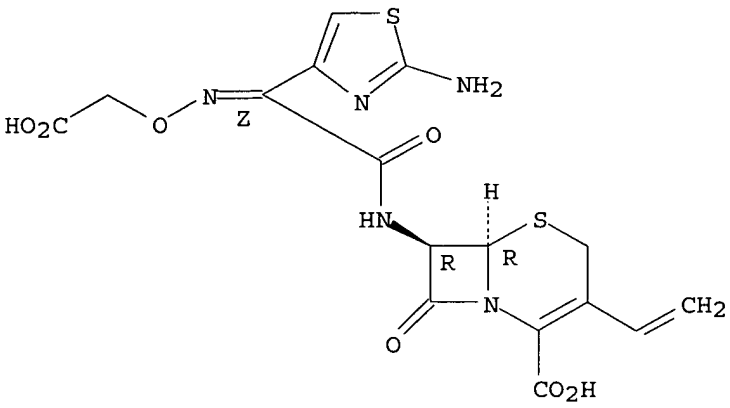


RN 146505-69-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mixt. with 2-(hydroxymethyl)-5-nitro-1H-imidazole-1-ethanol (9CI) (CA INDEX NAME)

CM 1

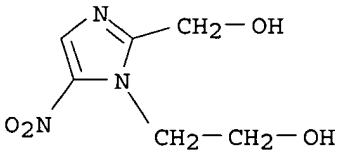
CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 4812-40-2
CMF C6 H9 N3 O4



L9 ANSWER 36 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:456006 CAPLUS
DOCUMENT NUMBER: 117:56006
TITLE: Direct compression method for cephalosporanic acid derivative tablets
INVENTOR(S): Laly, Jean Louis; Lombardi, Roberto
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9208463	A1	19920529	WO 1991-FR872	19911108
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
FR 2669221	A1	19920522	FR 1990-14210	19901115
FR 2669221	B1	19930115		
CA 2094122	AA	19920516	CA 1991-2094122	19911108
CA 2094122	C	20040720		
EP 557389	A1	19930901	EP 1991-920476	19911108
EP 557389	B1	19940921		

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

JP 06502420	T2	19940317	JP 1992-500409		19911108
JP 3253074	B2	20020204			
ES 2060417	T3	19941116	ES 1991-920476		19911108
US 5514383	A	19960507	US 1993-64049		19930514
PRIORITY APPLN. INFO.:			FR 1990-14210	A	19901115
			WO 1991-FR872	W	19911108

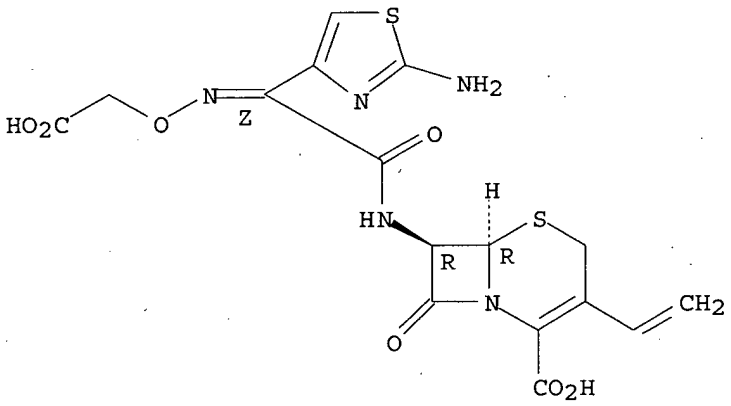
AB Title tablets are prepared from mixts. containing 20-90% 7-acylaminocephalosporanic acid derivs. and the balance excipients ($CaCO_3$, $CaSO_4$, starch, mannitol, fructose, etc.). A mixture of cefixime-$3H_2O$ 184.60, pregellified starch 48.98, $CaHPO_4$, $2H_2O$ 122.44, Mg stearate 2.03, and Avicel pH 102 is suitable for tabletting by direct compression.

IT **125110-14-7**, Cefixime trihydrate
RL: BIOL (Biological study)
(tabletting of, by direct compression)

RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●3 H_2O

L9 ANSWER 37 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1991:178375 CAPLUS
DOCUMENT NUMBER:	114:178375
TITLE:	Synergistic bactericidal compositions comprising decaplanin and cephalosporin derivatives
INVENTOR(S):	Seibert, Gerhard; Isert, Dieter; Klesel, Norbert
PATENT ASSIGNEE(S):	Hoechst A.-G., Germany
SOURCE:	Ger. Offen., 11 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 3909056	A1	19900920	DE 1989-3909056	19890318
EP 388510	A1	19900926	EP 1989-115520	19890823
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8906503	A	19900530	ZA 1989-6503	19890825
DK 8904208	A	19900919	DK 1989-4208	19890825
AU 8940238	A1	19900920	AU 1989-40238	19890825
AU 625559	B2	19920716		
JP 02273624	A2	19901108	JP 1989-217617	19890825
HU 53539	A2	19901128	HU 1989-4415	19890825
HU 208087	B	19930830		

PRIORITY APPLN. INFO.: DE 1989-3909056 A 19890318
OTHER SOURCE(S): MARPAT 114:178375
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Synergistic compns. useful for the prevention and treatment of bacterial inflammatory diseases comprise decaplanin (I) or I salt and a known cephalosporin antibiotic (Markush given). The compns. are especially useful against methicillin-resistant Staphylococcus, as shown by in-vitro studies on clin. isolates, using I-Cefpirome mixts.

IT **133023-31-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericide, synergistic)

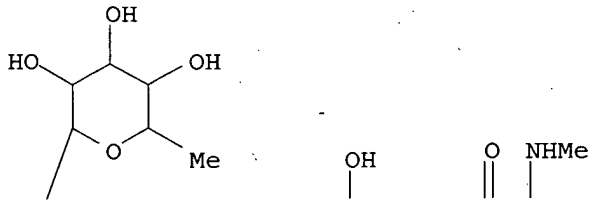
RN 133023-31-1 CAPLUS

CN Vancomycin, 22-O-(3-amino-2,3,6-trideoxy-3-C-methyl-α-L-arabino-hexopyranosyl)-2'-O-de(3-amino-2,3,6-trideoxy-3-C-methyl-α-L-lyxo-hexopyranosyl)-19-dechloro-2'-O-(6-deoxy-α-L-mannopyranosyl)-, mixt. with [6R-[6α,7β(Z)]]-7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (9CI) (CA INDEX NAME)

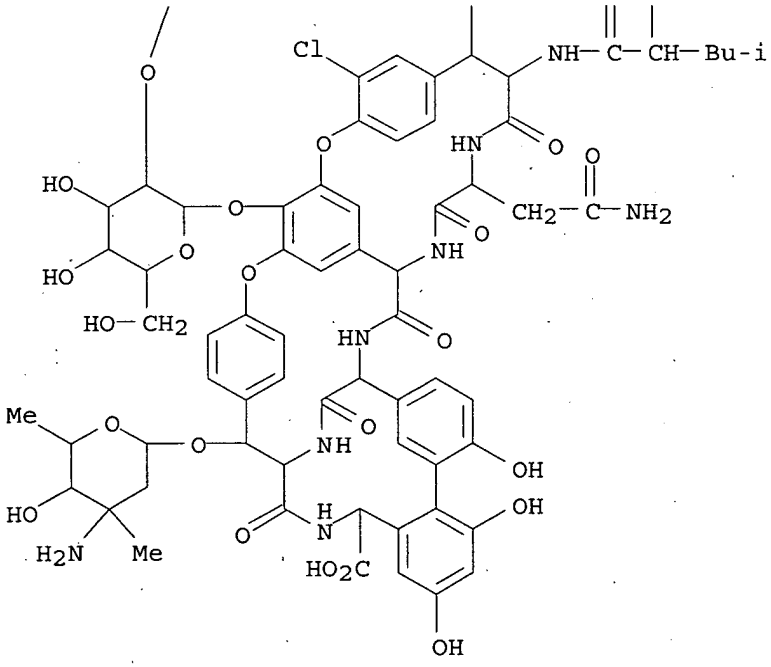
CM 1

CRN 128441-18-9
CMF C72 H86 Cl N9 O28

PAGE 1-A



PAGE 2-A

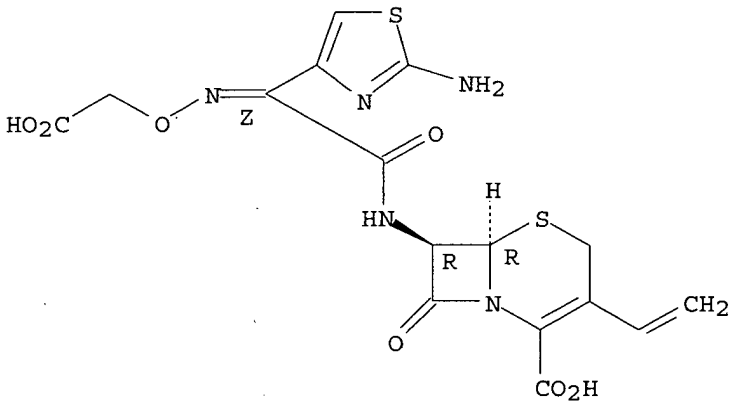


CM 2

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

CRN 79350-37-1
CMF C16 H15 N5 O7 S2

Absolute stereochemistry.
Double bond geometry as shown.



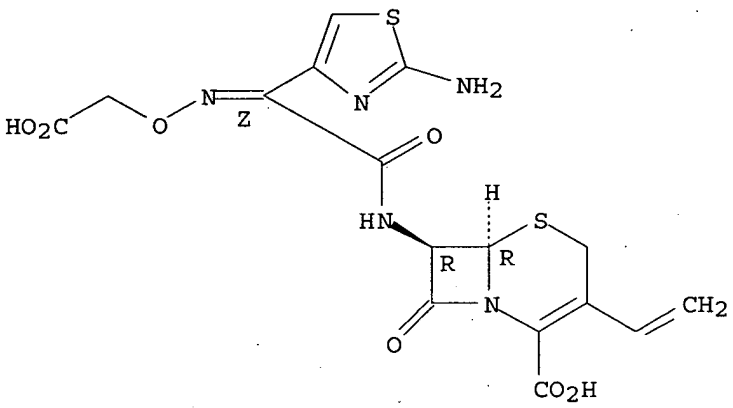
L9 ANSWER 38 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:150303 CAPLUS
DOCUMENT NUMBER: 114:150303
TITLE: Determination of water in drug substances by Karl Fischer method with water vaporizer
AUTHOR(S): Kitagawa, Teruyuki; Hara, Mitsue; Yokobayashi, Shizuka; Kawabata, Tetsuo; Koda, Shigetaka; Yasuda, Tsutomu
CORPORATE SOURCE: Anal. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: Bunseki Kagaku (1991), 40(1), T9-T13
CODEN: BNSKAK; ISSN: 0525-1931
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The accuracy and anal. precision of the Karl Fishcer (KF) method with water vaporizer was enough high compared with those of the direct KF method and this method is applied to pharmaceuticals which interfere with KF reagents. Suitable temperature for vaporizing H2O was 150°, but in some cases, 10° below the decomposition point was appropriate. The effects of desiccants for a carrier gas and the heating temperature upon the blank value were examined It was found that the volume of KF reagent consumed for a sample titration must be corrected using the blank value obtained in the same titration time in all cases.

IT **125110-14-7**, Cefixime trihydrate
RL: AMX (Analytical matrix); ANST (Analytical study)
(water determination in, by Karl Fischer method, with water vaporizer)

RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



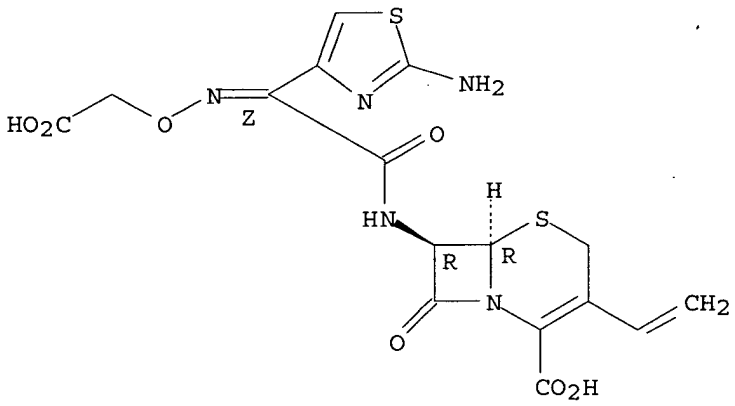
● 3 H_2O

L9 ANSWER 39 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:429182 CAPLUS
DOCUMENT NUMBER: 113:29182
TITLE: Dehydration effect on the stability of cefixime trihydrate
AUTHOR(S): Kitamura, Satoshi; Koda, Shigetaka; Miyamae, Akira; Yasuda, Tsutomu; Morimoto, Yukiyoshi
CORPORATE SOURCE: Anal. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: International Journal of Pharmaceutics (1990), 59(3), 217-24
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Partially dehydrated cefixime trihydrate was unstable due to a highly disordered crystal structure caused by loss of its water of crystallization It was also confirmed that cefixime trihydrate stored at a relative humidity below its critical value was less stable than the trihydrate stored under moist conditions. On the other hand, completely dehydrated cefixime trihydrate was relatively stable since it underwent transformation to a new anhydrous crystal form which did not contain water capable of participating in the hydrolytic reaction. It was suggested that the degradation mechanism under conditions of dryness differed from that under conditions of humidity, since not only the appearance but also the particular species of degradation products were completely different under the two sets of conditions.

IT **125110-14-7**, Cefixime trihydrate
RL: PRP (Properties)
(stability of, dehydration effect on)
RN 125110-14-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● 3 H_2O

L9 ANSWER 40 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:62490 CAPLUS
DOCUMENT NUMBER: 112:62490
TITLE: Effect of grinding on the solid-state stability of cefixime trihydrate
AUTHOR(S): Kitamura, Satoshi; Miyamae, Akira; Koda, Shigetaka; Morimoto, Yukiyoshi
CORPORATE SOURCE: Anal. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: International Journal of Pharmaceutics (1989), 56(2), 125-34
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English

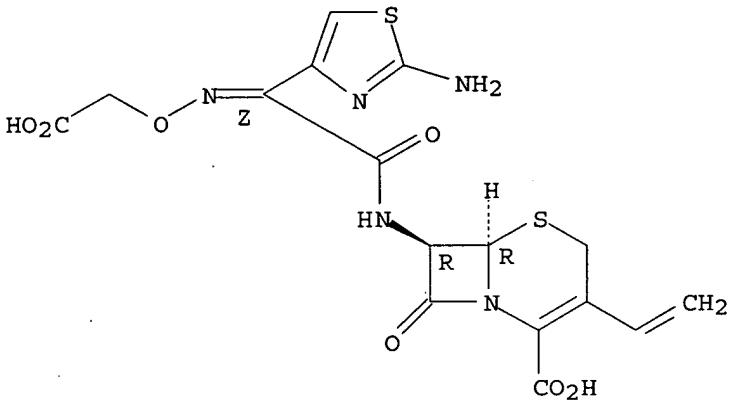
AB The effect of grinding on the physicochem. properties of cefixime trihydrate (I) was studied by means of x-ray diffraction anal., SEM, DSC equilibrium water amts. and color difference measurement (ΔE). Crystalline I was confirmed to change to a non-crystalline solid after 4 h of grinding in a ball mill, since x-ray diffraction peak intensities decreased with increasing grinding time. Dehydration temperature of ground I also lowered with increasing grinding time, and the activation energy for dehydration of intact I and the samples ground 4 h (amorphous form) were calculated by Kissinger's method to be 72.4 kcal/mol and 67.5 kcal/mol, resp. The decreased crystallinity with grinding is presumably due to an increase of water mols. having greater freedom of movement in the crystal lattice. The overall decomposition of solid-state I could be expressed by pseudo first-order reaction, and the crystallinity of the ground sample was estimated by an equation expressing the overall decomposition rate constant; which is the sum of the decomposition in 100% crystalline and in 0% crystalline (amorphous) states.

Kinetic studies of discoloration of ground I showed an increase in the apparent rate constant for discoloration with the increase in the grinding time.

IT **125110-14-7**
RL: PRP (Properties)
(stability of, in solid state, grinding effect on)
RN 125110-14-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, trihydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● 3 H_2O

L9 ANSWER 41 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:25681 CAPLUS
DOCUMENT NUMBER: 112:25681
TITLE: Antiulcer agents containing cefixime (salts)
INVENTOR(S): Ono, Takaharu; Tomoi, Masaaki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01149728	A2	19890612	JP 1987-308214	19871204

PRIORITY APPLN. INFO.: JP 1987-308214 19871204

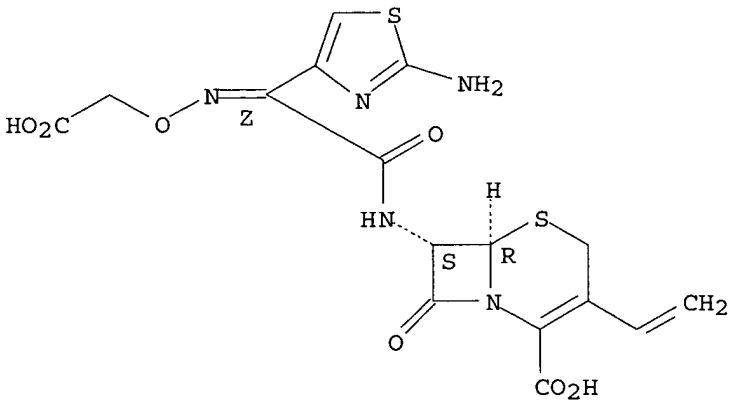
AB Antiulcer agents contain cefixime (I) or its salts. I at 3200 mg/kg p.o. showed 90.4% inhibition against stress-induced ulcer in rats. LD50 of I was ≥10,000 mg/kg p.o. in rats. Tablets were formulated containing I 93.5, CMC Ca 3.7, Mg stearate 1.9, and silica 0.9 weight%.

IT **124506-28-1**
RL: BIOL (Biological study)
(antiulcer agents containing)

RN 124506-28-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7α(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

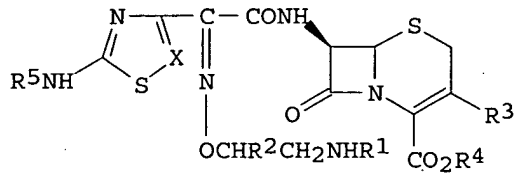


●2 Na

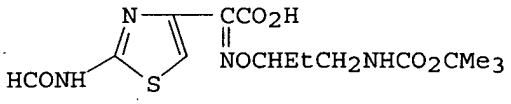
L9 ANSWER 42 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:423290 CAPLUS
DOCUMENT NUMBER: 111:23290
TITLE: Preparation of thiadiazolyl(aminoethoxyimino)acetamido cephalosporin compounds as antibacterial agents
INVENTOR(S): Nishizawa, Susumu; Muro, Hiroyuki; Kasai, Masayasu; Hatano, Satoru; Kamiya, Syouzi; Kakeya, Nobuharu; Kitao, Kazuhiko
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 293771	A2	19881207	EP 1988-108456	19880527
EP 293771	A3	19901017		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 01056682	A2	19890303	JP 1988-98539	19880420
AU 8816309	A1	19881201	AU 1988-16309	19880517
DK 8802871	A	19881201	DK 1988-2871	19880525
US 4943567	A	19900724	US 1988-228714	19880527
AU 9063814	A1	19910228	AU 1990-63814	19901003
AU 628664	B2	19920917		

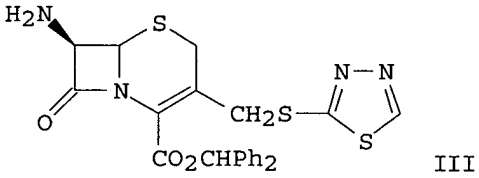
PRIORITY APPLN. INFO.: JP 1987-136647 A 19870530
OTHER SOURCE(S): CASREACT 111:23290; MARPAT 111:23290
GI



I



II



III

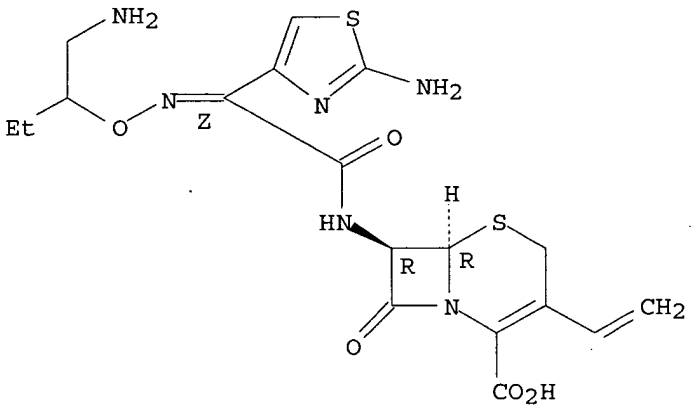
AB Cephalosporin derivs. (I; R1, R5 = H, protecting group; R2 = alkyl, cycloalkyl; R3 = H, alkenyl, acyloxymethyl, carbamoyloxymethyl, heterocyclylthiomethyl, etc.; R4 = H, ester residue; X = CH, N) and their pharmacol. acceptable salts are prepared A mixture of syn-II, III, pyridine, and POCl3 in CH2Cl2 was stirred at -12° to -15° to give syn-I (R1 = CO2CMe3, R2 = Et, R3 = 1,3,4-thiadiazol-2-ylthiomethyl, R4 = Ph2CH, R5 = HCO, X = CH), which was hydrolyzed to give the acid syn-I (R4 = H, others remain unchanged) (IV). Deprotection of IV with concentrated HCl in MeOH gave syn-I·2HCl (R1 = R4 = R5 = H, others = same), which showed MIC of 0.39 μg/mL against Staphylococcus aureus. A parenteral solution was made from 1 g syn-I·2HCl (R1 = R4 = R5 = H, R2 = Me, R3 = CH2OAc, X = CH) and 135 mg Na2CO3 in 20 mL distilled H2O.

IT **121102-80-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibacterial agent)

RN 121102-80-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[1-(aminomethyl)propoxy]imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, dihydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

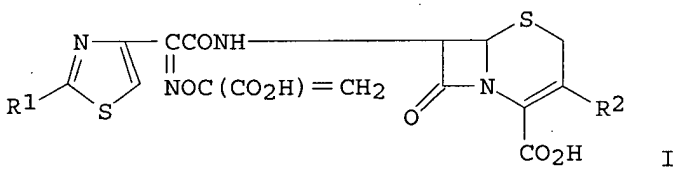


●2 HCl

L9 ANSWER 43 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:549222 CAPLUS
DOCUMENT NUMBER: 109:149222
TITLE: Preparation of cephalosporin derivatives
INVENTOR(S): Nakagawa, Susumu; Fukatsu, Hiroshi; Murase, Satoshi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63119488	A2	19880524	JP 1986-261844	19861105

PRIORITY APPLN. INFO.: JP 1986-261844 19861105
OTHER SOURCE(S): MARPAT 109:149222
GI



I

AB Title derivs. I [R1 = H, NH2; R2 = H, halo, (substituted) lower alkyl, lower alkenyl, lower alkoxy, or alkylthio], their nontoxic salts, or physiol. hydrolyzable nontoxic esters are prepared (Z)-2-(1-tert-Butoxycarbonylvinyloxyimino)-2-(2-tritylaminothiazol-4-yl)acetic acid (preparation given) was stirred with POCl3 and DMF in THF at 0° for 1 h then treated with a solution containing p-methoxybenzyl 7-amino-3-(methylthio)-3-

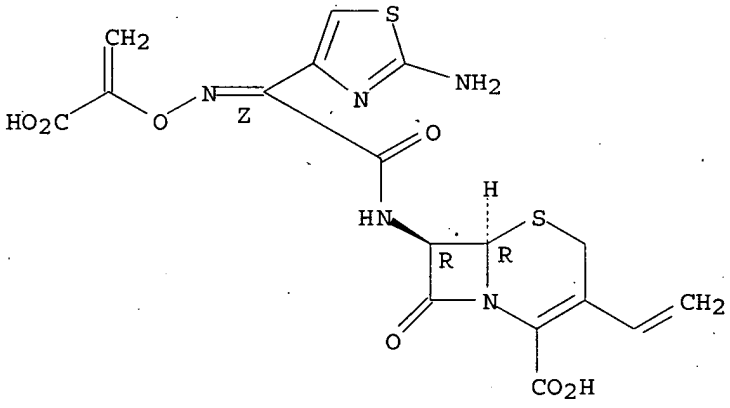
cephem-4-carboxylate.HCl and N,O-bis(trimethylsilyl)acetamide in AcOEt at 0° for 1 h to give corresponding p-methoxybenzyl acetamidocephemcarboxylate derivative, which was deprotected by treating with CF3CO2H and anisole at room temperature for 1 h to give 31.6% I (R1 = NH2, R2 = SMe) (II). II in vitro exhibited MIC value of 0.2 μg/mL against Escherichia coli NIHJ JC2.

IT **116797-41-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibiotic)

RN 116797-41-2 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[(1-carboxyethenyl)oxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



●2 Na

L9 ANSWER 44 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1988:406314 CAPLUS
DOCUMENT NUMBER:	109:6314
TITLE:	Preparation of [(pyridonylmethoxyimino)acetamido]cephemcarboxylic acid derivatives as antibiotics
INVENTOR(S):	Zama, Yoshiyuki; Ishiyama, Nobuo; Saita, Tsuneo; Naito, Takanobu; Hirose, Masao; Yokoyama, Masaaki; Asano, Taiji; Senda, Hisato; Sekine, Keiji; Sanai, Shigeru
PATENT ASSIGNEE(S):	Kaken Pharmaceutical Co., Ltd., Japan
SOURCE:	Eur. Pat. Appl., 41 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

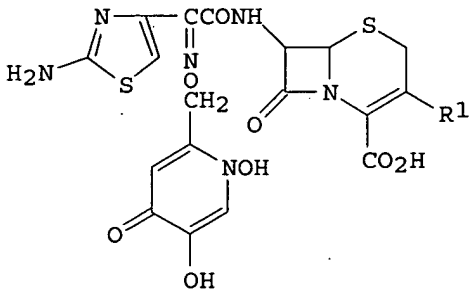
EP 251299	A2	19880107	EP 1987-109416	19870630
EP 251299	A3	19891011		
EP 251299	B1	19940831		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4822786	A	19890418	US 1987-63077	19870617
CA 1283404	A1	19910423	CA 1987-539868	19870617
HU 44259	A2	19880229	HU 1987-2882	19870625
HU 198500	B	19891030		
HU 56099	A2	19910729	HU 1989-2782	19870625
HU 209126	B	19940328		
HU 56071	A2	19910729	HU 1990-8257	19870625
HU 207296	B	19930329		
AU 8774931	A1	19880107	AU 1987-74931	19870629
AU 597676	B2	19900607		
CN 87104590	A	19880113	CN 1987-104590	19870630
CN 1022036	B	19930908		
ES 2062974	T3	19950101	ES 1987-109416	19870630
JP 63146887	A2	19880618	JP 1987-162296	19870701
JP 06031260	B4	19940427		
JP 63152386	A2	19880624	JP 1987-203494	19870818
JP 06051706	B4	19940706		
US 4883879	A	19891128	US 1989-296765	19890113
JP 02288884	A2	19901128	JP 1989-341529	19891229
JP 06086462	B4	19941102		
CA 1333713	A1	19941227	CA 1990-615847	19900823
AU 9062143	A1	19901220	AU 1990-62143	19900904
AU 627067	B2	19920813		
AU 9219628	A1	19920910	AU 1992-19628	19920710
AU 635174	B2	19930311		

PRIORITY APPLN. INFO.:

JP 1986-152706	A	19860701
JP 1986-191590	A	19860818
CA 1987-539868	A3	19870617
US 1987-63077	A3	19870617

OTHER SOURCE(S): CASREACT 109:6314; MARPAT 109:6314

GI



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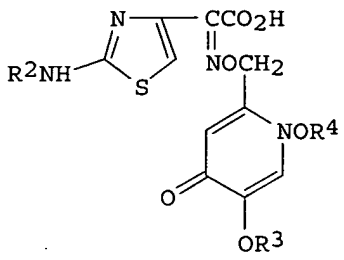

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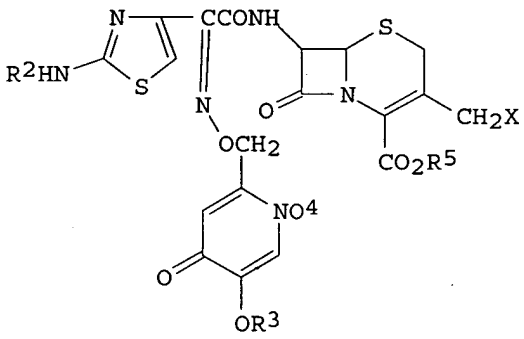
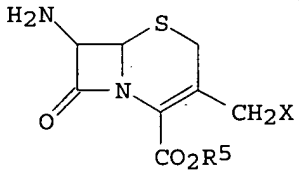




III

IV

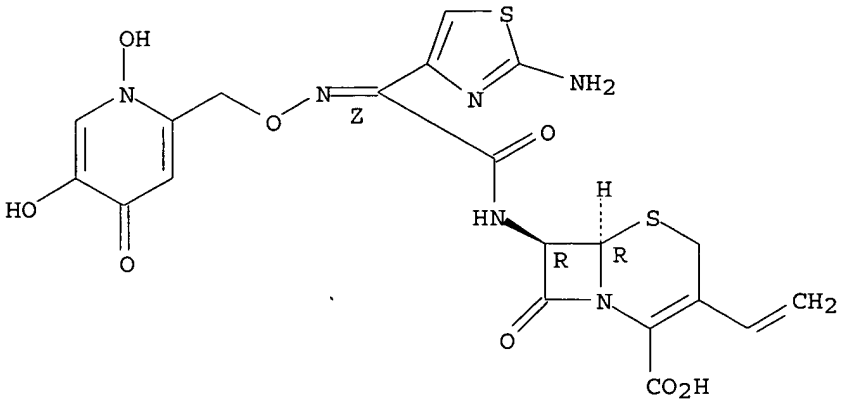
AB The title compds. I [R1 = H, halo, MeO, (substituted) vinyl, CH2A wherein A = H, N3, acyloxy, carbamoyloxy, (substituted) heterocyclyl, heterocyclylthio], useful as antibiotics, were prepared from II (R2 = H, amino-protecting group; R3, R4 = H, OH-protecting group), III (X = Cl, Br, iodine, acetoxy; R5 = H, CO2H-protecting group), and IV. Reaction of p-methoxybenzyl (6R,7R)-7-[(Z)-2-(2-tritylaminothiazol-4-yl)-2-(1,5-dibenzhydryloxy-4-pyridon-2-ylmethoxyimino)acetamido]-3-chloromethyl-3-cephem-4-carboxylate with Na 1,2,3-thiadiazol-5-thiolate, followed by deprotection and workup, gave (6R,7R)(Z)-I (R1 = 1,2,3-thiadiazol-5-ylthiomethyl) Na salt (V). V in vitro exhibited a MIC of 6.25 μg/mL against Staphylococcus aureus FDA 209-P.

IT **114830-52-3P 114904-05-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibiotic)

RN 114830-52-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[(1,4-dihydro-1,5-dihydroxy-4-oxo-2-pyridinyl)methoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



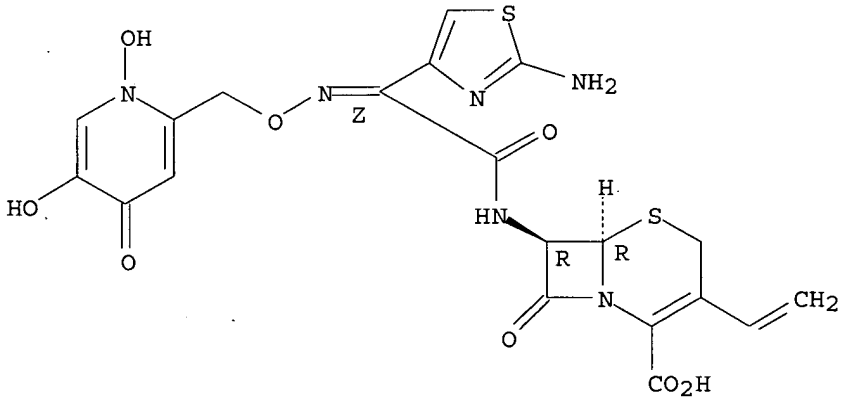
● Na

RN 114904-05-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[(1,4-dihydro-1,5-dihydroxy-4-oxo-2-pyridinyl)methoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

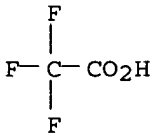
CRN 114876-06-1
CMF C20 H18 N6 O8 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

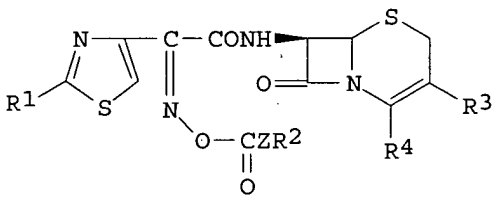
CRN 76-05-1
CMF C2 H F3 O2



L9 ANSWER 45 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:33941 CAPLUS
DOCUMENT NUMBER: 104:33941
TITLE: Cephem derivatives
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60105683	A2	19850611	JP 1983-212461	19831114
JP 02027998	B4	19900620		
PRIORITY APPLN. INFO.:			JP 1983-212461	19831114

GI



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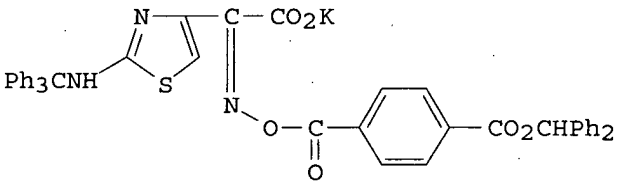
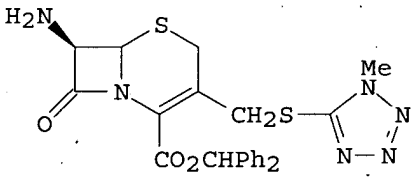


II



III

AB Cephem derivs. (I; R1 = NH2, protected NH2; R2, R4 = CO2H, protected CO2H; R3 = H, halo, alkylthio, etc.; Z = C2-10 alkylene, phenylene, cycloalkylene), effective antibacterials at 0.025-12.5 μg/mL were prepared Thus, 5% HCl was added to a suspension of 380 mg syn-II in EtOAc-THF to pH 2.5 under cooling, 70 mg 1-hydroxybenzotriazole and 250 mg III were added to solution, 103 mg DCC added to 5° and stirred to give 310 mg syn-I (R1 = Ph3CNH, R2Z = p-C6H4CO2CHPh2, R3 = 1-methyl-1,2,3,4-

tetrazol-5-ylthiomethyl, R4 = CO2CHPh2).

IT **99743-93-8P 99744-01-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

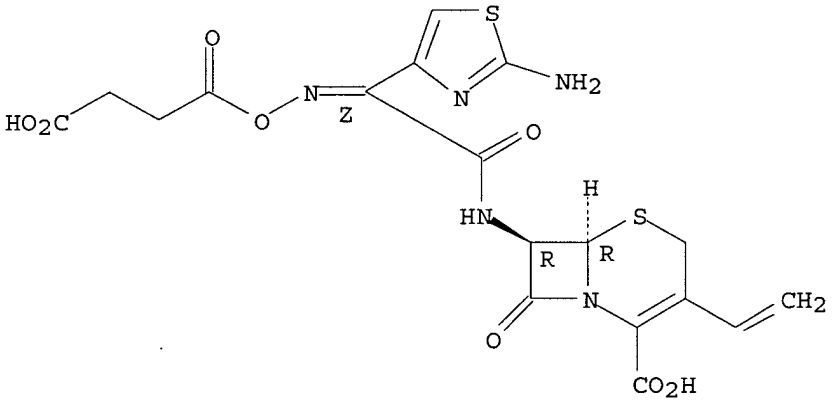
RN 99743-93-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(3-carboxy-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

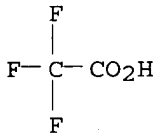
CRN 99743-92-7
CMF C18 H17 N5 O8 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 99744-01-1 CAPLUS

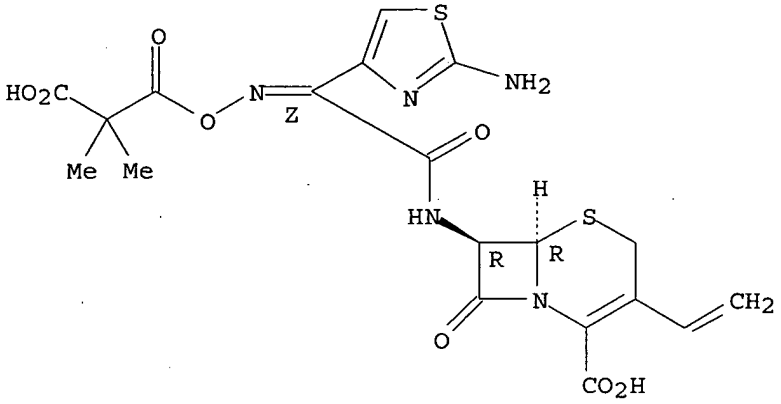
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2-carboxy-2-methyl-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 99744-00-0
CMF C19 H19 N5 O8 S2

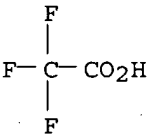
Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



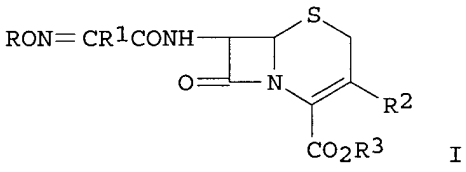
L9 ANSWER 46 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:148993 CAPLUS
DOCUMENT NUMBER: 102:148993
TITLE: 3-phosphonium and 3-phosphoranylidenecephems
INVENTOR(S): Takaya, Takao; Takasugi, Hisashi; Masugi, Takashi; Yamanaka, Hideaki; Kawabata, Kohji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 82 pp. Cont.-in-part of U.S. 4,409,214. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4487927	A	19841211	US 1982-341621	19820122
US 4409214	A	19831011	US 1980-205334	19801110
ZA 8006977	A	19811028	ZA 1980-6977	19801111
AT 37028	E	19880915	AT 1984-100915	19801115
AT 86987	E	19930415	AT 1987-104893	19801115
US 4423213	A	19831227	US 1981-261618	19810507
ES 507973	A1	19821001	ES 1981-507973	19811215
JP 58135894	A2	19830812	JP 1983-9235	19830121
JP 05001271	B4	19930107		

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

US 4559334	A	19851217	US 1983-543880		19831020
US 4904652	A	19900227	US 1985-785048		19851009
US 4731443	A	19880315	US 1986-889189		19860724
SU 1508962	A3	19890915	SU 1987-4202592		19870519
US 4960889	A	19901002	US 1990-462347		19900103
US 5026695	A	19910625	US 1990-461340		19900105
JP 02223544	A2	19900905	JP 1990-11048		19900119
JP 06078290	B4	19941005			
US 5110921	A	19920505	US 1990-583304		19900917
US 5594132	A	19970114	US 1991-684194		19910412
US 5252731	A	19931012	US 1992-831504		19920205
PRIORITY APPLN. INFO.:			GB 1979-39985	A	19791119
			GB 1980-4335	A	19800208
			GB 1980-12991	A	19800421
			GB 1980-22920	A	19800714
			US 1980-205334	A2	19801110
			US 1981-261618	A2	19810507
			US 1980-206831	A3	19801114
			EP 1984-100915	A	19801115
			EP 1987-104893	A	19801115
			US 1982-341621	A	19820122
			US 1982-428970	A2	19820930
			US 1983-489236	B1	19830428
			GB 1983-23034	A	19830826
			US 1984-653041	A3	19840921
			US 1985-785048	A3	19851009
			US 1986-889189	B3	19860724
			US 1987-127929	B1	19871202
			US 1990-462347	A3	19900103
			US 1990-461340	A3	19900105
			US 1990-583304	A3	19900917

GI



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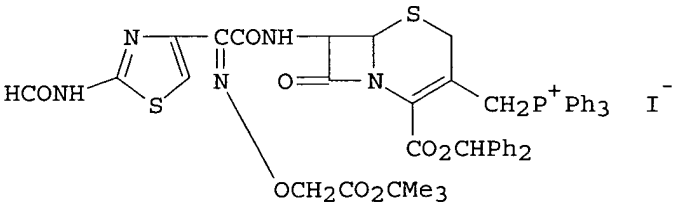


II

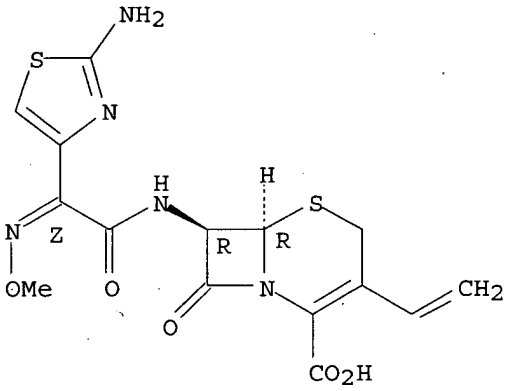
AB The title compds. I [R = alkyl, (un)esterified carboxyalkyl; R1 = (un)protected aminothiazol-4-yl; R2 = CH2P+R43X-, CH:PR43; R3 = H, protective group; R4 = aryl; X = halogen] were prepared as intermediates for 3-vinylcephems. Thus II was obtained by reaction of PPh3 and NaI with the corresponding 3-chloromethylcephem which was prepared from cephalosporin C in 3 steps.

IT **79350-11-1P 79350-44-0P 79350-82-6P 86027-36-3P 90467-43-9P 90467-53-1P**

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

95759-13-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
RN 79350-11-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

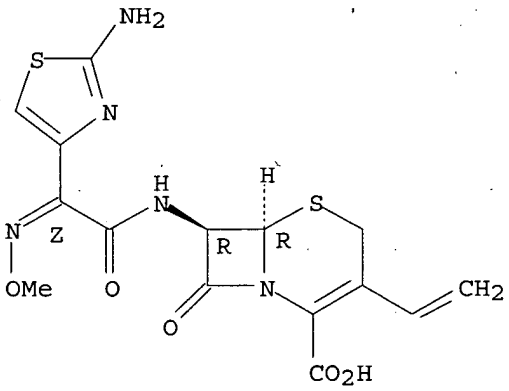
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 79350-44-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)

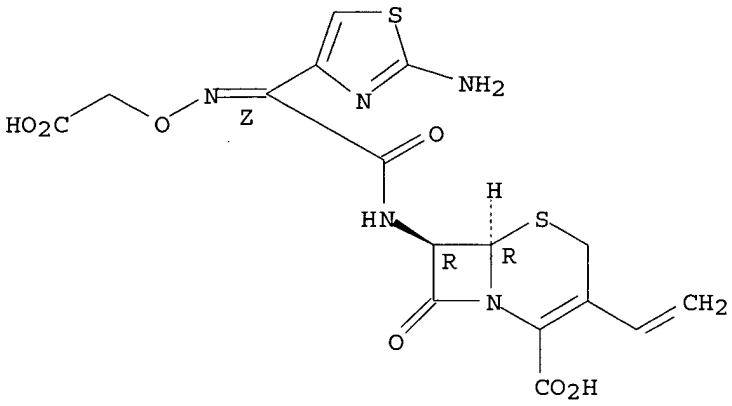
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 79350-82-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

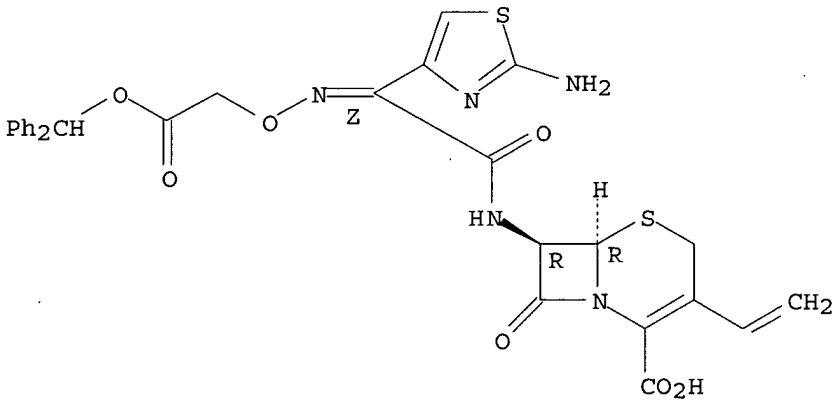
Absolute stereochemistry.
Double bond geometry as shown.



●2 Na

RN 86027-36-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[2-(diphenylmethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



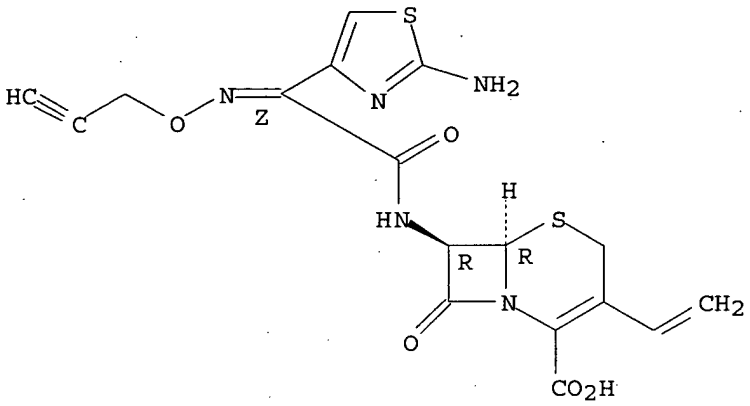
● Na

RN 90467-43-9 CAPLUS

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2-propynyloxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

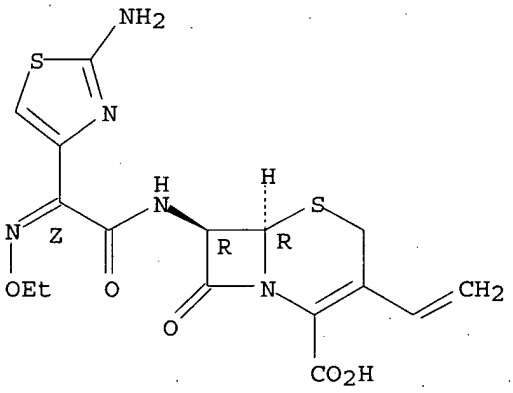
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 90467-53-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(ethoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

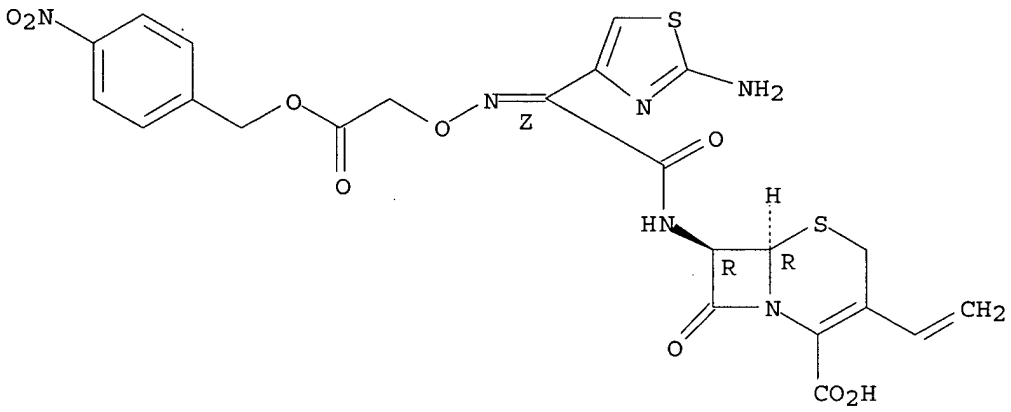


● Na

RN 95759-13-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[2-[(4-nitrophenyl)methoxy]-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt,

[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

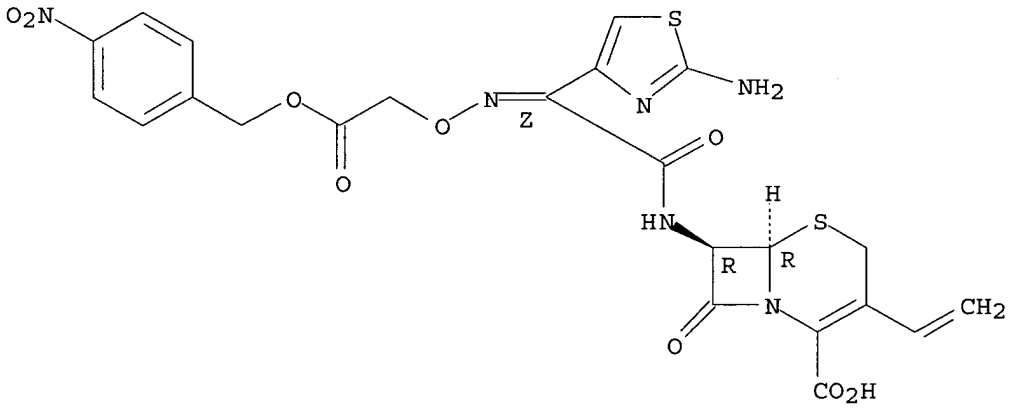
Absolute stereochemistry.
Double bond geometry as shown.



● Na

IT **88621-04-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88621-04-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2-amino-4-thiazolyl)[[2-[(4-nitrophenyl)methoxy]-2-
oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride,
[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● HCl

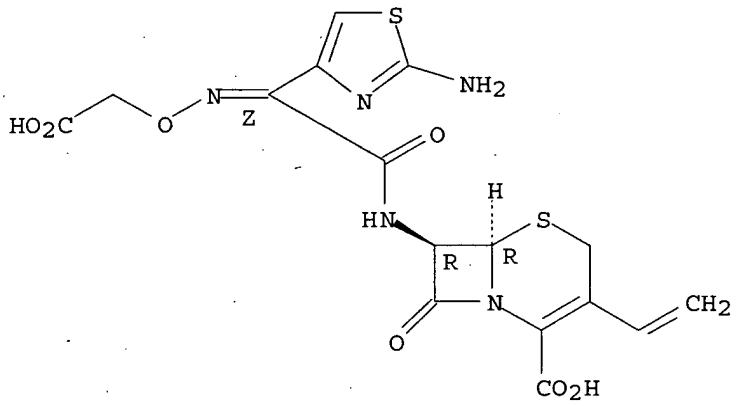
IT **79369-28-1P 90467-54-2P 90467-55-3P**

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, esterification, and bactericidal activity of)

RN 79369-28-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

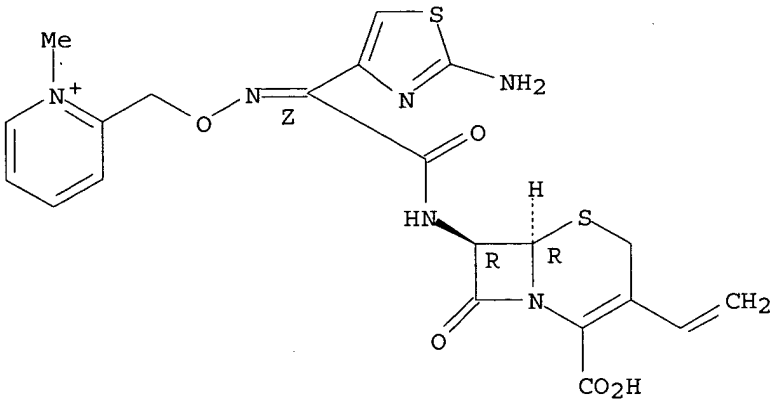
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 90467-54-2 CAPLUS
CN Pyridinium, 2-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

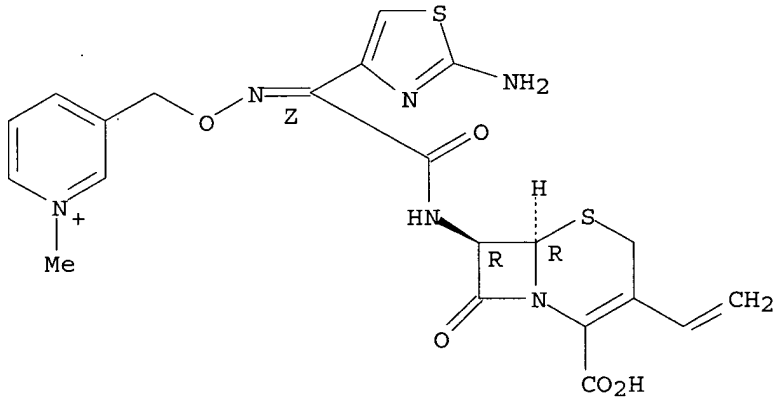
Absolute stereochemistry.
Double bond geometry as shown.



● Cl⁻

RN 90467-55-3 CAPLUS
CN Pyridinium, 3-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● Cl⁻

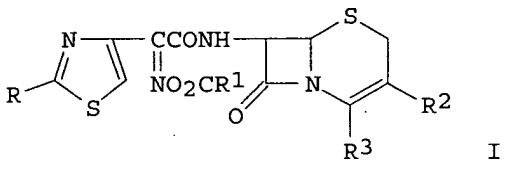
L9 ANSWER 47 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:113176 CAPLUS
DOCUMENT NUMBER: 102:113176
TITLE: Novel cephem compounds
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59184186	A2	19841019	JP 1983-57465	19830401

PRIORITY APPLN. INFO.: JP 1983-57465 19830401
GI



I

AB Cephems I (R = amino, protein amino; R1 = alkyl; R2 = vinyl, alkylthio, CH:CHCO2R4, CH2CO2R5; R3 = CO2H, protected carboxyl; R4; R5 = H, alkyl) were prepared Thus, amidation of syn-2-(2-tritylaminothiazol-4-yl)-2-(pivaloyloxyimino)acetic acid with diphenylmethyl 7-amino-3-vinyl-3-cephem-4-carboxylate followed by hydrolysis with Cl3CCO2H gave syn-I.Cl3CCO2H (R = NH2, R1 = Me3C, R2 = vinyl, R3 = CO2H). The latter compound showed broad spectrum bactericidal activity.

IT **94796-36-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

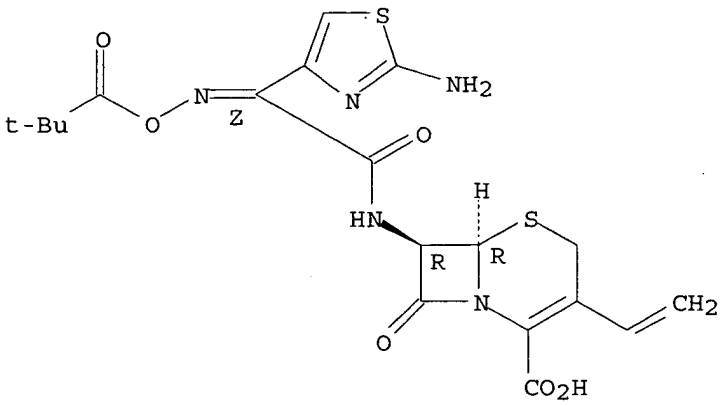
RN 94796-36-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2,2-dimethyl-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, trichloroacetate (9CI) (CA INDEX NAME)

CM 1

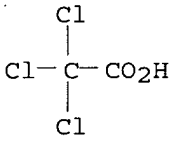
CRN 94796-35-7
CMF C19 H21 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-03-9
CMF C2 H Cl3 O2



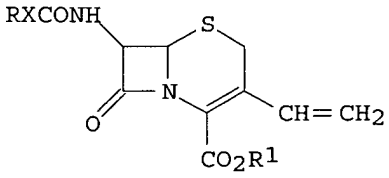
L9 ANSWER 48 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:438270 CAPLUS
Correction of: 1982:181061
DOCUMENT NUMBER: 101:38270
Correction of: 96:181061
TITLE: 7-Acylamino-3-vinylcephálosporanic acid derivatives
INVENTOR(S): Takaya, Takao; Takasugi, Hisashi; Masugi, Takashi; Yamanaka, Hideaki; Kawabata, Kohji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 285 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 30630	A2	19810624	EP 1980-107075	19801115
EP 30630	A3	19810909		
EP 30630	B1	19870401		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
ZA 8006977	A	19811028	ZA 1980-6977	19801111
CA 1235414	A1	19880419	CA 1980-364436	19801112
FI 8003558	A	19810520	FI 1980-3558	19801113
FI 74970	B	19871231		
FI 74970	C	19880411		
EP 123024	A2	19841031	EP 1984-100915	19801115

EP 123024	A3	19850313		
EP 123024	B1	19880907		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 26280	E	19870415	AT 1980-107075	19801115
EP 244637	A1	19871111	EP 1987-104893	19801115
EP 244637	B1	19930317		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 37028	E	19880915	AT 1984-100915	19801115
AT 86987	E	19930415	AT 1987-104893	19801115
AU 8064442	A1	19810528	AU 1980-64442	19801117
AU 543301	B2	19850418		
DK 8004917	A	19810619	DK 1980-4917	19801118
NO 8003470	A	19810702	NO 1980-3470	19801118
NO 160921	B	19890306		
NO 160921	C	19890614		
ES 496948	A1	19820501	ES 1980-496948	19801118
SU 1186087	A3	19851015	SU 1980-3009474	19801118
JP 56086187	A2	19810713	JP 1980-163989	19801119
JP 63020435	B4	19880427		
ES 507972	A1	19821001	ES 1981-507972	19811215
ES 507973	A1	19821001	ES 1981-507973	19811215
US 4904652	A	19900227	US 1985-785048	19851009
JP 62277391	A2	19871202	JP 1987-44400	19870226
JP 03014832	B4	19910227		
SU 1508962	A3	19890915	SU 1987-4202592	19870519
JP 63146863	A2	19880618	JP 1987-290253	19871117
JP 02025905	B4	19900606		
JP 63152387	A2	19880624	JP 1987-290248	19871117
JP 03038278	B4	19910610		
JP 63152388	A2	19880624	JP 1987-290249	19871117
JP 03069353	B4	19911031		
JP 63152385	A2	19880624	JP 1987-290250	19871117
JP 03038277	B4	19910610		
JP 63152370	A2	19880624	JP 1987-290251	19871117
JP 03033712	B4	19910520		
JP 63152371	A2	19880624	JP 1987-290252	19871117
JP 02019828	B4	19900507		
US 4960889	A	19901002	US 1990-462347	19900103
US 5026695	A	19910625	US 1990-461340	19900105
US 5594132	A	19970114	US 1991-684194	19910412
JP 06279452	A2	19941004	JP 1991-201550	19910510
JP 07010870	B4	19950208		

PRIORITY APPLN. INFO.:	GB 1979-39985	A	19791119
	GB 1980-4335	A	19800208
	GB 1980-12991	A	19800421
	GB 1980-22920	A	19800714
	US 1980-206831	A3	19801114
	EP 1980-107075	P	19801115
	EP 1984-100915	A	19801115
	EP 1987-104893	A	19801115
	US 1983-489236	B1	19830428
	US 1985-785048	A3	19851009
	US 1986-889189	B3	19860724
	US 1987-127929	B1	19871202
	US 1990-461340	A3	19900105

OTHER SOURCE(S): CASREACT 101:38270; MARPAT 101:38270
GI



I

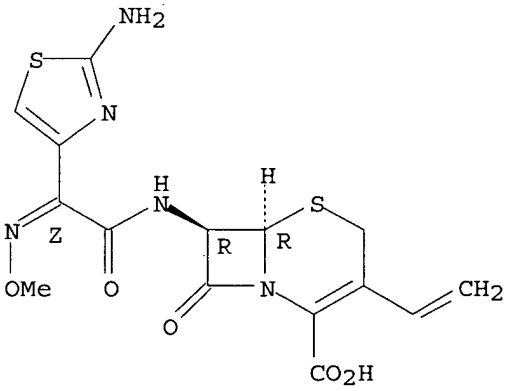
AB Vinylcephems I [R = (un)substituted aminoheterocyclic, R2SO2NHC6H4; R1 = H, protective group; R2 = alkyl; X = (un)substituted alkylene] were prepared Thus, I (R = 3-MeSO2NHC6H4, R1 = H, X = H2NCH, II) was obtained by acylating a 7-aminocephem with 3-MeSO2NHC6H4CH(NH2)CO2H. 7-Amino-3-vinyl-3-cephem-4-carboxylic acid was obtained from the hydroxymethylcephem via the chloromethyl derivative and the triphenylphosphonium iodide which was treated with CH2O. II had a min. inhibitory concentration against Staphylococcus aureus 209 P JC-1 of 1.56 μg/mL.

IT **79350-11-1P 79350-44-0P 79350-82-6P**
90467-43-9P 90467-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 79350-11-1 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

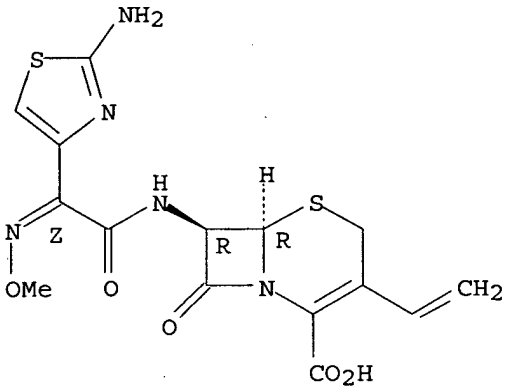


● HCl

RN 79350-44-0 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)

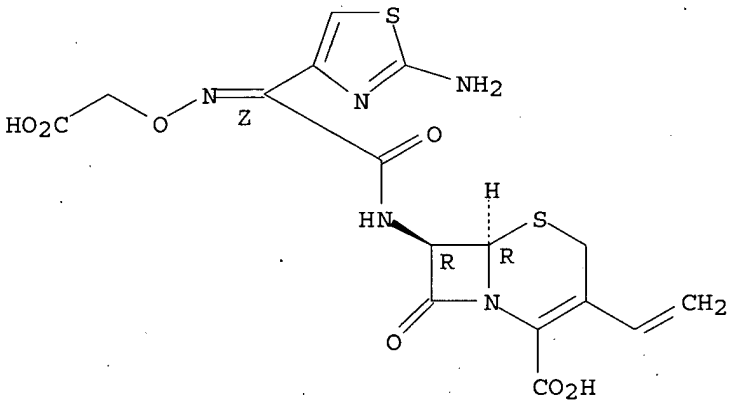
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 79350-82-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

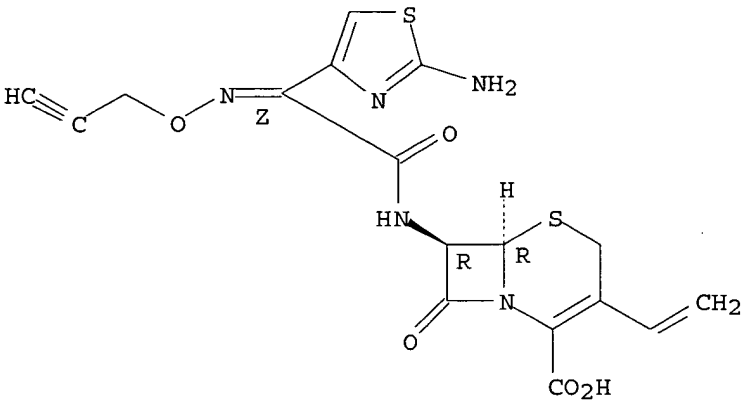
Absolute stereochemistry.
Double bond geometry as shown.



●2 Na

RN 90467-43-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2-propynyloxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

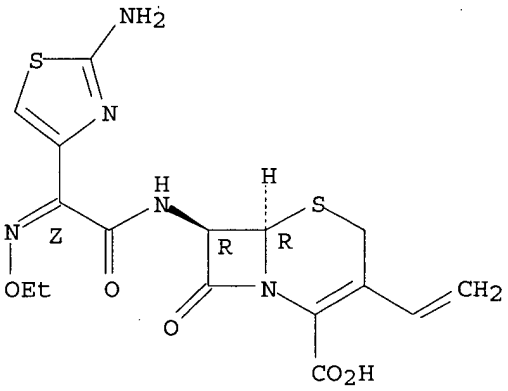
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 90467-53-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(ethoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

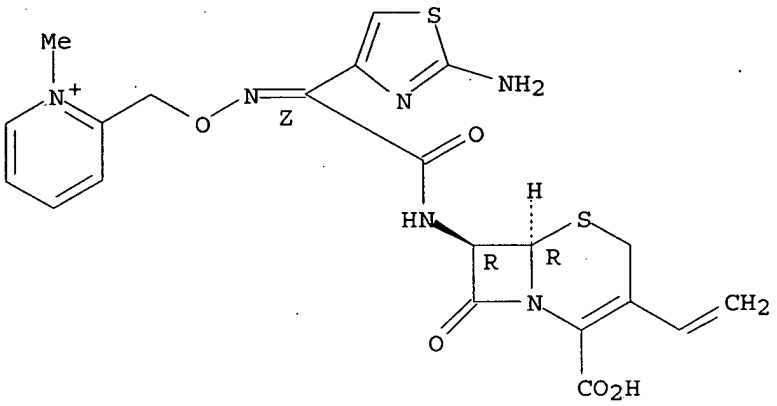
Absolute stereochemistry.
Double bond geometry as shown.



● Na

IT **90467-54-2P 90467-55-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 90467-54-2 CAPLUS
CN Pyridinium, 2-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

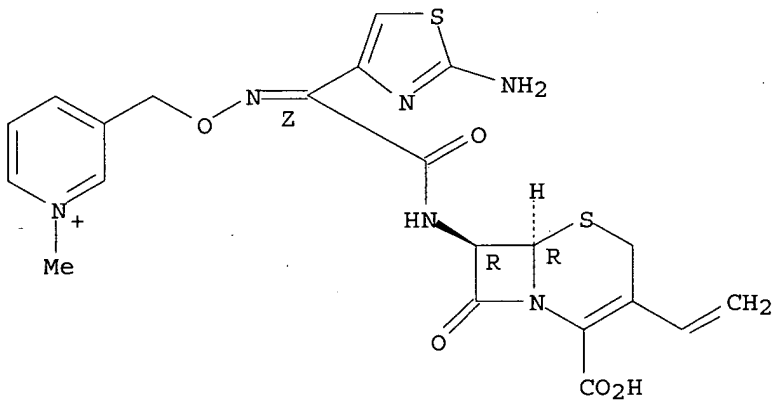
Absolute stereochemistry.
Double bond geometry as shown.



● Cl⁻

RN 90467-55-3 CAPLUS
CN Pyridinium, 3-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

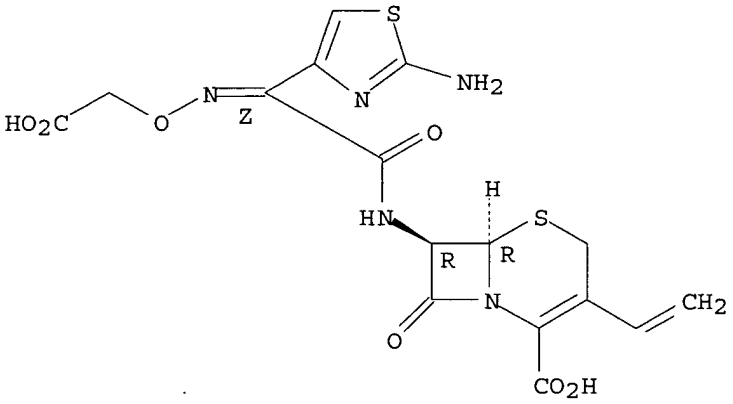


● Cl⁻

IT **79369-28-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79369-28-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-

oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



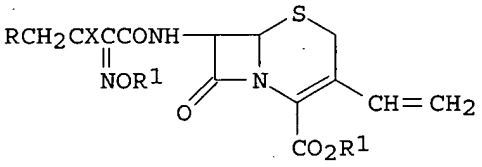
● HCl

L9 ANSWER 49 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:406933 CAPLUS
DOCUMENT NUMBER: 101:6933
TITLE: 7-Acylamino-3-vinylcephalosporanic acid derivatives
INVENTOR(S): Takaya, Takao; Takasugi, Hisashi; Masugi, Takashi; Yamanaka, Hideaki; Kawabata, Kohji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: U.S., 80 pp. Cont.-in-part of U.S. Ser. No. 205,334.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

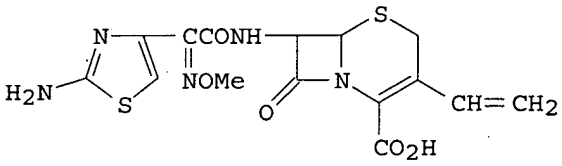
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4423213	A	19831227	US 1981-261618	19810507
US 4409214	A	19831011	US 1980-205334	19801110
ZA 8006977	A	19811028	ZA 1980-6977	19801111
AT 37028	E	19880915	AT 1984-100915	19801115
AT 86987	E	19930415	AT 1987-104893	19801115
ES 507973	A1	19821001	ES 1981-507973	19811215
US 4487927	A	19841211	US 1982-341621	19820122
JP 58000986	A2	19830106	JP 1982-77396	19820507
JP 03016358	B4	19910305		
US 4585860	A	19860429	US 1983-493051	19830509
US 4559334	A	19851217	US 1983-543880	19831020
US 4904652	A	19900227	US 1985-785048	19851009
US 4731443	A	19880315	US 1986-889189	19860724
SU 1508962	A3	19890915	SU 1987-4202592	19870519
JP 01308286	A2	19891212	JP 1989-108256	19890427
JP 02111751	A2	19900424	JP 1989-108255	19890427

US 4960889	A	19901002	US 1990-462347		19900103
US 5026695	A	19910625	US 1990-461340		19900105
US 5110921	A	19920505	US 1990-583304		19900917
US 5594132	A	19970114	US 1991-684194		19910412
US 5252731	A	19931012	US 1992-831504		19920205
PRIORITY APPLN. INFO.:			GB 1979-39985	A	19791119
			GB 1980-4335	A	19800208
			GB 1980-12991	A	19800421
			GB 1980-22920	A	19800714
			US 1980-205334	A2	19801110
			US 1980-206831	A3	19801114
			EP 1984-100915	A	19801115
			EP 1987-104893	A	19801115
			US 1981-261618	A2	19810507
			US 1982-341621	A3	19820122
			US 1982-428970	A2	19820930
			US 1983-489236	B1	19830428
			GB 1983-23034	A	19830826
			US 1984-653041	A3	19840921
			US 1985-785048	A3	19851009
			US 1986-889189	B3	19860724
			US 1987-127929	B1	19871202
			US 1990-462347	A3	19900103
			US 1990-461340	A3	19900105
			US 1990-583304	A3	19900917

GI



I



II

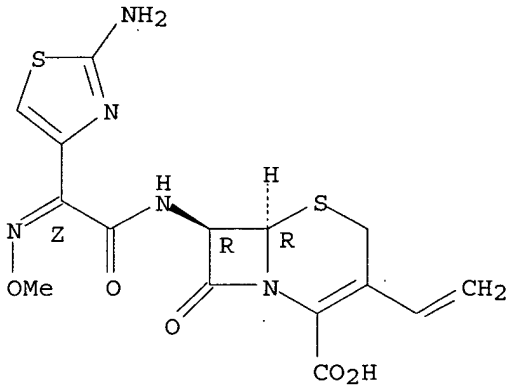
AB Cephalosporins I [X = CO, protected CO; R = halogen; R1 = H, cycloalkenyl, (un)substituted alkenyl, alkyl, heterocyclic; R2 = H, protective group] were prepared Thus, benzhydryl 7-amino-3-vinyl-3-cephem-4-carboxylate.HCl was prepared from cephalosporin C in 6 steps and was acylated with BrCH2COC(:NOMe)CO2H to give I (R = Br, R1 = Me, R2 = CHPh2, X = CO) which was cyclized with thiourea and hydrolyzed to give the thiazolylacetamidocephem II. II had a min. inhibitory concentration against Proteus mirabilis of 0.05 μg/mL.

IT **79350-11-1P 79350-44-0P 79350-82-6P**
86027-36-3P 90467-43-9P 90467-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 79350-11-1 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

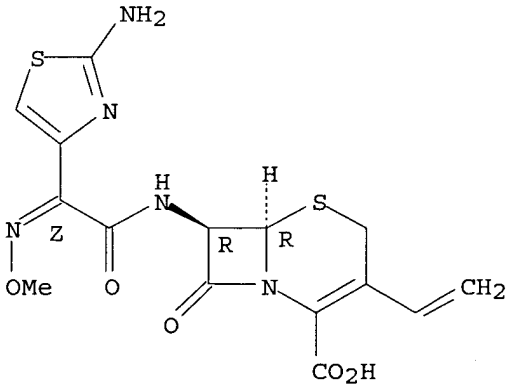
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 79350-44-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)

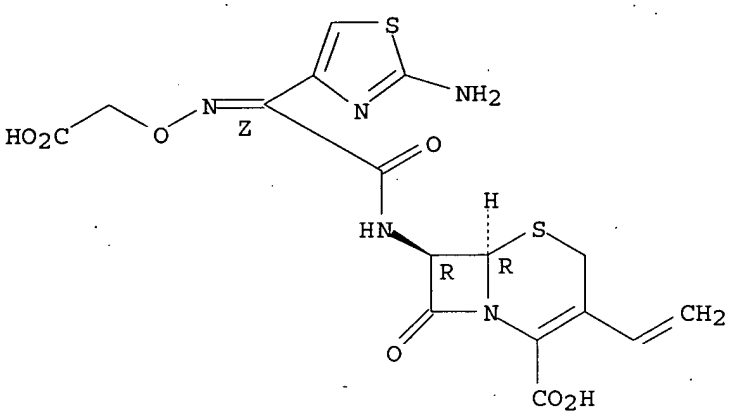
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 79350-82-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

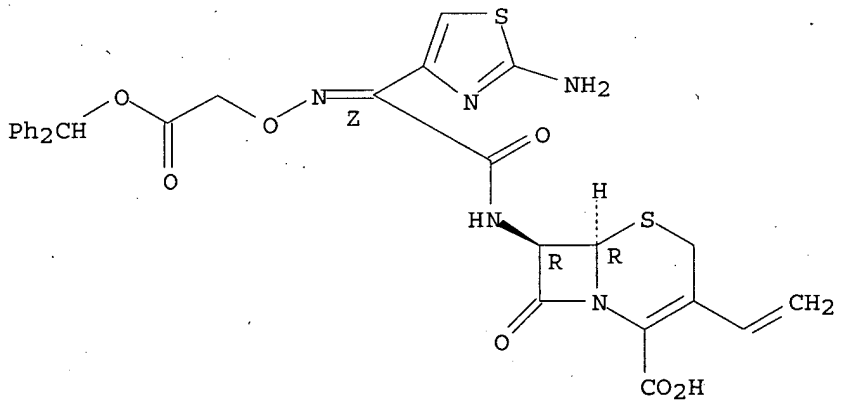
Absolute stereochemistry.
Double bond geometry as shown.



●2 Na

RN 86027-36-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[2-(diphenylmethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



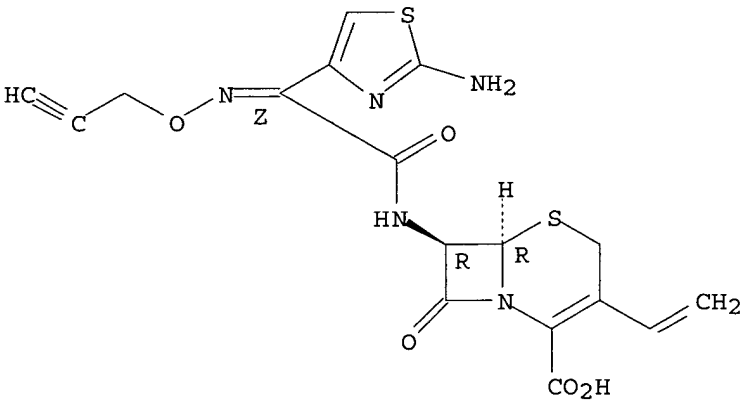
● Na

RN 90467-43-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2-propynyloxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

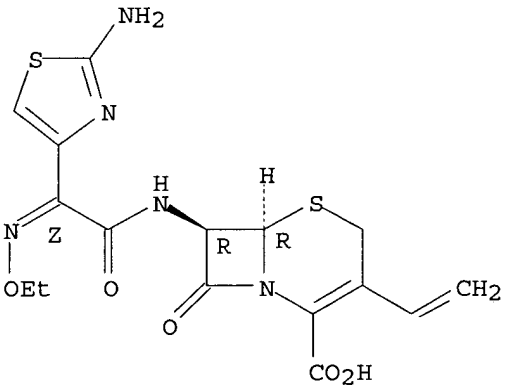
Double bond geometry as shown.



● Na

RN 90467-53-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(ethoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

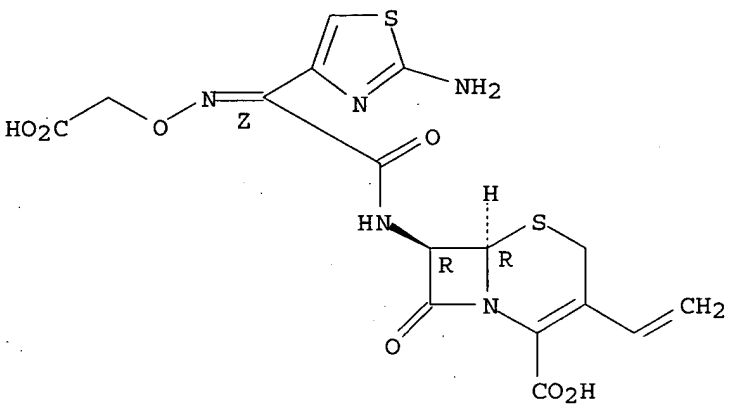


● Na

IT **79369-28-1P 90467-54-2P 90467-55-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79369-28-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

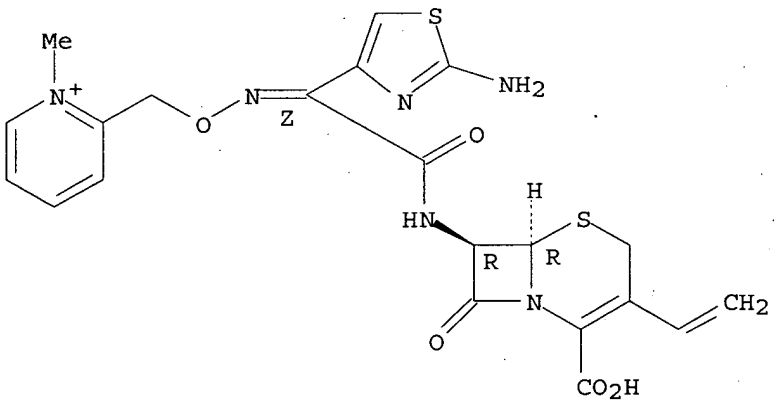
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 90467-54-2 CAPLUS
CN Pyridinium, 2-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

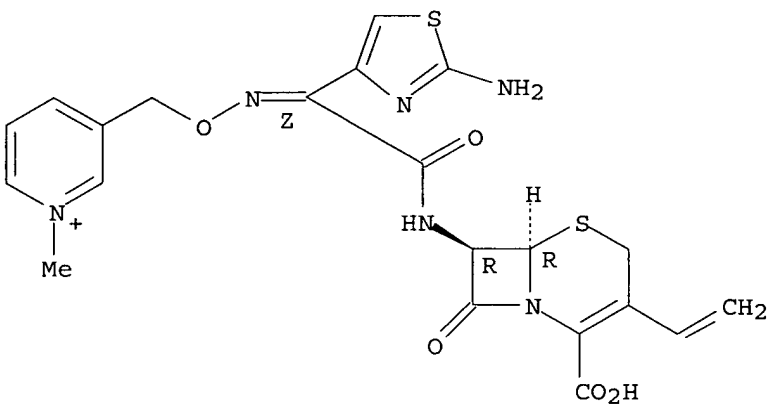
Absolute stereochemistry.
Double bond geometry as shown.



● Cl⁻

RN 90467-55-3 CAPLUS
CN Pyridinium, 3-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

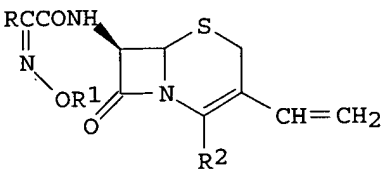


● Cl⁻

L9 ANSWER 50 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:68077 CAPLUS
DOCUMENT NUMBER: 100:68077
TITLE: 7-Acylamino-3-vinylcephalosporanic acid derivatives
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 58135894	A2	19830812	JP 1983-9235		19830121
JP 05001271	B4	19930107			
US 4487927	A	19841211	US 1982-341621		19820122
PRIORITY APPLN. INFO.:			US 1982-341621	A	19820122
			GB 1979-39985	A	19791119
			GB 1980-4335	A	19800208
			GB 1980-12991	A	19800421
			GB 1980-22920	A	19800714
			US 1980-205334	A2	19801110
			US 1981-261618	A2	19810507

GI



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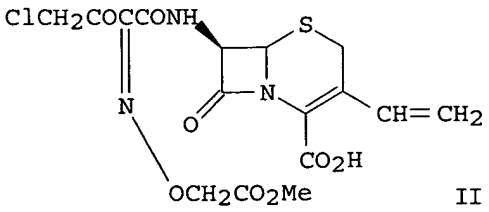


II

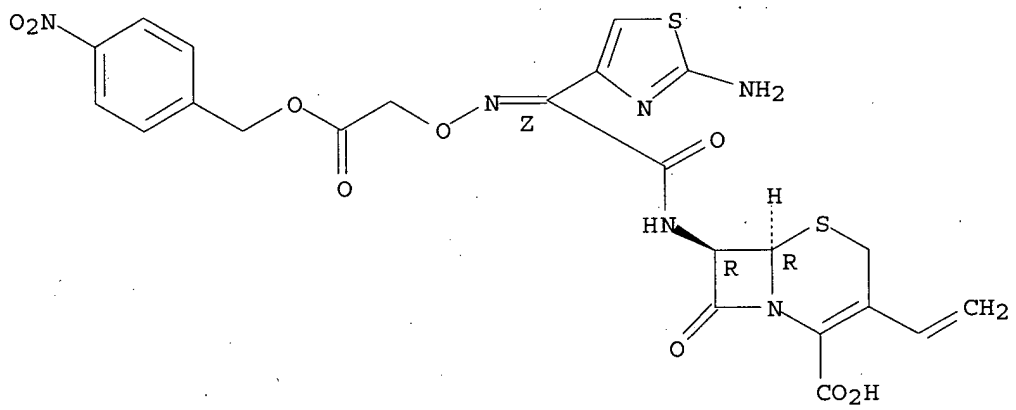
AB Nine cephalosporanic acid derivs. (I; R = aminothiazolyl; R1 = carboxyalkyl, protected carboxyalkyl; R2 = HO2C, protected HO2C) as the syn isomers were prepared I were effective bactericides at 50-2000 mg/day. Thus, 0.683 g (H2N)2CS and 1.84 g NaOAc were added to a suspension of 2.0 g syn-II in H2O at 40° and stirred 1.5 h to give 1.9 g syn-I (R = 2-aminothiazol-4-yl, R1 = MeO2CCH2; R2 = HO2C).

IT **88621-04-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 88621-04-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[2-[(4-nitrophenyl)methoxy]-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● HCl

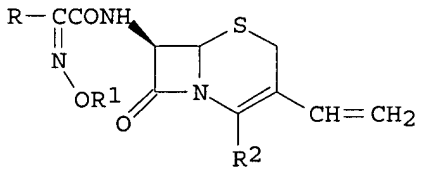
L9 ANSWER 51 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:405441 CAPLUS
DOCUMENT NUMBER: 99:5441
TITLE: 7-Acylamino-3-vinylcephalosporanic acid derivatives
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 58000986	A2	19830106	JP 1982-77396		19820507
JP 03016358	B4	19910305			
US 4423213	A	19831227	US 1981-261618		19810507
PRIORITY APPLN. INFO.:			US 1981-261618	A	19810507
			GB 1979-39985	A	19791119
			GB 1980-4335	A	19800208
			GB 1980-12991	A	19800421
			GB 1980-22920	A	19800714
			US 1980-205334	A2	19801110

GI



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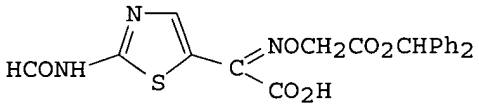
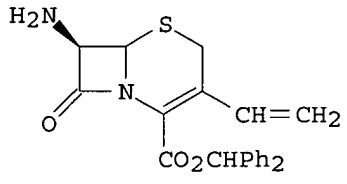


II



III

AB Twenty title acids and salts (syn-I; R = aminothiazolyl with optional protecting group; R1 = carboxyalkyl, protected carboxyalkyl; R2 = carboxy, protected carboxy) were prepared I were effective bactericides at 50-2000 mg/day. Thus, 5 g syn-II was added to a suspension of POCl3 and DMF in THF under cooling, followed by 4.89 g III·HCl, and 9.2 g AcNHSiMe3 in EtOAc at -20° to -10° to give 3.7 g syn-I (R = 2-formamidothiazol-4-yl, R1 = Ph2CHO2CCH2, R2 = Ph2CHO2C).

IT **86027-36-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

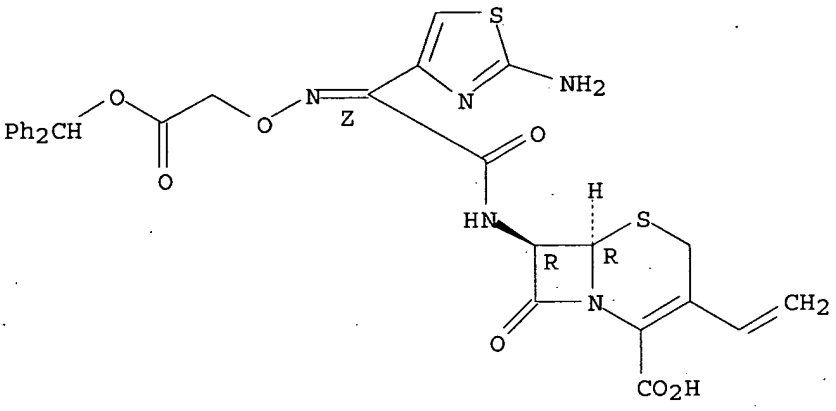
RN 86027-36-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[2-(diphenylmethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Double bond geometry as shown.



● Na

L9 ANSWER 52 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:181061 CAPLUS
DOCUMENT NUMBER: 96:181061
TITLE: 7-Acylamino-3-vinylcephalosporanic acid derivatives, pharmaceutical compositions containing them and their starting compounds
INVENTOR(S): Takaya, Takao; Takasugi, Hisashi; Masugi, Takashi; Yamanaka, Hideaki; Kawabata, Kohji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 285 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 30630 A2		19810624	EP 1980-107075	19801115
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
PRIORITY APPLN. INFO.:			GB 1979-39985	19791119
			GB 1980-4335	19800208
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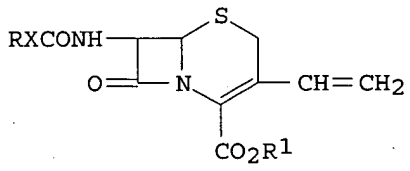
			GB 1980-22920	19800714

GI



I

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

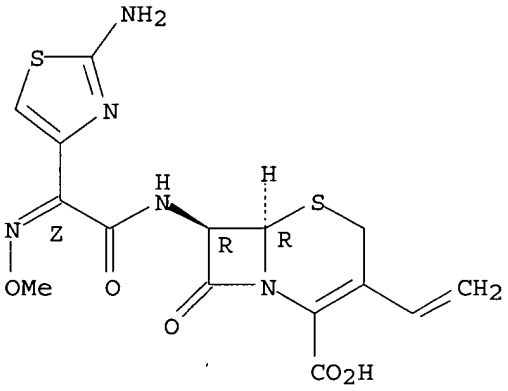
AB Vinylcephems I (R = optionally aminoheterocyclic, R2SO2NHC6H4; R 1 = H, protective group; R2 = alkyl; X = optionally substituted alkylene) were prepared Thus, I (R = 3-MeSO2NHC6H4, R1 = H, X = H2NCH, II) was obtained by acylating aminocephem with 3-MeSO2NHC6H4CH(NH2)CO2H. 7-Amino-3-vinyl-3-cephem-4-carboxylic acid was obtained from the hydroxymethylcephem via the chloromethyl derivative and the triphenylphosphonium iodide which was treated with CH2O. II had the min. inhibitory concentration against Staphylococcus aureus 209 P JC-1 of 1.56 μg/mL.

IT **79350-11-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 79350-11-1 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



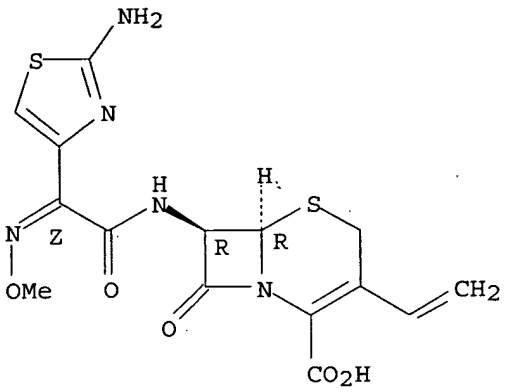
● HCl

IT **79350-44-0P 79350-82-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 79350-44-0 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)

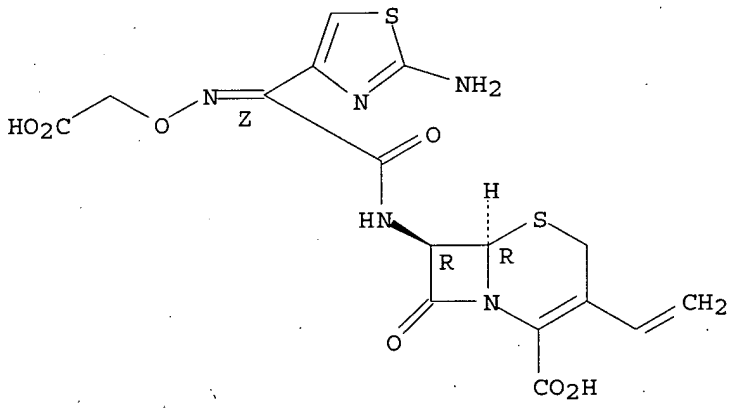
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 79350-82-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, disodium salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



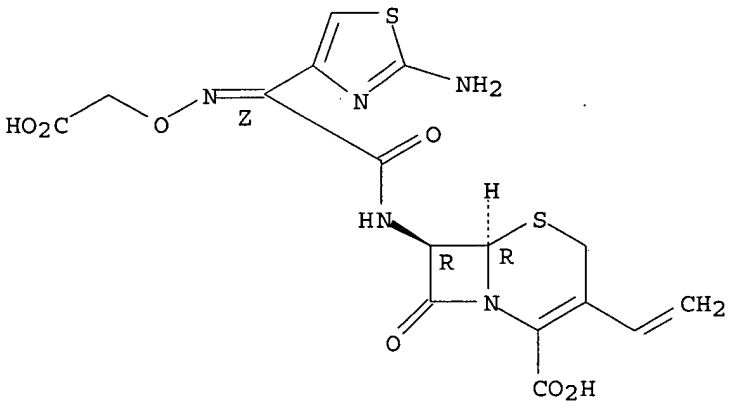
●2 Na

IT **79369-28-1P 90467-54-2P 90467-55-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79369-28-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

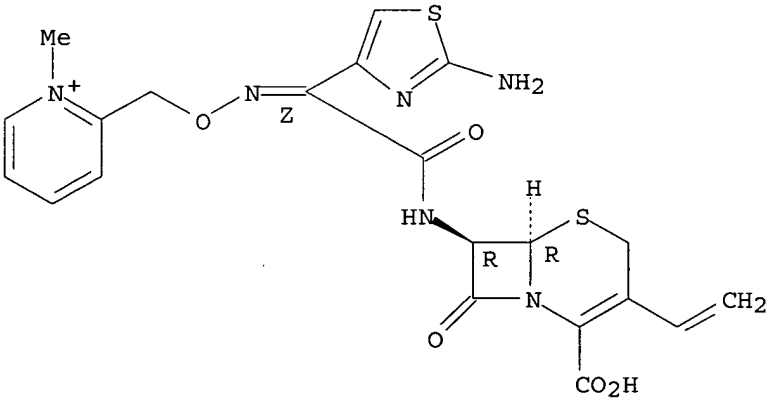
Double bond geometry as shown.



● HCl

RN 90467-54-2 CAPLUS
CN Pyridinium, 2-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



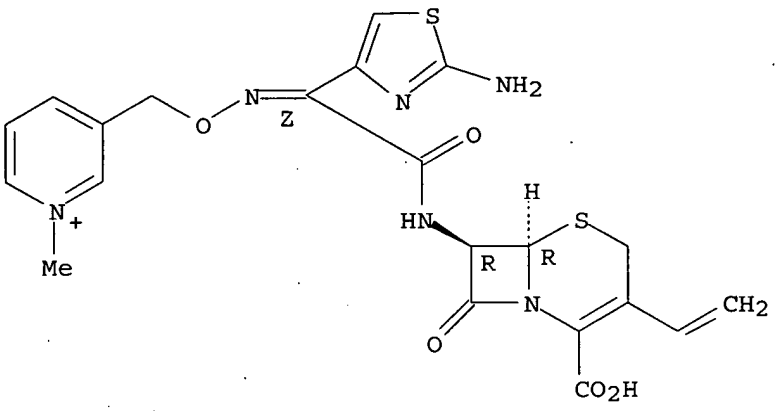
● Cl⁻

RN 90467-55-3 CAPLUS
CN Pyridinium, 3-[[[[1-(2-amino-4-thiazolyl)-2-[(2-carboxy-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl)amino]-2-oxoethylidene]amino]oxy]methyl]-1-methyl-, chloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Double bond geometry as shown.



● Cl⁻

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L10 0 L8

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Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

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L6 166 S L2 OR L3 OR L4 FUL
L7 SCR 2127
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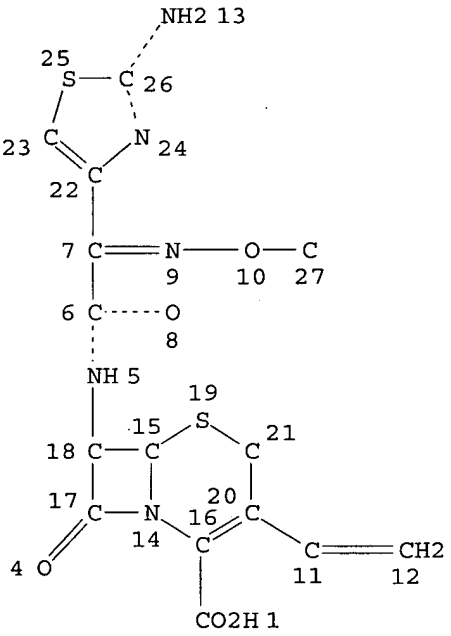

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
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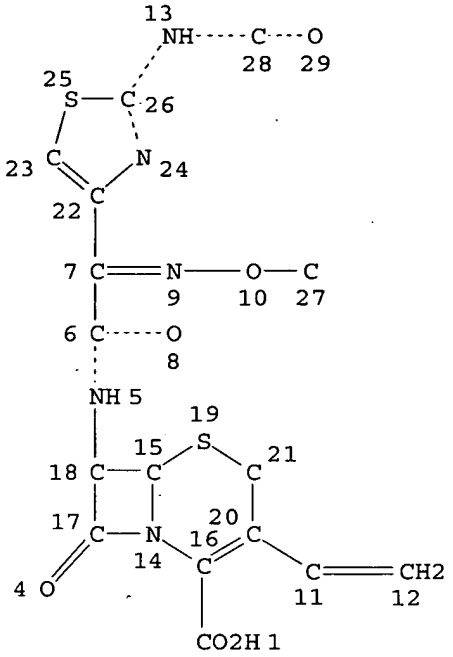

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DEFAULT ECLEVEL IS LIMITED

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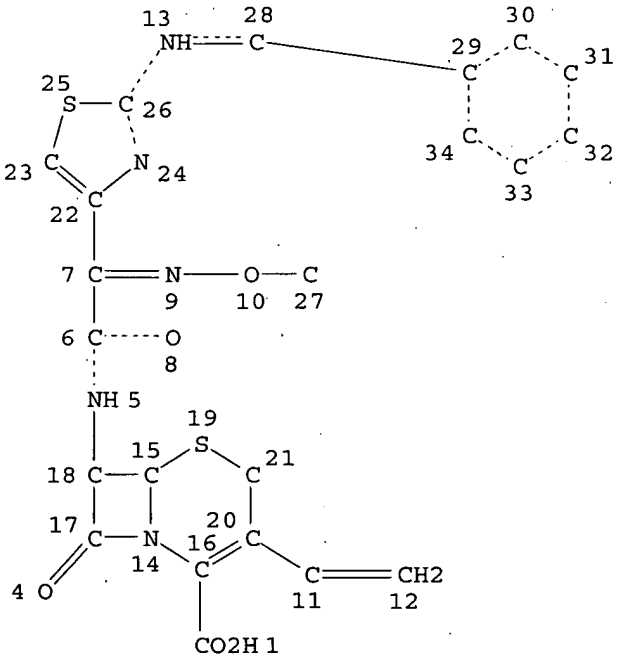

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

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